(19) Europäisches Patentamt
European Patent Office
Office européen des brevets

(11) EP 0 563 384 B1

(12) **EUROPEAN PATENT SPECIFICATION**

(45) Date of publication and mention of the grant of the patent:
04.10.2001 Bulletin 2001/40

(21) Application number: **92900598.1**

(22) Date of filing: **16.12.1991**

(51) Int Cl.[7]: **C07D 239/54**, C07D 239/553, C07D 239/56, C07D 401/10, C07D 401/12, C07D 403/10, A01N 43/54

(86) International application number:
PCT/JP91/01716

(87) International publication number:
WO 92/11244 (09.07.1992 Gazette 1992/17)

(54) **URACIL DERIVATIVE**

URACILDERIVAT

DERIVE D'URACILE

(84) Designated Contracting States:
AT BE CH DE DK ES FR GB GR IT LI LU MC NL SE

(30) Priority: **17.12.1990 JP 40275390**
27.05.1991 JP 12142091
15.11.1991 JP 30034191

(43) Date of publication of application:
06.10.1993 Bulletin 1993/40

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Remarks:

The file contains technical information submitted after the application was filed and not included in this specification

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Printed by Jouve, 75001 PARIS (FR)

Description

[0001] This invention relates to a novel uracil derivative and a selective herbicide containing the derivative as an effective ingredient.

[0002] Many herbicides have heretofore been used to protect important crops such as rice, soybean, wheat, corn, cotton, sugar, beet, etc. from weeds and to enhance the productivity of these important crops. These agents may be roughly classified into three classes depending on the application loci, i.e. agents for upland fields, agents for paddy fields and agents for non-cultivated fields. Each class can be further classified into soil incorporation treatment types, pre-emergence soil treatment types, a post-emergence treatment (foliar treatment) type, etc., depending on the method of application of the agents.

[0003] In recent years, the global increase in population has meant that crop productivity influences the food economy in many countries. In addition it is inevitable that the form of conventional agriculture will alter towards the 21st century. Agricultural herbicides which can economically and efficiently kill or control the weeds which may be obstacles to crop cultivation are therefore becoming increasingly necessary.

[0004] Such a herbicide must meet the following requirements:

(1) it has a high herbicidal effect at low dosages (particularly in view of environmental protection, it is necessary to kill weeds by applying as little of the herbicide as possible);
(2) it has a suitable residual effect (in recent years, it has become a problem that the agent remaining in soil for a long period damages succeeding crops, so it is important to show a suitable residual effect after application);
(3) it promptly kills the weeds after application (a short period after chemical treatment the next crops can be seeded and transplanted).
(4) its application frequency is low (for a person engaged in agriculture, it is important to make the frequency of complicated work for controlling weeds as low as possible).
(5) its spectrum of controlling weeds is wide (it is desirable that the agent is capable of controlling weed species of different characteristics such as broad leaf weeds, grassy weeds, perennial weeds, etc.)
(6) it can be applied by various methods (more potent herbicidal effects can be obtained by combining soil treatment effect, foliar treatment, etc.).
(7) it does not damage the crops (an agent which can selectively kill weeds only is preferred in cultivated fields where crops and weeds co-exist).

[0005] It has been known that specific uracil derivatives show herbicidal activity. For example, bromacil has been described in The Pesticide Manual, 8th Edition, p. 89, The British Crop Protection Council (1987), etc. as one of the herbicides having an uracil structure.

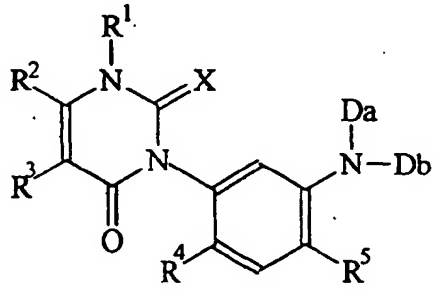
[0006] It has also been known that aryl uracil derivatives have herbicidal activity.

[0007] For example, such compounds are disclosed in JP-A-61-221178 (US-A-4,746,352, US-A-4,760,163)]; JP-A-63-41466 (US-A-4,859,229); and JP-A-63-107967 (US-A-4,812,164)].

[0008] EP-A-0408382 discloses uracil derivatives substituted by a haloalkyl group and a phenyl group, which have herbicidal activity. EP-A-0540023 discloses 1-phenyl-4-trifluoromethyluracil derivatives having herbicidal activity. Aryluracil derivatives are disclosed in, for instance, JP-A-54-147923 (US-A-4,266,056, US-A-4,338,318), WO/89-03825, US-A-4,927,451 and US-A-4,941,909.

[0009] There has been a desire for aryl uracil compounds which promptly show a high effect against many kinds of weeds including perennial weeds in an extremely low application amount and which have a suitable residual effect and cause substantially no damage to important crops either by the soil treatment method or the foliar treatment method.

[0010] Accordingly the present invention provides a uracil derivative of formula (I):

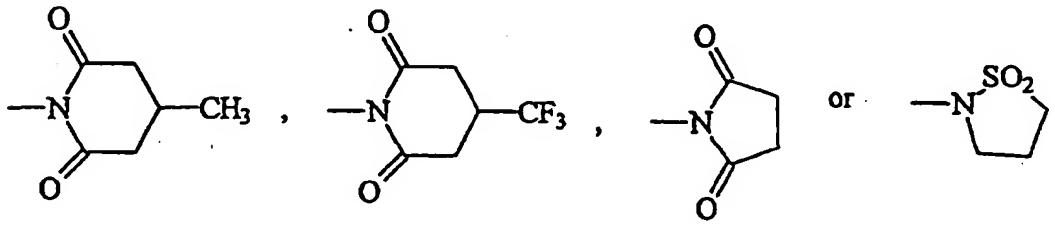


(1)

wherein:

R^1 is hydrogen, C_1-C_3 alkyl or C_1-C_3 haloalkyl
R^2 is C_1-C_6 haloalkyl
R^3 is hydrogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, hydroxymethyl, a halogen or nitro;
R^4 is a hydrogen atom or a halogen;
R^5 is a halogen, nitro or cyano;
X is an oxygen atom;
D_a and D_b each independently represents hydrogen, C_1-C_8 alkyl, C_2-C_8 alkenyl C_3-C_8 alkynyl,

$-L^2-D^{52}$ in which D^{52} is hydrogen, C_1-C_{20} alkyl, C_1-C_{20} haloalkyl, C_3-C_8 cycloalkyl(C_1-C_4) alkyl, C_2-C_8 alkenyl, C_3-C_8 alkynyl, C_1-C_4 alkoxy(C_1-C_4)alkyl, Ar which is a phenyl group which is unsubstituted or substituted by one or two or more substituents selected from C_1-C_4 alkyl, C_1-C_4 haloalkyl, a halogen, nitro, C_1-C_4 alkoxy and C_1-C_4 alkoxycarbonyl, $-L^1$-Ar wherein Ar is as defined above and L^1 is a C_1 to C_6 alkyl chain, a C_2 to C_6 alkenyl chain or a C_2 to C_6 alkynyl chain each of which may be branched, or
$-L^1$-Het wherein L^1 is as defined above, Het is a pyridine or thiophene ring, and L^2 represents -C(O)-, $-SO_2-$, -S(O)-, -S-, -C(O)O-, -C(O)S- or -C(O)C(O)O-,
$-L^3$-C(O)O-D^{52} in which D^{52} is C_1-C_{20} alkyl and L^3 is a C_1-C_6 alkyl chain, -C(O)-$ND^{52}D^{53}$ in which D^{52} is hydrogen and D^{53} is C_1-C_8 alkyl or C_1-C_6 alkylsulfonyl,
$=CD^{54}-ND^{52}D^{53}$ in which D^{52} and D^{53} are C_1-C_6 alkyl and D^{54} is hydrogen, or alternatively D_a and D_b together with a nitrogen atom to which they are attached form a 3- to 8-membered ring represented by

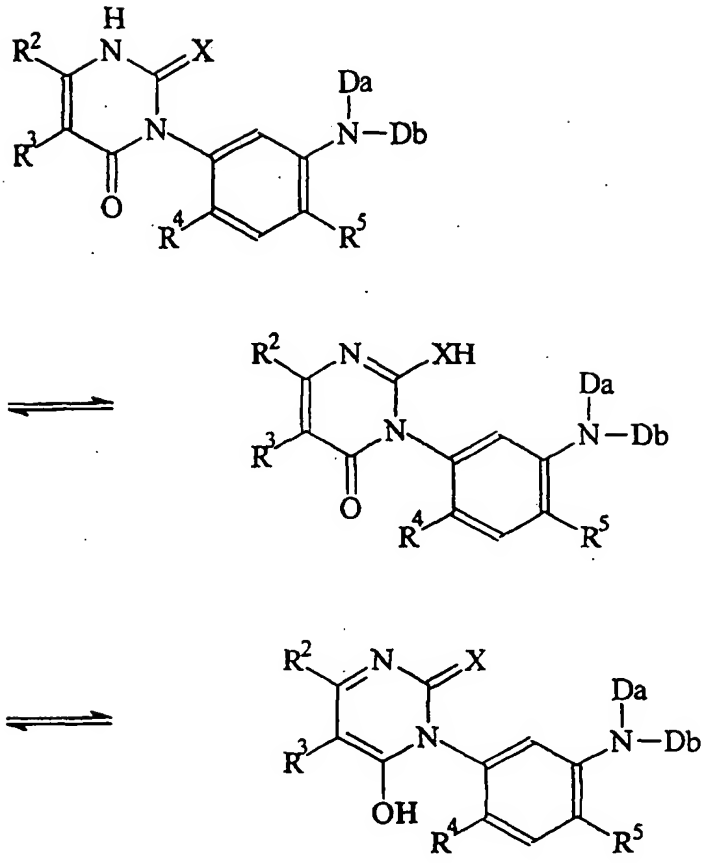


provided that the cases where

(a) D_a and D_b both represent hydrogen, and where one of D_a and D_b represents $-L^2-D^{52}$ (L^2 represents $-SO_2-$, and D^{52} represents C_1-C_4 alkyl or C_1-C_3 haloalkyl), and the other of D_a and D_b is hydrogen, C_1-C_4 alkyl, C_2-C_5 alkenyl, or C_3-C_5 alkynyl; and
(b) one of D_a and D_b is $-L^2-D^{52}$ in which L^2 is $-SO_2-$ and D^{52} is a C_1-C_4 alkyl or C_1-C_3 haloalkyl group; are excluded.

[0011] Characteristic features in the structure of the compound of the present invention are to have a haloalkyl group at the 6-position of the uracil ring and to have a specific combination of R^4, R^5 and $N(D_a)D_b$ as the substituents on the benzene ring at the 3-position of the uracil ring. By having such a structure, the compound of the present invention has a permeation and translocation and very high herbicidal activity. As a result, the compound of the present invention has the advantage that it can be applied according to either the soil treatment or the foliar treatment against many kinds of weeds including the perennial weeds, that it can develop a high effect promptly even if applied in small quantities, and that it has a suitable residual effect.

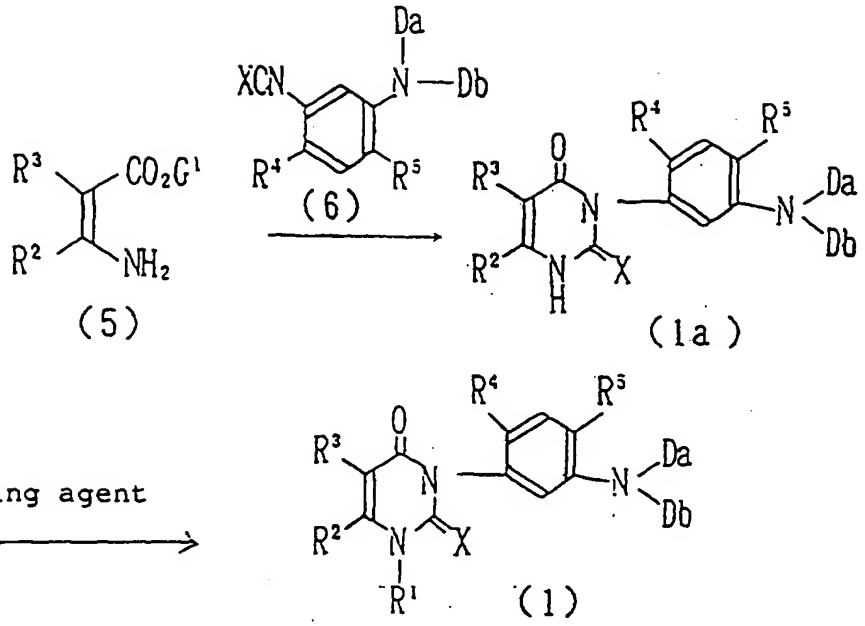
[0012] The compound of the present invention represented by the formula (1) may exist as a tautomer as shown below when the substituent R^1 is hydrogen, and the present invention embraces all of these tautomeric forms.



[0013] As a general method for synthesizing an uracil derivative, the uracil skeleton can be synthesized by referring to the synthesis method described in, for example, A.R. Katritzky et al., Comprehensive Heterocyclic Chemistry, 3, p. 57 (1984), etc. 3-Amino-4,4,4-trifluorocrotonate ester which is one of starting materials may be synthesized by referring to A.W. Lutz et al., Journal of Heterocyclic Chemistry, 9, (3), p. 513 (1972), etc.

[0014] Including the above methods, the compound of the present invention can be synthesized by, for example, the methods shown in Schemes 1 to 5. R^1, R^2, R^3, R^4, R^5, X, D_a and D_b in Schemes 1 to 5 have the same meanings as described above, G^1 is C_1-C_4 alkyl, G^2 is C_1-C_4 alkyl or a phenyl group, and Hal is a halogen, a methanesulfonyloxy group or a paratoluenesulfonyloxy group.

<Scheme 1>



1. Scheme 1 shows a method for preparing an uracil derivative (1) by reacting phenyliso(thio)cyanate (6) with β-aminoacrylate ester (5) to form an uracil derivative (1a) in a first step, and after isolation of the derivative (1a) or subsequently, without isolation, alkylating 1-position of the uracil ring in a second step.

①Reaction in the first step

The compound (6) is generally used in an amount of 0.5 to 1.5 equivalent, preferably 0.8 to 1.2 equivalent based on the compound (5).

The reaction may proceed without any solvent, but it is generally promoted by using a solvent. As the solvent, there may be mentioned aliphatic hydrocarbons such as hexane, heptane, ligroin, petroleum ether, etc.; aromatic hydrocarbons such as benzene, toluene, xylene, chlorobenzene, etc.; halogenated hydrocarbons such as chloroform, methylene chloride, etc.; ethers such as diethyl ether, dioxane, tetrahydrofuran, etc.; ketones such as acetone, methyl ethyl ketone, etc.; nitriles such as acetonitrile, isobutyronitrile, etc.; tertiary amines such as pyridine, N,N-diethylaniline, etc.; acid amides such as N,N-dimethylacetamide, N,N-dimethylformamide, N-methylpyrrolidone, etc.; sulfur-containing compounds such as dimethylsulfoxide, sulfolane, etc.; water and their mixture, and preferably the aliphatic hydrocarbons, the aromatic hydrocarbons, the acid amides, the sulfur-containing compounds and their mixture.

The reaction may proceed without any base, but generally a base in an amount of 0.5 to 10 equivalents, preferably 1.0 to 3.0 equivalents based on the compound (5) is used. As the base, there may be mentioned nitrogen-containing organic bases such as pyridine, triethylamine, N,N-dimethylaniline, N,N-diethylaniline, 4-(N,N-dimethylamino)pyridine, 1,4-diazabicyclo[2.2.2]octane, etc.; inorganic bases such as sodium hydride, potassium hydride, sodium hydroxide, potassium hydroxide, sodium carbonate, potassium carbonate, etc.; and metal alcoholates such as sodium methoxide, sodium ethoxide, potassium tert-butoxide, etc., and preferably sodium hydride, sodium hydroxide and potassium hydroxide.

The reaction temperature is generally -70 to 200 °C, preferably -30 °C to the reflux temperature of the reaction mixture.

The reaction time is generally 5 minutes to 72 hours, preferably 10 minutes to 12 hours.

After the reaction is completed followed by acidifying with a mineral acid such as hydrochloric acid, etc., or an organic acid such as acetic acid, trifluoroacetic acid, p-toluenesulfonic acid, etc., the derivative (1a) can be isolated.

②The second step

The alkylating agent is used in an amount of 0.5 to 10 equivalents, preferably 0.8 to 5.0 equivalents based on the derivative (1a). As the alkylating agent, there may be mentioned alkylsulfates such as dimethylsulfate, diethylsulfate, etc.; and halogenated alkyls such as methyl chloride, ethyl chloride, methyl bromide, ethyl bromide, methyl iodide, ethyl iodide, etc.

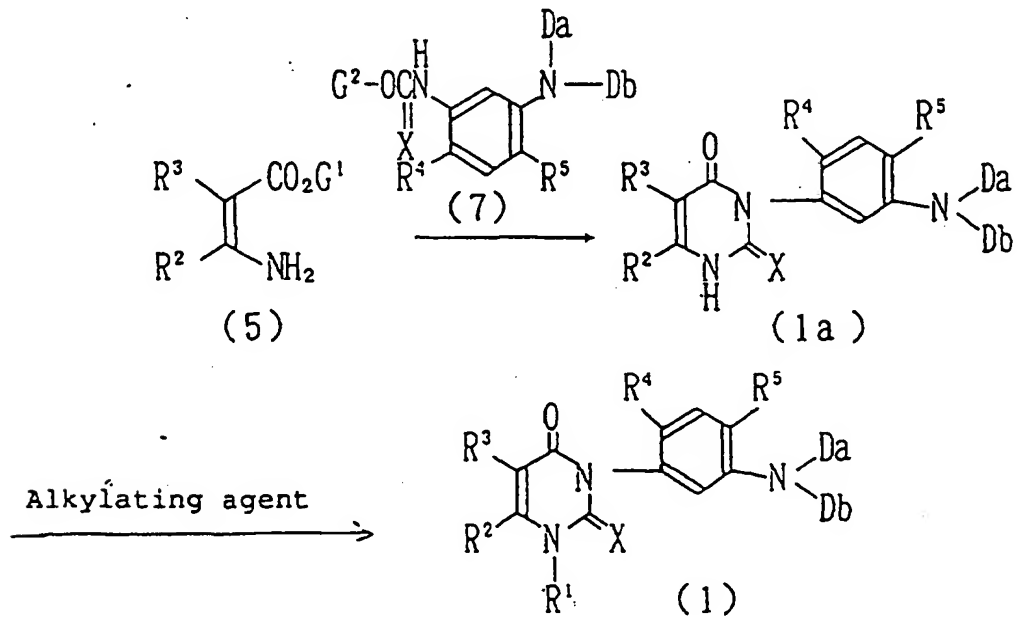
The reaction may proceed without any solvent, but it is generally promoted by using a solvent. As the solvent, there may be mentioned aliphatic hydrocarbons such as hexane, heptane, ligroin, petroleum ether, etc.; aromatic hydrocarbons such as benzene, toluene, xylene, chlorobenzene, etc.; halogenated hydrocarbons such as chloroform, methylene chloride, etc.; ethers such as diethyl ether, dioxane, tetrahydrofuran, etc.; ketones such as acetone, methyl ethyl ketone, etc.; nitriles such as acetonitrile, isobutyronitrile, etc.; tertiary amines such as pyridine, N,N-diethylaniline, etc.; acid amides such as N,N-dimethylacetamide, N,N-dimethylformamide, N-methylpyrrolidone, etc.; sulfur-containing compounds such as dimethylsulfoxide, sulfolane, etc.; water and their mixture, and preferably the aliphatic hydrocarbons, the aromatic hydrocarbons, the ethers, the ketones, the nitriles, the acid amides, the sulfur-containing compounds and their mixture.

A base is generally used in an amount of 0.5 to 10 equivalents, preferably 0.8 to 3.0 equivalents based on the derivative (1a). As the base, there may be mentioned nitrogen-containing organic bases such as pyridine, triethylamine, N,N-dimethylaniline, N,N-diethylaniline, 4-(N,N-dimethylamino)pyridine; 1,4-diazabicyclo [2.2.2]octane, etc. and inorganic bases such as sodium hydride, potassium hydride, sodium hydroxide, potassium hydroxide, sodium carbonate, potassium carbonate, etc., and preferably the inorganic bases such as sodium hydride, potassium carbonate, etc.

The reaction temperature is generally -30 to 150 °C, preferably -10 °C to the reflux temperature of the reaction mixture.

The reaction time is generally 10 minutes to 96 hours, preferably 30 minutes to 48 hours.

<Scheme 2>



2. Scheme 2 shows a method for preparing an uracil derivative (1) by reacting N-phenyl(thio)carbamate (7) with β-aminoacrylate ester (5) to form an uracil derivative (1a) in a first step, and after isolation of the derivative (1a) or subsequently, without isolation, alkylating 1-position of the uracil ring in a second step.

①Reaction in the first step

The compound (7) is generally used in an amount of 0.5 to 1.5 equivalent, preferably 0.8 to 1.2 equivalent

based on the compound (5).

The reaction generaly requires a solvent. As the solvent, there may be mentioned aliphatic hydrocarbons such as hexane, heptane, ligroin, petroleum ether, etc.; aromatic hydrocarbons such as benzene, toluene, xylene, chlorobenzene, etc.; halogenated hydrocarbons such as chloroform, methylene chloride, etc.; ethers such as diethyl ether, dioxane, tetrahydrofuran, etc.; ketones such as acetone, methyl ethyl ketone, etc.; nitriles such as acetonitrile, isobutyronitrile, etc.; tertiary amines such as pyridine, N,N-diethylaniline, etc.; acid amides such as N,N-dimethylacetamide, N,N-dimethylformamide, N-methylpyrrolidone, etc.; sulfur-containing compounds such as dimethylsulfoxide, sulfolane, etc.; alcohols such as methanol, ethanol, propanol, butanol, etc.; water and their mixture, and preferably the aliphatic hydrocarbons, the aromatic hydrocarbons, the acid amides, the sulfur-containing compounds and their mixture.

A base is generally used in an amount of 0.5 to 10 equivalents, preferably 1.0 to 3.0 equivalents based on the compound (5). As the base, there may be mentioned nitrogen-containing organic bases such as pyridine, triethylamine, N,N-dimethylaniline, N,N-diethylaniline, 4-(N,N-dimethylamino)pyridine, 1, 4-diazabicyclo [2.2.2]octane, etc.; inorganic bases such as sodium hydride, potassium hydride, sodium hydroxide, potassium hydroxide, sodium carbonate, potassium carbonate, etc.; metal alcoholates such as sodium methoxide, sodium ethoxide, potassium tert-butoxide, etc.; and metal alkylmercaptides such as sodium methylmercaptide, sodium ethylmercaptide, etc., and preferably the inorganic bases such as sodium hydride, sodium hydroxide, potassium hydroxide, sodium carbonate, potassium carbonate, etc., and the metal alcoholates such as sodium methoxide, etc.

The reaction temperature is generally 0 to 200 °C, preferably the room temperature to the reflux temperature of the reaction mixture.

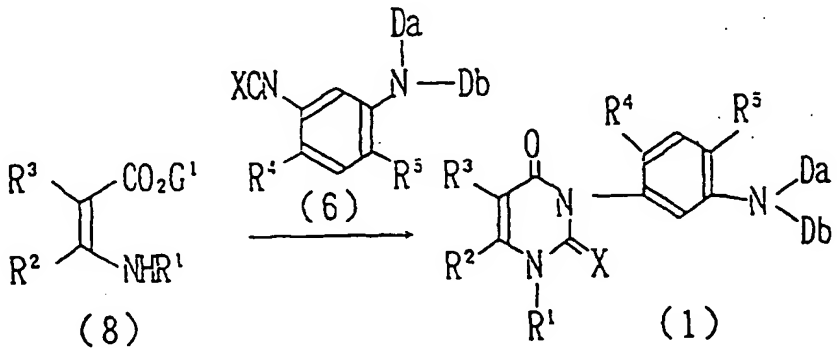
The reaction time is generally 10 minutes to 72 hours, preferably 30 minutes to 24 hours.

After completion of the reaction followed by acidifying with a mineral acid such as hydrochloric acid, etc., or an organic acid such as acetic acid, trifluoroacetic acid, p-toluenesulfonic acid, etc., the derivative (1a) can be isolated.

②The second step

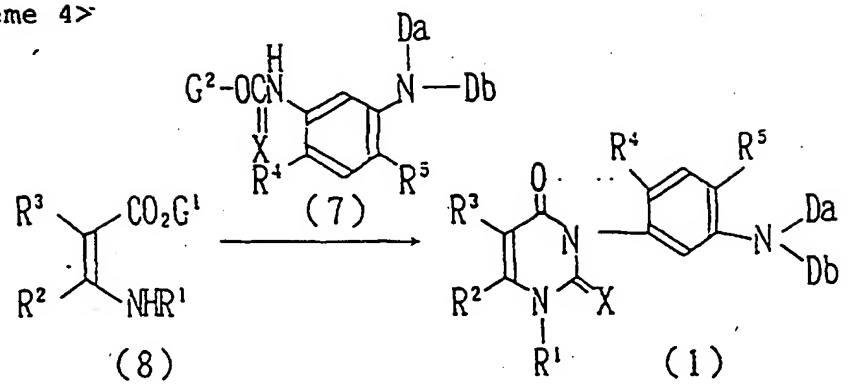
Alkylation can be carried out under the same reaction conditions as in the second step of Scheme 1.

<Scheme 3>



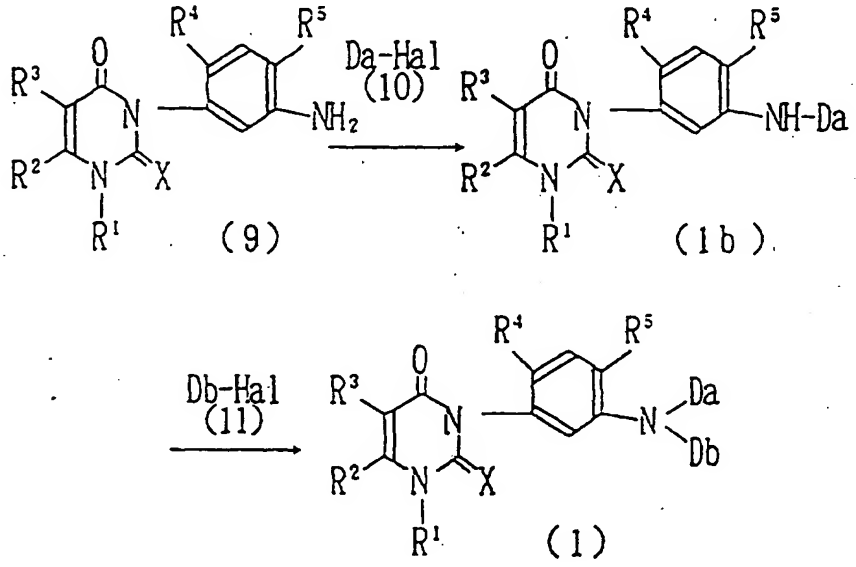
3. Scheme 3 shows a method for preparing an uracil derivative (1) by reacting phenyliso(thio)cyanate (6) with N-alkyl-β-aminoacrylate ester (8) in one step and may be carried out under the same reaction conditions as in Scheme 1.

<Scheme 4>



4. Scheme 4 shows a method for preparing an uracil derivative (1) by reacting N-phenyl(thio)carbamate (7) with N-alkyl-β-aminoacrylate ester (8) in one step and may be carried out under the same reaction conditions as in Scheme 2.

<Scheme 5>



5. Scheme 5 shows a method for preparing an uracil derivative (1) by reacting D_a-Hal (10) with an animo material (9) to form an uracil derivative (1b) in a first step, and after isolation of the derivative (1b) or subsequently, without isolation, reacting D_b-Hal (11) with the derivative (1b) in a second step.

①Reaction in the first step The compound (10) is generally used in an amount of 0.3 to 10 equivalents, preferably 0.5 to 2.0 equivalents based on the compound (9).

The reaction may proceed without any solvent, but it is generally promoted by using a solvent. As the solvent, there may be mentioned aliphatic hydrocarbons such as hexane, heptane, ligroin, petroleum ether, etc.; aromatic hydrocarbons such as benzene, toluene, xylene, chlorobenzene, etc.; halogenated hydrocarbons such as chloroform, methylene chloride, etc.; ethers such as diethyl ether, dioxane, tetrahydrofuran, etc.; ketones such as acetone, methyl ethyl ketone, etc.; nitriles such as acetonitrile, isobutyronitrile, etc.; tertiary amines such as pyridine, N,N-diethylaniline, etc.; acid amides such as N,N-dimethylacetamide, N,N-dimethylformamide, N-methylpyrrolidone, etc.; sulfur-containing compounds such as dimethylsulfoxide, sulfolane, etc., and their mixture.

The reaction may proceed without any base, but generally a base in an amount of 0.3 to 10 equivalents based on the compound (9) is used. Also, it may be used in a very excess amount as a solvent. As the base, there may be mentioned nitrogen-containing organic bases such as pyridine, triethylamine, N,N-dimethylaniline, N,N-diethylaniline, 4-(N,N-dimethylamino)pyridine, 1,4-diazabicyclo[2.2.2]octane, etc.; inorganic bases such as sodium hydride, potassium hydride, sodium hydroxide, potassium hydroxide, sodium carbonate, potassium carbonate, etc.; and metal alcoholates such as sodium methoxide, sodium ethoxide, potassium tert-butoxide, etc., and preferably the nitrogen-containing organic bases and the inorganic bases.

The reaction temperature is generally -30 to 160 °C, preferably -10°C to 130°C. The reaction time is generally 10 minutes to 48 hours, preferably 30 minutes to 24 hours.

2. The second step

The reaction can be carried out under the same conditions as in the first step of Scheme 5.

[0015] The more preferred compounds are those represented by the formula (I) wherein, subject to the provisos:

R^1 is methyl
R^2 is trifluoromethyl;
R^3 is hydrogen;
R^4 is a hydrogen atom or a halogen;
R^5 is a halogen;
X is an oxygen atom;
D_a and D_b each independently represents hydrogen, C_1-C_8 alkyl, C_2-C_8 alkenyl, C_3-C_8 alkynyl,

$-L^2-D^{52}$ in which D^{52} is hydrogen, C_1-C_{20} alkyl, C_1-C_{20} haloalkyl, C_3-C_8 cycloalkyl(C_1-C_4)alkyl, C_2-C_8 alkenyl, C_3-C_8 alkynyl, C_1-C_4 alkoxy (C_1-C_4) alkyl, Ar (Ar is as defined above), $-L^1$-Ar (Ar and L^1 are as defined above) $-L^1$-Het (Het and L^1 are as defined above) and L^2 is as defined above,
$-L^3-C(O)O-D^{52}$ in which D^{52} is C_1-C_{20} alkyl and L^3 is a C_1-C_6 alkyl chain,
$-C(O)-ND^{52}D^{53}$ in which D^{52} is hydrogen and D^{53} is C_1-C_8 alkyl or C_1-C_6 alkylsulfonyl, or
$=CD^{54}-ND^{52}D^{53}$ as defined above.

[0016] The compounds of the present invention can be used in treatment methods either of a soil treatment or a foliar treatment, as herbicides for upland field, paddy field and non-cultivated field. The present invention accordingly further provides a herbicide comprising a suitable carrier and, as an effective ingredient, a uracil derivative of the invention as defined above.

[0017] The invention also provides a method for killing weeds at a locus, or inhibiting their growth, which comprises applying thereto a uracil derivative of the invention or a herbicide of the invention as defined above.

[0018] As subjective weeds of the compound of the present invention, there may be mentioned broad-leaved weeds such as Solanum nigrum, Datura nigrum, Abutilon theophrasti, Side spinosa, Ipomoea spps. of Ipomoea purpurea, Amaranthus lividus, Amaranthus vividis, Xanthium strumarium, Ambrosia artemisiaefolia, Helianthus annuus, Galinsoga ciliata, Cirsium arvense, Senecio vulgaris, Erigeron annus, Rorippa *indica,* Sinapis arvensis, Capsella Bursapastris, Polygonum Blumei, Polygonum convolvulus, Porthlaca oleracea, Chenopodium album, Chenopodium ficifolium, Kochias coparia, Stellaria media, Veronica persica, Commelina communis, Lamium amplexicaule, Lamium purpureum, Euphorbia supina, Euphorbia maculata, Galium aparine, Rubiaakane, Viola arvensis, Sesbania exaltata, Cassia obtusifolia and Bidens pilosa; Graminaceous weeds such as Sorgham bicolor, Panicum dichotomiflorum, Sorphum halepense, Echinochloa crus-galli, Digitaria adscendens, A vena fatua, Eleusine indica, Setaria viridis and Alopecurus aequalis; Cyperaceous weeds such as Cyperus rotundus; and Alisma canaliculatum, Sagittaria trifolia, Sagittaria pygmaea, Cyperus difformis, Cyperus serotinus, Scirpus juncoides, Eleocharis kuroguwai, Lindemia pyxidaria, Monochoria Vaginalis, Potamogeton distinctus, Rotala indica and Echinochloa oryzicola.

[0019] The compound of the present invention contains a compound which can be used safely to wheat, corn, barley, soybean, rice, cotton, sugar, beet, sorghum, etc. which are important crops.

[0020] Also, the compound of the present invention is available as a defoliant.

[0021] For applying the compound of the present invention as a herbicide, it may be generally applied by mixing with a suitable carrier such as a solid carrier, for example, clay, talc, bentonite, diatomaceous earth, white carbon, etc., or a liqiud carrier, for example, water, alcohols including isopropanol, butanol, benzyl alcohol and furfuryl alcohol, aromatic hydrocarbons including toluene and xylene, ethers including anisol, ketones including cyclohexanone and isophoron, esters including butyl acetate, acid amides including N-methylpyrrolidone, or halogenated hydrocarbons including chlorobenzene and the like. If desired, by adding a surfactant, an emulsifier, a dispersant, a penetrating agent, a spreading agent, a thickening agent, an antifreezing agent, an anticaking agent, a stabilizer, etc., it can be provided practically in an optional formulation such as a liquid formulation, an emulsifiable concentrate, a wettable powder, a dry flowable

formulation, a flowable formulation, a dust, a granule, etc.

[0022] The content of the compound of the present invention in the herbicide of the present invention may be an amount which develops the herbicidal activity and not particularly limited, but it is preferably 1 mg to 95 g per 100 g of the herbicide.

[0023] If necessary, the compound of the present invention may be mixed with any other herbicides, various insecticides, plant growth regulators, synergists, etc., and applied, when the formulation is prepared or applied.

[0024] Particularly, by mixing and applying with the other herbicides, a cost reduction by decreasing an applied dosage, an enlargement in weed control spectrum or an improvement in herbicidal activity due to synergistic effect of mixed agents can be expected. At this time, a plural known herbicides can be combined simultaneously. As a kind of a herbicide to be mixed with the compound of the present invention, there may be mentioned, for example, compounds described in Farm Chemicals Handbook, issued in 1990.

[0025] When the compound of the present invention is applied to the soybean, particularly preferred agents to be mixed with the compound of the present invention are trifluralin, pendimethalin, alachlor, metolachlor, metribuzin, linuron, chlorimuron ethyl, imazaquin, imazethapyr, dinoseb, bifenox, clomazone, etc.

[0026] When the compound of the present invention is mixed with the other agents, a mixing ratio (by weight) of the compound of the present invention to active components of the other agents is preferably 0.001 to 100 : 1 and a content proportion of the compound of the present invention in the mixed agents (herbicides) is preferably 1 mg to 95 g per 100 g of the herbicide.

[0027] An applied dosage of the compound of the present invention may vary depending on a locus to be applied, a time to be applied, a method for application, cultivated crops, etc., but generally it is suitable in an amount of about 0.0001 to 10 kg, preferably about 0.001 to 5 kg of active component per hectare (ha).

[0028] The compound of the present invention is a compound having the high herbicidal effect and the suitable residual activity with an extremely low dose, killing the weeds promptly after applying, having broad object of controllig the weeds, having many methods for application of agents, and showing substantially no chemical damage against the important crops.

<Best mode for practicing the invention>

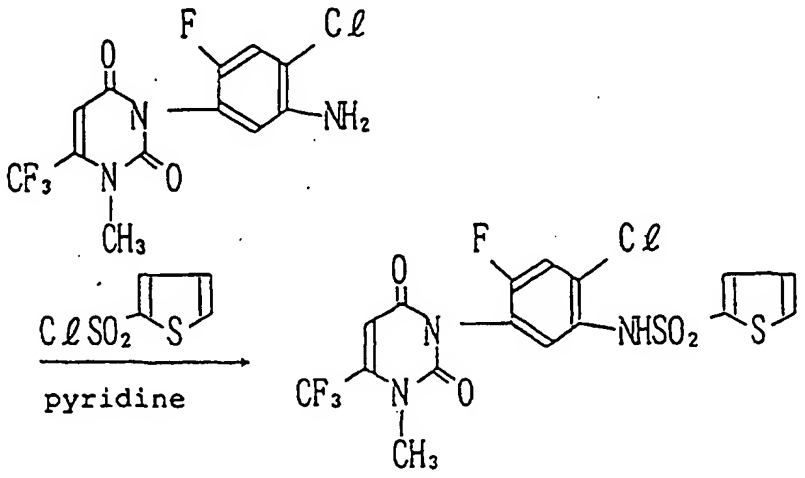
[0029] In the following, the present invention will be explained in more detail by referring to Examples, but the present invention is not limited by the following Examples so long as not exceeding the gist of the invention.

{Examples]

[Example 1]

Synthesis of 3-(4-chloro-2-fluoro-5-(2-thienylsulfonylamino)phenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione (Compound D-12)

[0030]

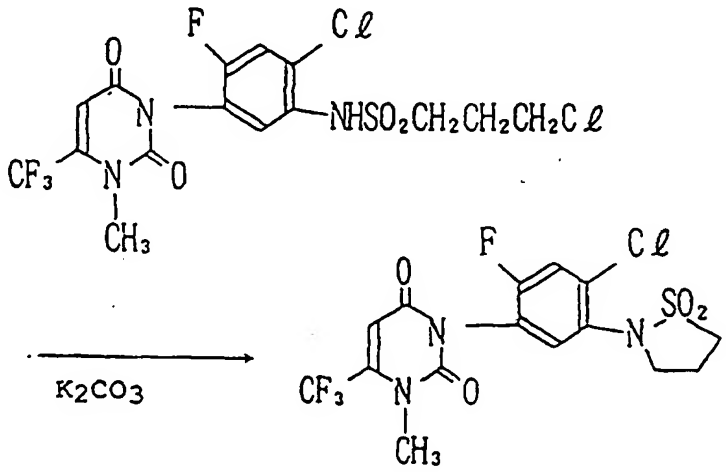


[0031] In 5 ml of pyridine was dissolved 0.32 g of 3-(5-amino-4-chloro-2-fluorophenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione, and then 0.19 g of 2-thiophensulfonyl chloride was added to the solution at 5 °C or lower. Thereafter, the temperature was increased to room temperature and the reaction was continued overnight. Then, pyridine was removed by distillation and the residue was dissolved in ethyl acetate. The solution was washed successively with water, diluted hydrochloric acid and a saturated saline solution, and dried over anhydrous sodium sulfate followed by removing ethyl acetate by distillation to obtain a crude product. This was washed with diisopropyl ether to obtain 0.3 g of the desired compound as white crystal.

[Example 2]

Synthesis of 3-(4-chloro-2-fluoro-5-(2,3,4,5-tetrahydroisothiazol-1,1-dioxide-2-yl)phenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione (Compound D-15)

[0032]

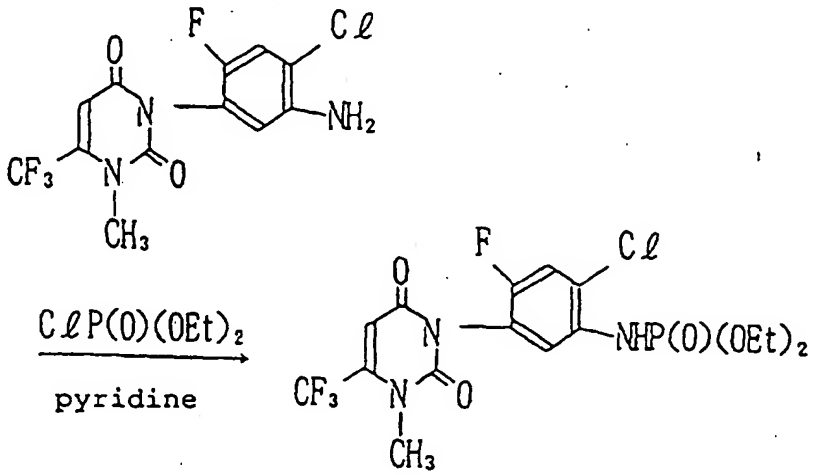


[0033] In 5 ml of N,N-dimethylformamide was dissolved 0.25 g of 3-(4-chloro-2-fluoro-5-(3-chloropropansulfonylamino)phenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione, and 0.08 g of anhydrous potassium carbonate was added thereto and the mixture was stirred at room temperature for 2 days. After removing N,N-dimethylformamide by distillation, the residue was dissolved in ethyl acetate, washed successively with water and a saturated saline solution, and dried over anhydrous sodium sulfate. By removing ethyl acetate by distillation, a crude product was obtained. This was purified by a preparative thin layer chromatography (developing solvent hexane : ethyl acetate = 3 : 2) to obtain 0.1 g of the desired compound as white crystal.

[Example 3]

Synthesis of 3-(4-chloro-2-fluoro-5-(O,O-diethylphosphorylamino)phenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione (Compound D-16)

[0034]

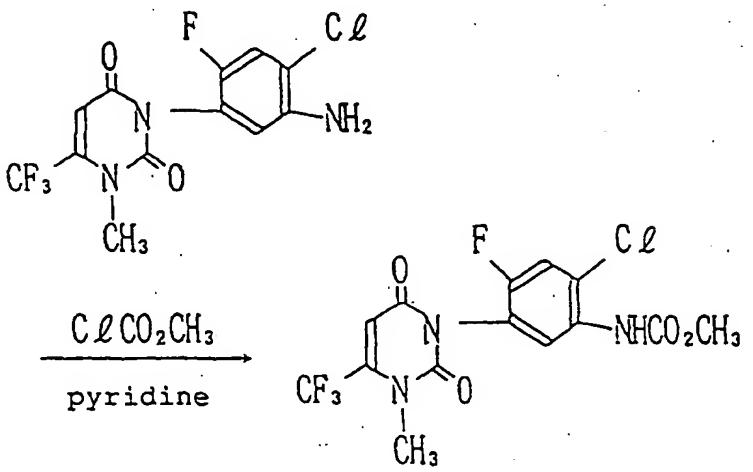


[0035] In 2 ml of pyridine was dissolved 0.50 g of 3-(5-amino-4-chloro-2-fluorophenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione, and then 0.22 ml of diethylchlorophosphate was added dropwise thereto at 5 °C or lower. Thereafter, the temperature was increased to room temperature and the reaction was continued overnight. Then, pyridine was removed by distillation and the residue was dissolved in ethyl acetate. The solution was washed successively with water, diluted hydrochloric acid and a saturated saline solution, and dried over anhydrous sodium sulfate followed by removing ethyl acetate by distillation to obtain a crude product. This was washed with diisopropyl ether to obtain 0.39 g of the desired compound as white crystal.

[Example 4]

Synthesis of 3-(4-chloro-2-fluoro-5-(methoxycarbonylaminophenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione (Compound D-22)

[0036]

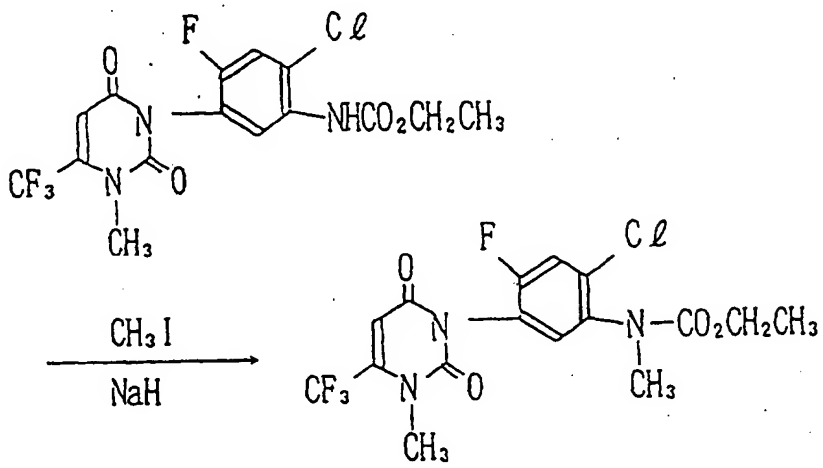


[0037] In 5 ml of pyridine was dissolved 0.38 g of 3-(5-amino-4-chloro-2-fluorophenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione, and then 0.11 g of methyl chloroformate was added thereto at 5 °C or lower. Thereafter, the temperature was increased to room temperature and the reaction was continued overnight. Then, pyridine was removed by distillation and the residue was dissolved in ethyl acetate. The solution was washed successively with water, diluted hydrochloric acid and a saturated saline solution, and dried over anhydrous sodium sulfate followed by removing ethyl acetate by distillation to obtain a crude product. This was washed with diisopropyl ether to obtain 0.28 g of the desired compound as white crystal.

[Example 5]

Synthesis of 3-(4-chloro-2-fluoro-5-(N-methyl)ethoxycarbonylaminophenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione (Compound D-24)

[0038]

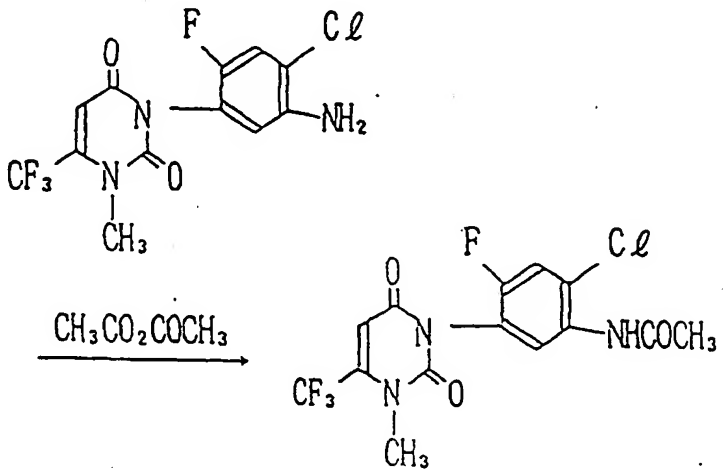


[0039] After adding 0.38 g of 3-(4-chloro-5-ethoxycarbonylamino-2-fluorophenyl)-1-methyl-6-trifluoromethyl-2,4-(1H,3H)-pyrimidinedione to a suspension of 0.04 g of sodium hydride in tetrahydrofuran (10 ml), 0.06 ml of methyl iodide was added dropwise thereto. After 2 hours, ice-water was added thereto and the mixture was extracted with ethyl acetate. The ethyl acetate layer was washed with a saturated saline solution and dried over anhydrous sodium sulfate followed by removing ethyl acetate by distillation to obtain a crude product. This was purified by a preparative thin layer chromatography (developing solvent hexane : ethyl acetate = 5 : 2) to obtain 0.22 g of the desired compound as white crystal.

[Example 6]

Synthesis of 3-(5-acetylamino-4-chloro-2-fluorophenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione (Compound D-17)

[0040]

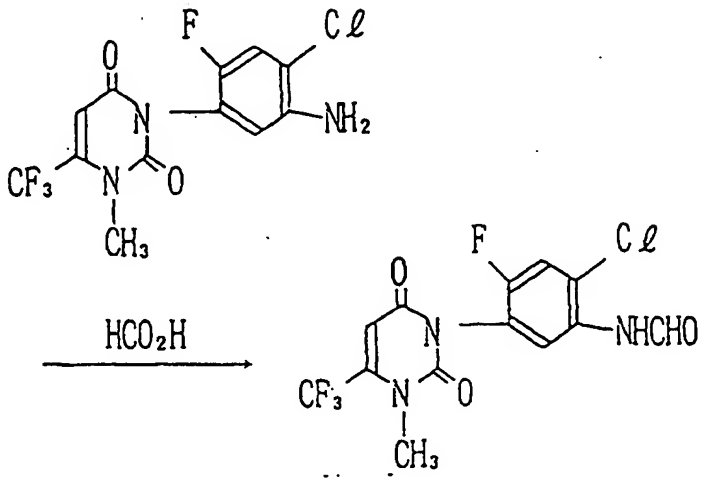


[0041] In 5 ml of benzene was dissolved 2.00 g of 3-(5-amino-4-chloro-2-fluorophenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione, and 0.61 ml of anhydrous acetic acid was added thereto and the mixture was refluxed for one hour. Benzene was removed by distillation to obtain a crude product. This was washed with hexane to obtain 2.20 g of the desired compound as white crystal.

[Example 7]

Synthesis of 3-(4-chloro-2-fluoro-5-formylaminophenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione (Compound D-21)

[0042]

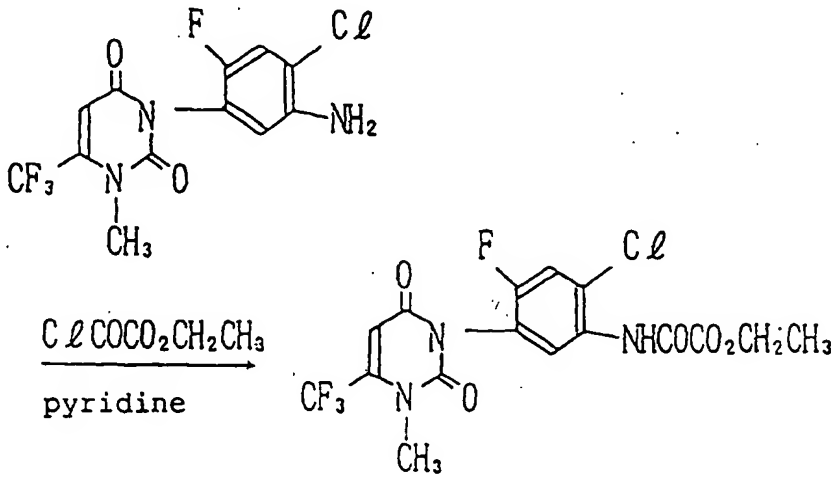


[0043] After stirring 0.080 g of formic acid and 0.180 g of anhydrous acetic acid at 60 °C for one hour, the mixture was cooled to 30 °C. To the mixture was added a mixture of 0.500 g of 3-(5-amino-4-chloro-2-fluorophenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione and 4 ml of chloroform, and the mixture was stirred for one hour. After refluxing for further one hour, 1.3 ml of formic acid was added to the mixture and the mixture was refluxed for one hour. The solvent was removed by distillation using a vacuum pump to obtain a crude product. This was washed with hexane and dried to obtain 0.510 g of the desired compound as brownish white crystal.

[Example 8]

Synthesis of 3-(4-chloro-5-ethoxalylamino-2-fluorophenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione (Compound D-35)

[0044]

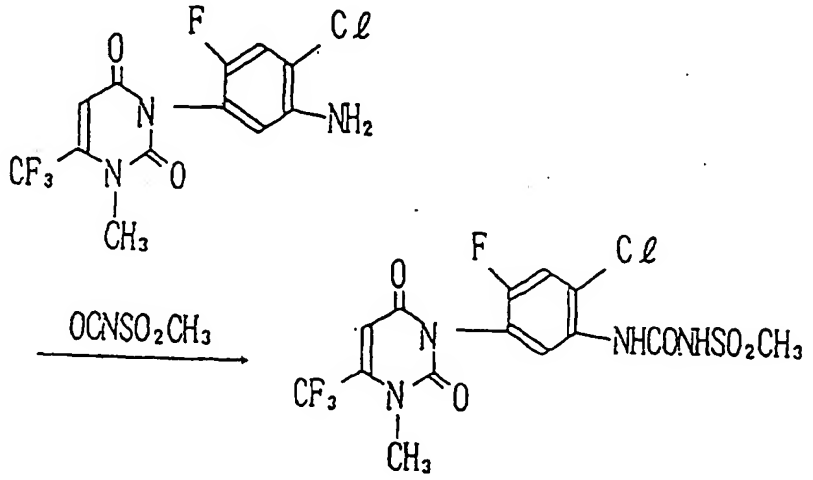


[0045] In 5 ml of pyridine was dissolved 0.39 g of 3-(5-amino-4-chloro-2-fluorophenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione, and 0.17 g of ethyl oxalyl chloride was added thereto at 5 °C or lower. Thereafter, the temperature was increased to room temperature and the reaction was continued overnight. Then, pyridine was removed by distillation and the residue was dissolved in ethyl acetate. The mixture was washed successively with water, diluted hydrochloric acid and a saturated saline solution, and dried over anhydrous sodium sulfate followed by removing ethyl acetate by distillation to obtain a crude product. This was washed with diisopropyl ether to obtain 0.29 g of the desired compound as white crystal.

[Example 9]

Synthesis of 3-(4-chloro-2-fluoro-5-(3-methansulfonylureyen-1-yl)phenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione (Compound D-33)

[0046]

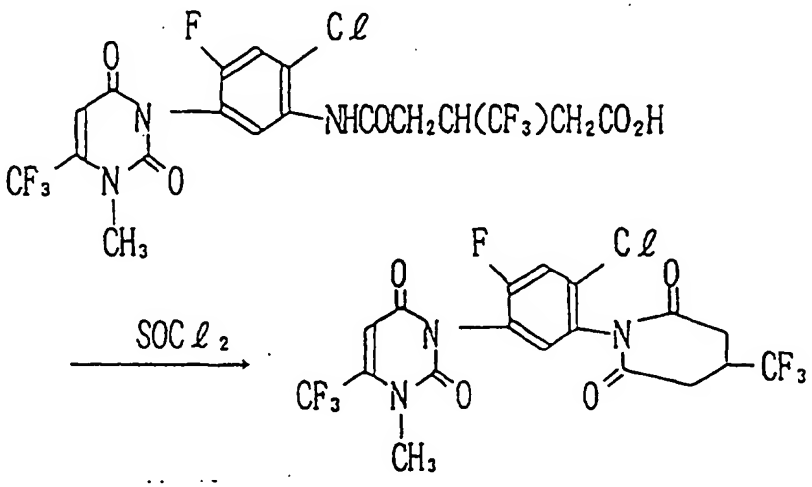


[0047] In 10 ml of dry tetrahydrofuran was dissolved 0.34 g of 3-(5-amino-4-chloro-2-fluorophenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione, and 0.15 g of methylsulfonylisocyanate was added thereto and the mixture was stirred at 30-40 °C for 2 hours. After cooling by allowing to stand, precipitated crystals were collected by filtration and washed with n-hexane to obtain 0.28 g of the desired compound as white crystal.

[Example 10]

Synthesis of 3-(4-chloro-5-(2,6-dioxo-4-trifluoromethylpiperidin-1-yl)-2-fluorophenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione (Compound D-40)

[0048]



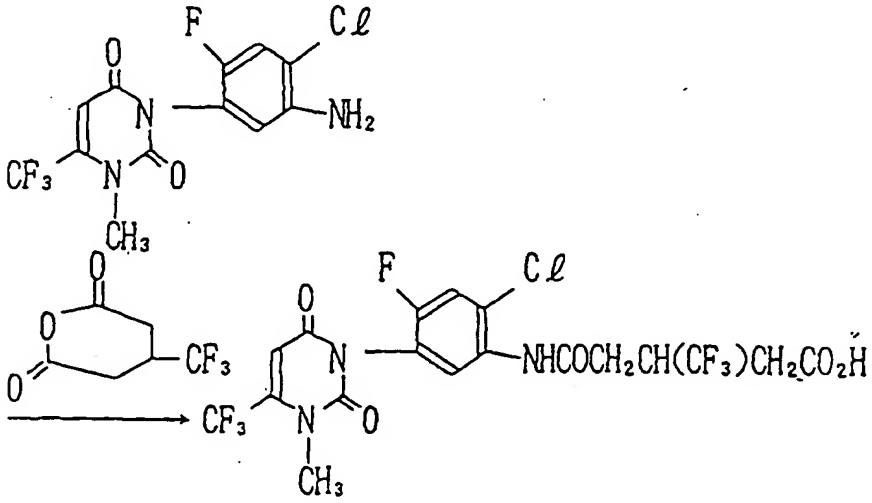
[0049] To a solution of 0.50 g of 4-(N-(2-chloro-4-fluoro-5-(1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedion-

3-yl)phenyl)carbamoyl)-3-trifluoromethylbutyric acid and 7 ml of dry tetrahydrofuran was added dropwise 0.23 g of thionyl chloride at room temperature. After stirring for 2 hours under reflux, the temperature was cooled to room temperature, and the solvent was removed by distillation under reduced pressure. The resulting crude product was extracted with ethyl acetate, washed successively with water, a saturated aqueous solution of sodium hydrogen carbonate and a saturated saline solution, and dried over anhydrous sodium sulfate followed by removing ethyl acetate by distillation to obtain 0.50 g of the desired compound as crystal.

[Example 11]

Synthesis of 4-(N-(2-chloro-4-fluoro-5-(1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedion-3-yl)phenyl)carbamoyl)-3-trifluoromethylbutyric acid (Compound D-37)

[0050]

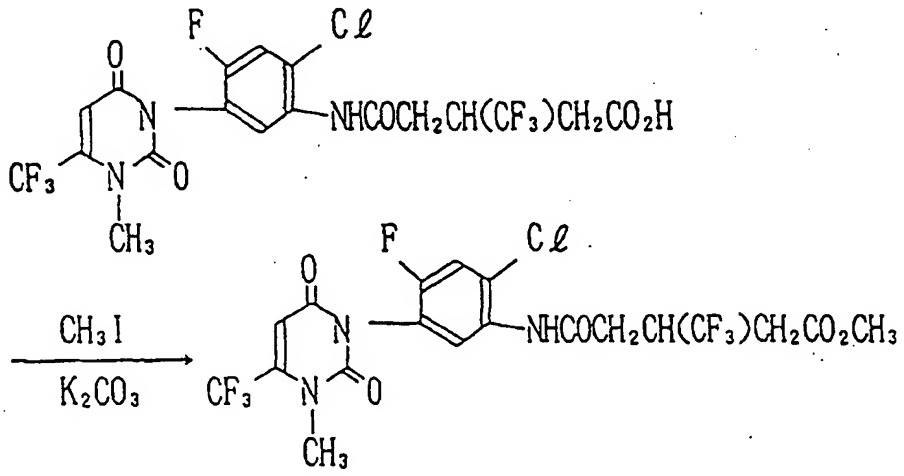


[0051] A mixture of 0.50 g of 3-(5-amino-4-chloro-2-fluorophenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione, 0.27 g of 3-trifluoromethylglutaric anhydride and 6 ml of toluene was stirred at 100 °C for one hour. After cooling to room temperature, the solvent was removed by distillation under reduced pressure to obtain 0.7 g of the desired compound as crystal.

[Example 12]

Synthesis of methyl 4-(N-(2-chloro-4-fluoro-5-(1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedion-3-yl)phenyl) carbamoyl)-3-trifluoromethylbutyrate (Compound D-38)

[0052]

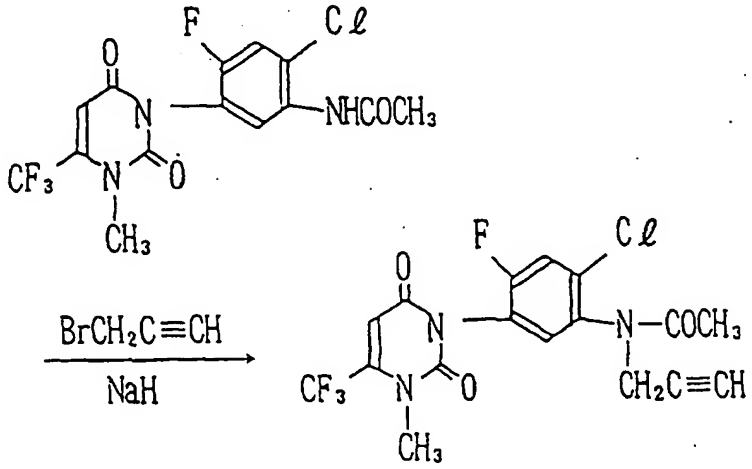


[0053] To a mixture of 0.20 g of 4-(N-(2-chloro-4-fluoro-5-(1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedion-3-yl)phenyl)carbamoyl)-3-trifluoromethylbutyric acid, 0.06 g of potassium carbonate and 4 ml of N,N-dimetylformamide was added 0.05 g of methyl iodide at room temperature and the mixture was stirred at room temperature for 3 hours. The mixture was diluted with ethyl acetate and washed successively with water and a saturated saline solution, and dried over anhydrous sodium carbonate followed by removing ethyl acetate by distillation to obtain 0.44 g of the desired compound as crystal.

[Example 13]

Synthesis of 3-(5-(N-acetyl)propargylamino-4-chloro-2-fluorophenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione (Compound D-20)

[0054]

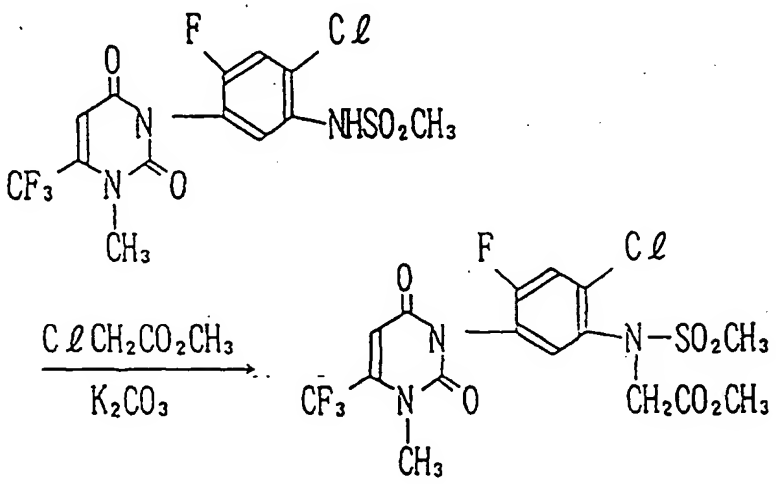


[0055] A mixture of 1.05 g of 3-(5-acetylamino-4-chloro-2-fluorophenyl)-1-methyl-6-trifluoromethyl-2,4-(1H,3H)-pyrimidinedione and 9 ml of N,N-dimethylformamide was cooled to 0 °C, and 0.13 g of sodium hydride was added to the solution and the mixture was stirred until the temperature was increased to room temperature. Then, 0.33 g of propargyl bromide was added to the mixture and the mixture was stirred at room temperature for 2 days. The mixture was diluted with ethyl acetate and washed successively with water and a saturated saline solution, and dried over anhydrous sodium carbonate followed by removing ethyl acetate by distillation to obtain 0.93 g of the desired compound as crystal.

[Example 14]

Synthesis of 3-(4-chloro-2-fluoro-5-(N-methanesulfonyl)methoxycarbonylmethylaminophenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione (Compound D-13)

[0056]

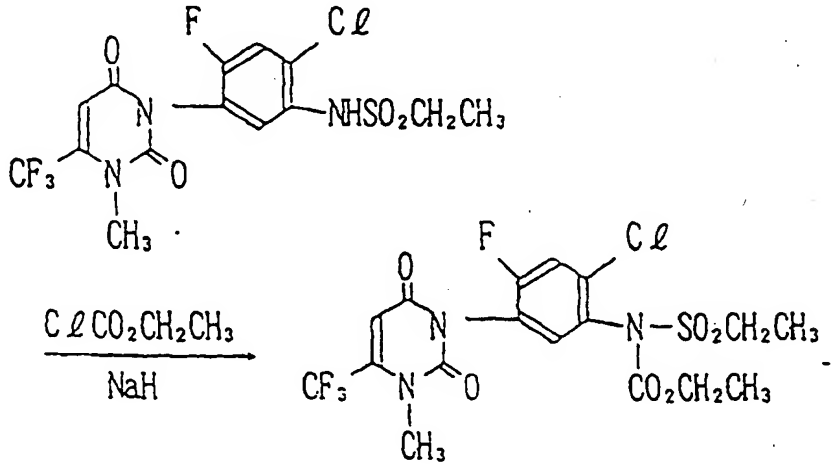


[0057] In 5 ml of N,N-dimethylformamide was dissolved 0.50 g of 3-(4-chloro-2-fluoro-5-methanesulfonylaminophenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione, and 0.17 g of anhydrous potassium carbonate and 0.11 ml of methyl chloroacetate were added thereto and the mixture was stirred at room temperature overnight. After removing N,N-dimethylformamide by distillation, the residue was dissolved in ethyl acetate, washed successively with water and a saturated saline solution, and dried over anhydrous sodium sulfate. By removing ethyl acetate by distillation, a crude product was obtained. This was purified by a preparative thin layer chromatography (developing solvent hexane : ethyl acetate = 1 : 1) to obtain 0.19 g of the desired compound as colorless viscous oily product.

[Example 15]

Synthesis of 3-(4-chloro-5-(N-ethanesulfonyl)ethoxycarbonylmethylamino-2-fluorophenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione (Compound D-14)

[0058]

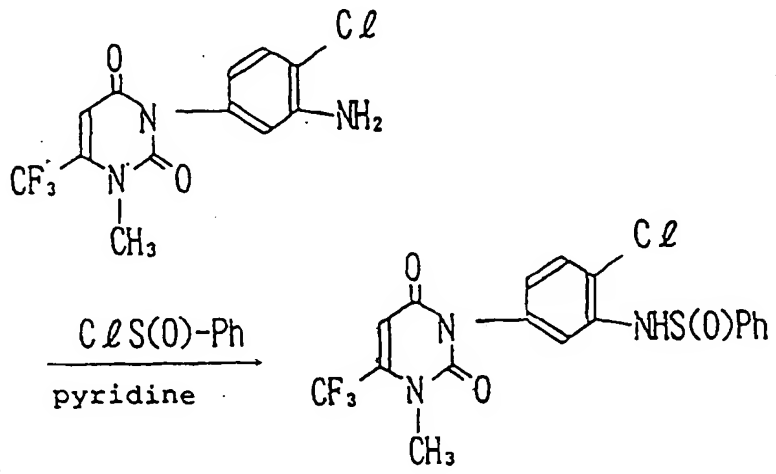


[0059] In 5 ml of N,N-dimethylformamide was dissolved 0.30 g of 3-(4-chloro-5-ethanesulfonylamino-2-fluorophenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione, and 0.03 g of 60 % sodium hydride and 0.10 g of ethyl chloroformate were added thereto and the mixture was stirred at room temperature for 4 days. After removing N,N-dimethylformamide by distillation, the residue was dissolved in ethyl acetate, washed successively with water and a saturated saline solution, and dried over anhydrous sodium sulfate. By removing ethyl acetate by distillation, a crude product was obtained. This was purified by a preparative thin layer chromatography (developing solvent hexane : ethyl acetate = 3 : 2) to obtain 0.10 g of the desired compound as white crystal.

[Example 16]

Synthesis of 3-(5-benzensulfinamino-4-chlorophenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione (Compound D-46)

[0060]

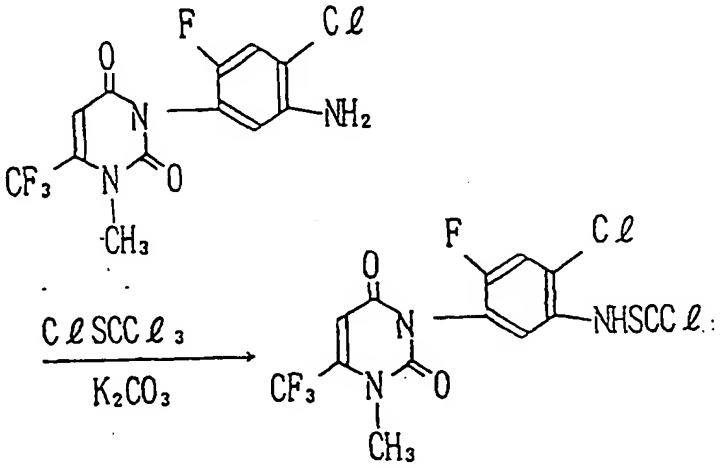


[0061] In 5 ml of pyridine was dissolved 0.34 g of 3-(3-amino-4-chlorophenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione, and 0.19 g of benzenesulfinyl chloride was added thereto at 5 °C or lower. After reacting the mixture for one hour, pyridine was removed by distillation and the residue was dissolved in ethyl acetate. The reaction mixture was washed successively with water, diluted hydrochloric acid and a saturated saline solution, and dried over anhydrous sodium sulfate followed by removing ethyl acetate by distillation to obtain a crude product. This was purified by a preparative thin layer chromatography (developing solvent hexane : ethyl acetate = 3 : 1) and recrystallized from n-hexane to obtain 0.03 g of the desired compound as white crystal.

[Example 17]

Synthesis of 3-(4-chloro-2-fluoro-5-trichloromethylthioaminophenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione (Compound D-42)

[0062]

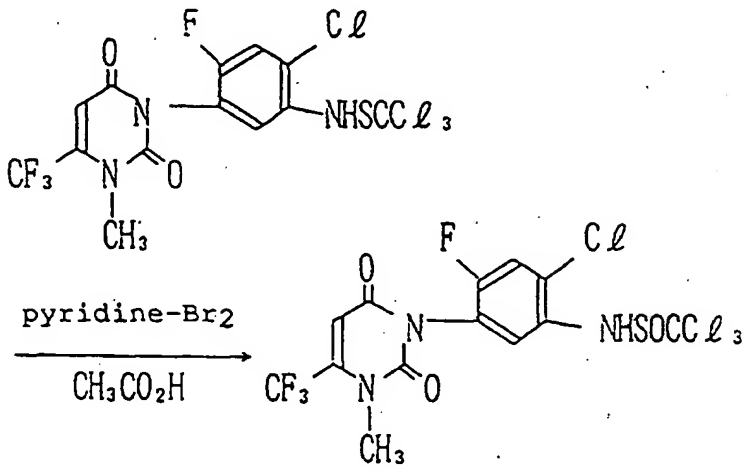


[0063] In 5 ml of N,N-dimethylformamide was dissolved 0.73 g of 3-(5-amino-4-chloro-2-fluorophenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione, and 0.33 g of anhydrous sodium carbonate and 0.44 g of perchloromethylmercaptane were added thereto and the mixture was stirred at room temperature for 1.5 hours. After removing N,N-dimethylformamide by distillation, the residue was dissolved in ethyl acetate, washed successively with water and a saturated saline solution, and dried over anhydrous sodium sulfate. By removing ethyl acetate by distillation, a crude product was obtained. This was purified by a preparative thin layer chromatography (developing solvent hexane : ethyl acetate = 3 : 1) to obtain 0.79 g of the desired compound as colorless viscous oily product.

[Example 18]

Synthesis of 3-(4-chloro-2-fluoro-5-trichloromethanesulfinaminophenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione (Compound D-43)

[0064]

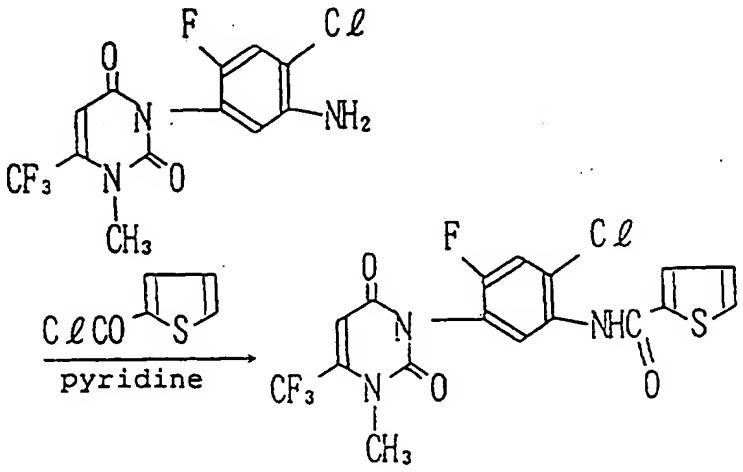


[0065] In 10 ml of 70 % acetic acid was dissolved 0.29 g of 3-(4-chloro-2-fluoro-5-trichloromethylthioaminophenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione, and 0.14 g of pyridine-bromine complex was added thereto and the mixture was stirred at room temperature for one hour. After removing acetic acid by distillation, the residue was dissolved in ethyl acetate, washed successively with water, a saturated aqueous solution of sodium hydrogen carbonate and a saturated saline solution, and dried over anhydrous sodium sulfate. By removing ethyl acetate by distillation, a crude product was obtained. This was purified by a preparative thin layer chromatography (developing solvent hexane : ethyl acetate = 5 : 1) to obtain 0.05 g of the desired compound as white crystal.

[Example 19]

Synthesis of 3-(4-chloro-2-fluoro-5-(2-thenoylamino)phenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione (Compound D-45)

[0066]

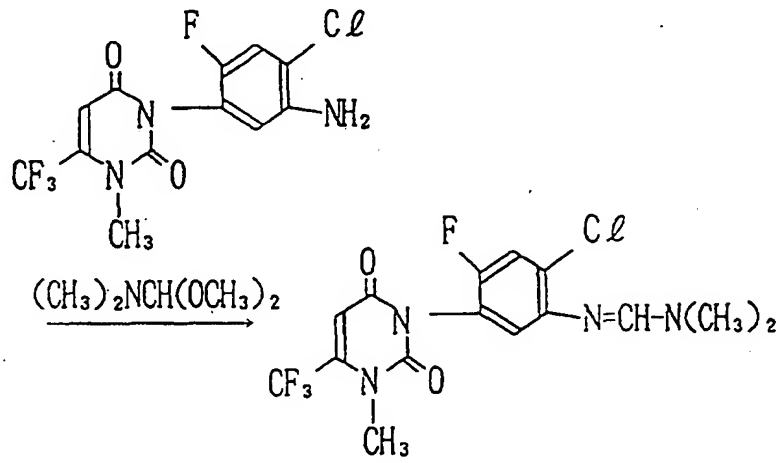


[0067] In 5 ml of pyridine was dissolved 0.34 g of 3-(5-amino-4-chloro-2-fluorophenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione, and 0.17 g of 2-thiophencarbonyl chloride was added thereto at 5 °C or lower. Thereafter, the temperature was increased to room temperature and the reaction was continued overnight. Then, pyridine was removed by distillation and the residue was dissolved in ethyl acetate. The solution was washed successively with water, diluted hydrochloric acid and a saturated saline solution, and dried over anhydrous sodium sulfate followed by removing ethyl acetate by distillation to obtain a crude product. This was washed with diisopropyl ether to obtain 0.30 g of the desired compound as white crystal.

[Example 20]

Synthesis of 3-(4-chloro-2-fluoro-5-(N,N-dimethylmethylideneamino)phenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione (Compound D-44)

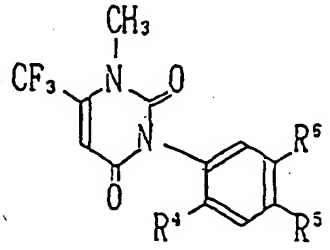
[0068]



[0069] In 2 ml of N,N-dimethylformamide was dissolved 0.40 g of 3-(5-amino-4-chloro-2-fluorophenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione, and 0.14 g of N,N-dimethylformamidedimethylacetal was added thereto. After reacting the mixture at 80 °C for 10 hours, N,N-dimethylformamide was removed by distillation to obtain 0.50 g of the desired compound as brownish viscous oily product.

[0070] The compounds of the present invention synthesized in accordance with the above scheme or Examples including the compounds synthesized in the above Examples are shown in Tables 1 and 2 with their chemical structures and physical properties, respectively.

Table 1



Compound No.	R^4	R^5	R^6
D − 1	F	Cℓ	$NHSO_2C_6H_5$
D − 2	F	Cℓ	$N(CH_3)SO_2C_6H_5$
D − 3	F	Cℓ	$NHSO_2$-(4-F-C_6H_4)
D − 4	F	Cℓ	$NHSO_2$-(4-Cℓ-C_6H_4)
D − 5	F	Cℓ	$NHSO_2$-(4-OCH_3-C_6H_4)
D − 6	F	Cℓ	$NHSO_2$-(2-CH_3-C_6H_4)
D − 7	F	Cℓ	$NHSO_2$-(3-CH_3-C_6H_4)
D − 8	F	Cℓ	$NHSO_2$-(4-CH_3-C_6H_4)
D − 9	F	Cℓ	$NHSO_2$-(2-NO_2-C_6H_4)
D − 10	F	Cℓ	$NHSO_2$-(3-NO_2-C_6H_4)
D − 11	F	Cℓ	$NHSO_2$-(4-NO_2-C_6H_4)
D − 12	F	Cℓ	$NHSO_2$-Q_4
D − 13	F	Cℓ	$N(SO_2CH_3)CH_2CO_2CH_3$
D − 14	F	Cℓ	$N(SO_2C_2H_5)CO_2C_2H_5$
D − 15	F	Cℓ	Q_{38}
D − 16	F	Cℓ	$NHP(O)(OC_2H_5)_2$
D − 17	F	Cℓ	$NHCOCH_3$

Table 1 (Contd.)

Compound No.	R^4	R^5	R^6
D-18	F	Cℓ	$NHCOCCℓ_3$
D-19	F	Cℓ	$NHCOCF_3$
D-20	F	Cℓ	$N(CH_2C\equiv CH)COCH_3$
D-21	F	Cℓ	NHCHO
D-22	F	Cℓ	$NHCO_2CH_3$
D-23	F	Cℓ	$NHCO_2C_2H_5$
D-24	F	Cℓ	$N(CH_3)CO_2C_2H_5$
D-25	F	Cℓ	$NHCO_2CH_2CH_2CH_3$
D-26	F	Cℓ	$NHCO_2(CH_2)_3CH_3$
D-27	F	Cℓ	$NHCO_2CH_2CH(CH_3)_2$
D-28	F	Cℓ	$NHCO_2CH_2CH_2Cℓ$
D-29	F	Cℓ	$NHCO_2CH_2CCℓ_3$
D-30	F	Cℓ	$NHCO_2CH_2CH_2OCH_3$
D-31	F	Cℓ	$NHCO_2CH_2C_6H_5$
D-32	F	Cℓ	$NHCO_2C_6H_5$
D-33	F	Cℓ	$NHCONHSO_2CH_3$
D-34	F	Cℓ	$NHCONHCH_3$

Table 1 (Contd.)

Compound No.	R^4	R^5	R^6
D-35	F	Cℓ	$NHCOCO_2C_2H_5$
D-36	F	Cℓ	$NHCOCH_2CH(CH_3)CH_2CO_2H$
D-37	F	Cℓ	$NHCOCH_2CH(CF_3)CH_2CO_2H$
D-38	F	Cℓ	$NHCOCH_2CH(CF_3)CH_2CO_2CH_3$
D-39	F	Cℓ	4-Me-Q_{30}
D-40	F	Cℓ	4-CF_3-Q_{30}
D-41	F	Cℓ	Q_{43}
D-42	F	Cℓ	$NHSCCℓ_3$
D-43	F	Cℓ	$NHSOCCℓ_3$
D-44	F	Cℓ	$N=CHN(CH_3)_2$
D-45	F	Cℓ	$NHCO-Q_4$
D-46	H	Cℓ	$NHSOC_6H_5$
D-47	Cℓ	F	$NHCOCH_2CH(CF_3)CH_2CO_2C_2H_5$
D-48	H	Cℓ	$NHSO_2C_6H_5$
D-49	F	Cℓ	$NHSO_2$-(2-$CO_2C_2H_5$-C_6H_4)
D-50	F	Cℓ	$NHC(O)SCH_3$
D-51	F	Cℓ	$NHCO_2CH_2$-(4-F-C_6H_4)

Table 1 (Contd.)

Compound No.	R^4	R^5	R^6
D-52	F	Cℓ	$NHCO_2CH_2$-(4-Cℓ-C_6H_4)
D-53	F	Cℓ	$NHCO_2CH_2$-(4-CH_3-C_6H_4)
D-54	F	Cℓ	$NHCO_2CH_2C(CH_3)_3$
D-55	F	Cℓ	$NHC(O)SCH_2C_6H_5$
D-56	F	F	$NHSO_2C_6H_5$
D-57	Cℓ	Cℓ	$NHSO_2C_6H_5$
D-58	H	Cℓ	$NHCO_2CH_3$
D-59	F	F	$NHCO_2CH_3$
D-60	Cℓ	Cℓ	$NHCO_2CH_3$
D-61	F	Br	$NHCO_2C_2H_5$
D-62	F	Br	$NHSO_2C_6H_5$
D-63	F	Cℓ	$NHCO_2CH_2$-(4-CH_3O-C_6H_4)
D-64	F	Cℓ	$NHCO_2CH_2$-(3-CH_3-C_6H_4)
D-65	F	Cℓ	$NHCO_2CH_2$-(2-CH_3-C_6H_4)
D-66	F	Br	$NHCO_2CH_3$
D-67	F	Br	$NHCO_2CH_2CH_2CH_3$
D-68	H	Br	$NHCO_2CH_3$

Table 1 (Contd.)

Compound No.	R^4	R^5	R^6
D-69	F	Br	$NHCO_2CH_2C_6H_5$
D-70	F	Cℓ	$NHCO_2CH_2$-(4-C_2H_5-C_6H_4)
D-71	F	Cℓ	$NHCO_2CH_2$-(4-$C(CH_3)_3$-C_6H_4)
D-72	F	Cℓ	$NHCO_2CH_2$-(4-CF_3-C_6H_4)
D-73	F	Cℓ	$NHCO_2CH_2$-(4-NO_2-C_6H_4)
D-74	F	Cℓ	$NHCO_2CH_2$-Q_1
D-75	F	Cℓ	$NHCO_2CH_2$-Q_4
D-76	F	Cℓ	$NHCO_2CH(CH_3)C_6H_5$
D-77	F	Cℓ	$NHCO_2CH_2CH_2C_6H_5$
D-78	F	Cℓ	$NHCO_2CH_2CF_3$
D-79	F	Cℓ	$NHCO_2CH_2$-(cyclopentyl)
D-80	F	Cℓ	$NHCO_2CH_2CH=CHCH_3$ (trans)
D-81	F	Cℓ	$NHCONHCH_2C_6H_5$
D-82	F	Cℓ	$NHCO_2CH_2CH=CH_2$
D-83	F	Cℓ	$NHCO_2CH_2CH=CHC_6H_5$
D-84	F	Cℓ	$NHCO_2CH_2$-Q_2
D-85	F	Cℓ	$NHCO_2CH_2$-Q_3

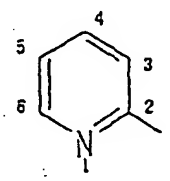
Table 1 (Contd.)

Compound No.	R^4	R^5	R^6
D-86	F	Cℓ	$NHCO_2CH_2$-Q_{48}
D-87	F	Cℓ	$NHCO_2CH_2$-(β-naphthyl)
D-88	F	Cℓ	$NHCO_2$-(4-CH_3-C_5H_4)
D-89	F	Cℓ	$NHCON(CH_3)CH_2C_6H_5$
D-90	F	Cℓ	$NHCO$-Q_{32}
D-91	F	Cℓ	$NHC(S)OCH_3$
D-92	F	Cℓ	$NHSO_2CH_2C_6H_5$
D-93	F	Cℓ	$NHC(S)SCH_3$
D-94	F	Cℓ	$NHC(S)OCH_2C_6H_5$
D-95	F	Cℓ	$N(CHO)CH_2CO_2CH_3$
D-96	F	Cℓ	$NHCH_2CO_2CH_3$
D-97	F	Cℓ	$NHCH(CH_3)CO_2CH_3$
D-98	F	Cℓ	$NHCH(CH_3)CO_2C_2H_5$
D-99	F	Cℓ	$NHCH_2P(O)(OC_2H_5)_2$
D-100	F	Cℓ	$NHCH_2SCH_3$
D-101	F	Cℓ	$NHCH_2SO_2CH_3$
D-102	F	Cℓ	$NHCH_2CN$

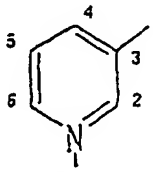
Table 1 (Contd.)

Compound No.	R^4	R^5	R^6
D-103	F	Cℓ	$NHCO_2CH_2$-(2,4-$(CH_3)_2$-C_6H_3)
D-104	F	Cℓ	$NHCO_2CH_2$-(3,4-$(CH_3)_2$-C_6H_3)

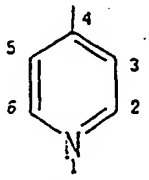
in which Q_1, Q_2, Q_3, Q_4, Q_{30}, Q_{32}, Q_{38}, Q_{43} and Q_{48} are as shown below.



Q1



Q2



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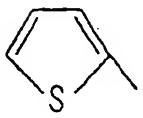
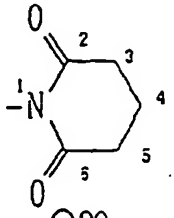
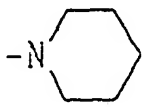


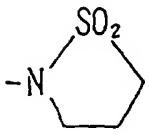
Q4



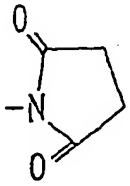
Q30



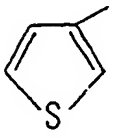
Q32



Q38



Q43



Q48

Table 2

Compound No.	^{1}H-NMR δ (ppm) [solvent]	Physical property
D - 1	3.60 (3H, s), 6.35 (1H, s), 7.12 (1H, d, J=8Hz), 7.39-7.81 (7H, m) [$CDC\ell_3$]	Melting point 188-190°C
D - 2	3.18 (3H, s), 3.53 (3H,s), 6.33 (1H, s), 7.05-7.83(7H, m) [$CDC\ell_3$]	Melting point 148-150°C
D - 3	3.54 (3H, s), 6.36 (1H, s), 6.93-7.29 (4H, m), 7.62-7.88(3H,m) [$CDC\ell_3$]	Melting point 190-192°C
D - 4	3.52 (3H, s), 6.36 (1H, s), 6.98-7.80 (7H, m) [$CDC\ell_3$]	Melting point 211-212°C
D - 5	3.52 (3H, s), 3.77 (3H, s), 6.28 (1H, s), 6.70-7.16(4H, m), 7.48-7.69 (3H, m) [$CDC\ell_3$]	Melting point 178-179°C
D - 6	2.63 (3H, s), 3.52 (3H, s), 6.37 (1H, s), 6.90-7.80 (7H,m) [$CDC\ell_3$]	Melting point 183-185°C
D - 7	2.34 (3H, s), 3.52 (3H, s), 6.39 (1H, s), 6.87-7.72 (7H, m) [$CDC\ell_3$]	Melting point 182-184°C
D - 8	2.38 (3H, s), 3.57 (3H, s), 6.37 (1H, s), 7.08-7.33 (3H,m), 7.57-7.78 (4H,m) [$CDC\ell_3$]	Melting point 194-195°C
D - 9	3.54 (3H,s), 6.27 (1H, s), 7.01-7.25 (1H, m), 7.53-7.90 (6H,m) [$CDC\ell_3$]	Glass-like oily product
D - 10	3.56 (3H, s), 6.37 (1H, s), 7.02-8.80 (6H, m) [$CDC\ell_3$]	Glass-like oily product
D - 11	3.57 (3H,s), 6.29 (1H,s), 7.00-7.25 (2H,m) 7.57 - 8.25 (5H, m) [$CDC\ell_3$]	Melting point 210-212°C
D - 12	3.58 (3H,s), 6.30 (1H, s), 6.93-7.31 (3H,m), 7.45-7.82 (3H,m) [$CDC\ell_3$]	Melting point 173-174°C
D - 13	3. 11 (3H, s), 3. 53 (3H, s), 3.71(3H, s), 4.12 (2H, s), 6. 34 (1H, s), 7.41 (1H, d, J=9Hz), 7.80 (1H, d, J=7Hz) [$CDC\ell_3$]	Viscous oily product
D - 14	1.36 (3H, t, J=7Hz), 1.43 (3H, t, J=7Hz), 3.51 (3H, s), 3. 80 (2H, q, J=7Hz), 4.27 (2H, q, J=7Hz), 6.21 (1H, s), 7.31 (1H, s), 7.47 (1H, d, J=2Hz) [$CDC\ell_3$]	Melting point 155-157°C
D - 15	2.52 (2H, q, J=6Hz), 3.12-3.19 (4H, m),	

Table 2 (continued)

Compound No.	^{1}H-NMR δ (ppm) [solvent]	Physical property
	3.47 (3H, s), 6.19 (1H, s), 7.24 (1H, d, J=3Hz), 7.31 (1H, br s), 7.41 (1H, d, J=7Hz) [CDCℓ_3]	Melting point 192-193°C
D - 16	1.20 (6H, t, J = 7Hz), 3.38 (3H, s), 2.97 (4H, dq, J=7Hz), 5.41 (1H, d, J=7Hz), 6.12 (1H, s), 7.04 (1H, d, J=9Hz), 7.06 (1H, d, J=7Hz) [CDCℓ_3]	Melting point 130-132°C
D - 17	2.15 (3H,s), 3.47 (3H,s), 6.54 (1H,s), 7.70 (1H, d, J=9Hz), 7.90 (1H, d, J=8Hz), 9.56 (1H, br s) [d_6-DMSO]	Melting point 263-266°C
D - 18	3.45 (3H, s), 6.2 (1H,s), 7.25 (1H, d, J=9Hz), 8.13 (1H,d,J=7Hz), 8.86 (1H,br s) [CDCℓ_3]	Viscous oily product
D - 19	3.44 (3H, s), 6.21 (1H, s), 7.22 (1H, d, J=9Hz), 8.04 (1H, d, J=7Hz), 8.44 (1H, br s) [CDCℓ_3]	Melting point 144-145°C
D - 20	1.87 (3H, s) , 2.20 (1H, t, J=2Hz), 3.53 (3H, s), 3.62-4.11 (1H, m), 4.78-5.21 (1H, m), 6.28 (1H, s), 7.28 (1H, d, J=7Hz), 7.35 (1H, d, J=10Hz) [CDCℓ_3]	Melting point 169-171°C
D - 21	3.42 (3H, s), 6.28 (1H, s), 7.34 (1H, d, 1=10Hz), 8.17 (1H, d, J =8Hz), 8.27 (1H, br s), 9.67 (1H, br s), [d_6-DMSO]	Melting point 268-270°C
D - 22	3.51 (3H, s), 3.72 (3H, s), 6.30 (1H, H, s), 7.10 (1H, br s), 7.27 (1H, d, J=9Hz), 8. 18 (1H, d, J =7Hz) [CDCℓ_3]	Melting point 136-138°C
D - 23	1.26 (3H, t, J=7Hz), 3.37 (3H, s) , 4.16 (2H, q, J=7Hz), 6.45 (1H, s), 7.57 (1H, d, J=9Hz), 7.77 (1H, d, J=7Hz), 9.10 (1H, br s) [d_6-DMSO]	Melting point 153-155°C
D - 24	1.16 (1H, t, J=7Hz), 3. 16 (3H, s) , 3. 49 (3H, s), 3. 51 (2H, q, J=7Hz), 6.24 (1H, s), 7.07 (1H, d, J=7Hz), 7.23 (1H, d, J=9Hz) [CDCℓ_3]	Melting point 144-146°C
D - 25	0.94 (3H, t, J=7Hz), 1.70 (2H, m), 3.47 (3H, s), 4.04 (2H, t, J=7Hz), 6.21 (1H, s), 6.95 (1H, br s), 7.14 (1H, d, J=9Hz), 8.06 (1H, d, J=7Hz) [CDCℓ_3]	Melting point 152-154°C

Table 2 (continued)

Compound No.	^{1}H-NMR δ (ppm) [solvent]	Physical property
D - 26	0.98 (3H, t, J=6Hz), 1.18-1.73 (4H, m), 3.48 (3H, s), 4.12 (2H, t, J=6Hz), 6.25 (1H, s), 6.98(1H, br s), 7.16 (1H, d, J=9Hz), 8.11 (1H, d, J=7Hz) [$CDC\ell_3$]	Melting point 117-118°C
D - 27	0. 98 (6H, d, J=6Hz), 1.18 - 2. 25 (1H, m), 3.50 (3H, s), 3.91 (2H, d, J=6Hz), 6.24 (1H, s), 7.00 (1H, br s), 7. 18 (1H, d, J=9Hz), 8.11 (1H, d, J=7Hz) [$CDC\ell_3$]	Melting point 129-131°C
D - 28	3.50 (3H, s), 3.67 (2H, t, J= 6Hz) 4.38 (2H, t, J=6Hz), 6.24 (1H, s), 7.09 (1H, br s), 7.18 (1H, H, d, J=9H), 8.08 (1H, d, J=7Hz) [$CDC\ell_3$]	Melting point 153-154°C
D - 29	3.49 (3H, s), 4. 71 (2H, s), 6.22 (1H, s), 7.17 (1H, d, J=8Hz), 7. 22 (1H, br s), 8.00 (1H, d, J=7Hz) [$CDC\ell_3$]	Melting point 158-161°C
D - 30	3.36 (3H, s), 3.51(3H, s), 3.55-3.69 (2H, m), 4.20-4.40 (2H, m), 6.23 (1H, s), 7.10 (1H, br s), 7.16 (1H, d, J=9Hz), 8.07 (1H, d, J=7Hz) [$CDC\ell_3$]	Melting point 119-120°C
D - 31	2.97 (3H, s), 5.11 (2H, s), 6.23 (1H, s), 7.19 (1H, d, J=9Hz), 7.21 (1H, br s), 7.26 (5H, s), 8.11 (1H, d, J=7Hz) [$CDC\ell_3$]	Glass-like oily product
D - 32	3.48 (3H, s) , 6.21 (1H, s), 7.05-7.60 (7H, m), 8.20 (1H, d, J=7Hz) [$CDC\ell_3$]	Melting point 158-160°C
D - 33	3.22 (3H, s), 3.48 (3H, s), 6.23 (1H s) , 7.20 (1H, d, J=9Hz), 8.11 (1H, d, J=7Hz), 8.28 (1H, b r s) [d_6-DMSO]	Melting point 214-216°C
D - 34	2.69 (3H, br d, J=3Hz), 3.54 (3H, s), 5.62 (1H,br s), 6.3 6 (1 H, 3), 7. 15 (1H, br s), 7.16 (1H, d, J=9Hz), 8.26 (1H, d, J=8Hz) [$CDC\ell_3$]	Melting point 216-218°C
D - 35	1.43 (3H, t, J=6Hz), 3.52 (3H, s), 4.38 (2H, q, J=6Hz), 6.23 (1H, s), 7.23 (1H, d, J=9Hz), 8. 34 (1H, d, J=7Hz), 9.29 (1H, br s) [$CDC\ell_3$]	Melting point 127-129°C

Table 2 (continued)

Compound No.	^{1}H-NMR δ (ppm) [solvent]	Physical property
D - 36	0.93-1.47 (3H, m), 2.42 (5H, br s), 3.54 (3H, s), 6.37 (1H, s) 7.38 (1H, d, J=9Hz), 7.97 (1H, s), 8.45 (1H, d, J = 7Hz), 9.57 (1H, br s) [$CDC\ell_3$]	Glass-like oily product
D - 37	2.45-3.81 (5H, m) , 3.46 (3H, s). 6.21 (1H, s), 7.10 (1H, d, J=9Hz), 7.93 (1H, d, J=10Hz), 8.14 (1H, br s) [$CDC\ell_3$]	Melting point 115-119°C
D - 38	2. 47-2.94 (5H, m), 3.47 (3H, br s), 3.61 (3H, s), 6.21 (1H, s), 7.10 (1H, d, J=9Hz), 7.91 (1H, br s), 8.14 (1H, d, J=7Hz) [$CDC\ell_3$]	Melting point 158-160°C
D - 39	0.79-1.41 (3H, m), 2.00-3.23 (5H, m), 3.52 (3 H, s), 6.39 (1H, s), 7.16 (1H, d, J=7Hz), 7.46 (1H, d, J=9Hz), [$CDC\ell_3$]	Melting point 161-165°C
D - 40	2.69-3.35 (5H, m), 3. 46 (3H, s), 6.78 (1H, s), 7.21 (1H, d, J=7Hz), 7.43 (1H, d, J=9Hz) [d_6-DMSO]	Melting point 253-254°C
D - 41	2.88 (4H, s), 3.42 (3H H, s), 6.39 (1H, s), 7.50 (1H, d, J=7Hz), 7.64 (1H, d, J=9Hz) [d_6-DMSO]	Melting point 158-161°C
D - 42	3.50 (3H, s), 6.31 (1H, s), 6. 86 (1H, br s), 7.22 (1H, d, J=9Hz), 7.53 (1H, d, J=7Hz) [$CDC\ell_3$]	Oily product
D - 43	3.53 (3H, s), 6.32 (1H, s), 6.54 (1H, br s), 7.28 (1H, s), 7.44 (1H d, J=3Hz) [$CDC\ell_3$]	Melting point 165-167°C
D - 44	2.95 (6H, s), 3.46 (3H, s), 6.20 (1H, s), 6.63 (1H, d, J=7Hz), 7.13 (1H, d, J=9Hz), 7.31(1H, s) [$CDC\ell_3$]	Viscous oily product
D - 45	3.50 (3H, s), 6.25 (1H, s), 6.95-7.65 (4H, m), 8.10 (1H, br s) , 8.42 (1H d, J=7Hz) [$CDC\ell_3$]	Melting point 1 4 8 - 1 5 0 °C
D - 46	3.49 (3H, s) , 6.21 (1H, s) , 6.65 - 6.79 (9H, m) [$CDC\ell_3$]	Melitng point 220-222°C (decomposition)
D - 47	1.29 (3H, t, J=7Hz), 2.42 - 3.81 (5H, m), 3.60 (3H, br s), 4.19 (2H, q, J=7Hz), 6.41 (1H, s), 7.25 (1H, d, J=10Hz), 8.20 (1H, br s) [$CDC\ell_3$]	Melting point 73 - 75°C

Table 2 (continued)

Compound No.	^{1}H-NMR δ (ppm) [solvent]	Physical property
D - 48	3.54 (3H, s), 6.35 (1H, s), 6.80 - 7. 88 (8H, m), 8.99 (1H, br s) [d_6- DMSO]	Melting point 217 - 219°C
D - 49	1.48 (3H, d, J=7Hz), 3.58 (3H, s), 4.51(2H, q, 1=7Hz), 6.35 (1H, s), 7.20(1H, d, J=9Hz), 7.47-8.01 (5H, s), 8.62 (1H, br. s) [$CDC\ell_3$]	Melting point 175-177°C
D - 50	2.34 (3H, s), 3.49 (3H, s), 6.21 (1H, s), 7.16 (1H, d, J=8Hz), 7.41 (1H, br s), 8.11(1H, d, J = 7Hz) [$CDC\ell_3$]	Melting point 149-151°C
D - 51	3.50 (3H, s), 5.15 (2H, s), 6.33 (1H, s) 6.80-7.51 (6H, m), 8. 23 (1H, d, J=7Hz) [$CDC\ell_3$]	Melting point 142-144°C
D - 52	3.50 (3H, s), 5.10 (2H, s), 6.25 (1H, s), 7.11-7.35 (6H, m), 8.11 (1H, d, J=7Hz) [$CDC\ell_3$]	Melting point 134-136°C
D - 53	2.31 (3H, s), 3.48 (3H, s), 5.54 (2H, s), 6. 20 (1H, s), 6.95 - 7.25 (6H, m), 8.08 (1H, d, J=7Hz) [$CDC\ell_3$]	Melting point 142-143°C
D - 54	1.00 (9H, s), 3.56 (3H, s), 3.86(2H, s), 6.37 (1H,s), 7.09(1H, br s), 7.20 (1H, d, J=9Hz), 8.22 (1H, d, J=7Hz) [$CDC\ell_3$]	Melting point 150-152°C
D - 55	3.54 (3H, s), 4.19 (2H, s), 6.34 (1H, s), 7.10-7.41 (6H, m), 8.07(1H,d, J=7Hz), 8.61 (1H, br s) [$CDC\ell_3$]	Melting point 192-193°C
D - 56	3.59 (3H, s), 6.36 (1H, s), 7. 35-7.90 (3H, m) [d_6-DMSO]	Melting point 189-191°C
D - 57	3.48 (3H, s), 6.50 (1H, s), 7.38 -7.90 (8H, m) [d_6-DMSO]	Melting point 211-223°C
D - 58	3.56 (3H, s), 3.80 (3H, s), 6.36 (1H, s-), 6.75-7.66 (3H, m), 8.20 (1H, br s) [$CDC\ell_3$]	Melting point 130-132°C
D - 59	3.48 (3H, s), 3.74 (3H, s), 6.44 (1H, s), 7.11-7.90 (3H,m) [d_6-DMSO]	Melting point 254-256°C
D - 60	3.53 (3H, s), 3.79 (3H, s), 6.33 (1H, s), 7.38-7.68 (2H, m), 8.19 (1H, br s)	

Table 2 (continued)

Compound No.	^{1}H-NMR δ (ppm) [solvent]	Physical property	
	[d_6-DMSO]	Melting point	183-185°C
D - 61	1.30 (3H, t, J=7Hz), 3.51 (3H, br s), 4.21 (2H, q, J=7Hz) , 6.32 (1H, s), 7.09 (1H, br s), 7.44 (1H, d, J=8Hz), 8.20 (1H, d, J=7Hz)		
	[CDCℓ_3]	Melting point	147-149°C
D-62	3.49 (3H, s), 6.32 (1H, s), 7.19-8.00 (7H, m)		
	[CDCℓ_3-DMSO-d_6]	Melting point	205-207°C
D - 63	3.51 (3H, s), 3.79 (3H, s), 5.10 (2H, s), 6.31 (1H, s), 6.78-7, 45 (6H, m), 8. 22 (1H, d, J=7Hz)		
	[CDCℓ_3]	Melting point	147-148°C
D - 64	2.38 (3H, s), 3.57 (3H, s), 5.19 (2H, s), 6. 33 (1H, s), 7.11 - 7.4 0 (6H, m), 8.26 (1H, d, J=8Hz)		
	[CDCℓ_3]	Melting point	152-154°C
D - 65		Melting point	119-121°C
D - 66	3.52 (3H, s), 3. 73(3H, s), 6.27 (1H, s), 7.05 (1H, br s), 7.36 (1H, d, J=8Hz), 8.08 (1H, d, J =7Hz)		
	[CDCℓ_3]	Melting point	114 - 116 °C
D - 67	0.95 (3H, t, J=7Hz), 1.28-1.98(2H,m), 3.50 (3H,br s), 4.10 (2H,t,J=7Hz) 6.31 (1H,s),7.11 (1H,br s), 7.43 (1H,d,)=8Hz), 8.19 (1H,d, J=7Hz)		
	[CDCℓ_3]	Melting point	154-157°C 154-157°C
D - 63	3.53 (3H,s), 3.79 (3H,s), 6.36 (1H,s), 6.75-8.20 (4H,m),		
	[CDCℓ_3]	Melting point	125-126°C
D - 69	3.54 (3H,s), 5.11 (2H,s),6.31 (1H,s), 7.11-7.60 (7H,m), 8.20 (1H,d,J=7Hz)		
	[CDCℓ_3]	Melting point	110-112°C
D - 70	1.23 (3H, t, J=7Hz), 2.63 (2H,q,J=7Hz), 3.54 (3H, s), 5.17 (2H, s), 6.36 (1H, s), 7.20-7.38 (6H, m), 8.29 (1H, d, J=7Hz),		
	[CDCℓ_3]	Melting point	131-133°C
D - 71	1.32 (9H, s), 3.53 (3H, s), 5.16 (1H, s), 6.35 (1H, s), 7.17-7.46 (6H, m) 8.27 (1H, d, J=7Hz)		
	[CDCℓ_3]		Semi-solid
D - 72	3.52 (3H, s), 5.23 (2H, s), 6. 34 (1H, s), 7.30-7.70 (6H, m), 8. 22 (1H, d, J=7Hz)		
	[CDCℓ_3]	Melting point	103-104°C

Table 2 (continued)

Compound No.	^{1}H-NMR δ (ppm) [solvent]	Physical property
D - 73	3.54 (3H, s), 5.27 (2H, s), 6.36 (1H, s), 7.20-7.70 (4H, m), 8.10-8.40 (3H, m) [CDCℓ_3]	Glass-like
D - 74	3.56 (3H, s), 5.32 (2H, s), 6.35 (1H, s), 7.20 - 7. 75 (5H, m), 8.27 (1H, d, J=7Hz), 8.69 (1H, d, J =5Hz) [CDCℓ_3]	Glass-like
D - 75	3.52 (3H, s), 5.34 (2H, s), 6.34 (1H, s), 6.88-7.45 (5H, m), 8.26 (1H, d, J=7Hz), [CDCℓ_3]	Melting point 167-169°C
D - 76	1.61 (3H, d, J=6Hz), 3.56 (3H, s), 5.89 (1H, q, J = 6Hz), 6.38 (1H, s), 7.12-7.55 (7H, m), 8.29 (1H, d, J=7Hz) [CDCℓ_3]	Melting point 163-164°C
D - 77	2.99 (2H, t, J=7Hz), 3.54 (3H, s), 4.39 (2H,t, J=7Hz), 6.35 (1H, s), 7.05-7.40 (7H, H, m), 8.20 (1H, d, J=8Hz) [CDCℓ_3]	Melting point 87-88°C
D - 78	3.56 (3H, s), 4.60 (2H, q, J=8Hz), 6.38 (1H, s), 7.36 (1H, d, J=9Hz), 7.38 (1H,br s), 8.19 (1H,d,J=7Hz) [CDCℓ_3]	Melting point 164-165°C
D - 79	1.76-2.26 (9H, m), 3.55 (3H,s) 4.15 (2H,d,J=6Hz), 6. 35(1H,s), 7.15(1H,br s), 7.39 (1H, d, J=9Hz), 8.26 (1H, d, J=7Hz) [CDCℓ_3]	Melting point 116-118°C
D - 80	1.74 (3H, d, J=5Hz), 3.35 (3H, s), 4.61 (2H, m), 5.59-5.88 (2H, m), 6.39 (1H, s), 7.18 (1H, br s), 7.34 (1H, d, J=9Hz), 8. 23 (1H, d, J=7Hz), [CDCℓ_3]	Glass-like
D - 81	3.52 (3H, s), 4.34 (2H, d, J=5Hz), 5.72 (1H, br s), 6.30 (1H, s), 6.99 (1H, br s), 7.08 (1H, d, J=9Hz), 7.20 (5H, s), 8. 33 (1H, d, J=7Hz) [CDCℓ_3]	Melting point 165-167°C
D - 82	3.60 (3H, s), 4.69 (2H, d, J=5Hz), 5.18-6.13 (3H, m), 6.41 (1H, s), 7.23 (1H, br s), 7.36 (1H, d, J=9Hz), 8.28 (1H, d, J=7Hz) [CDCℓ_3]	Melting point 148-149°C
D - 83	3.57 (3H, s), 4.87 (2H, d, J=6Hz), 6.32-6.12 (3H, m), 7.19-7.49 (7H, m),	

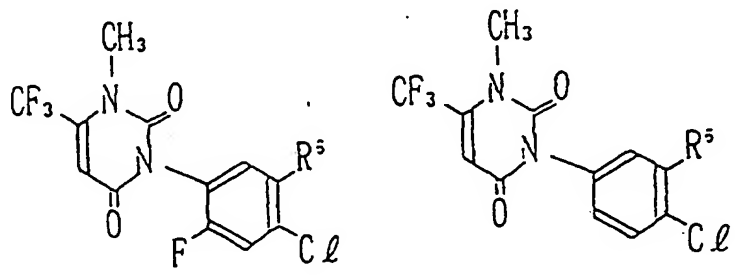
Table 2 (continued)

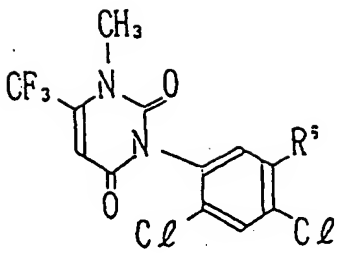
Compound No.	^{1}H-NMR δ (ppm) [solvent]	Physical property	
	8.27 (1H, d, J=7Hz) [$CDC\ell_3$]	Melting point	114-116°C
D - 84	3.56 (3H, s), 5. 25 (2H, s), 6.39 (1H, s), 7.15-8.71 (7H, m) [$CDC\ell_3$]	Melting point	170-111°C
D - 85	3.59 (3H, s), 5.22 (2H, s), 6.38 (1H, s), 7.12-7.51 (4H, m), 8.21 (1H, d, J=7Hz), 8.12 (2H, d, J =6Hz) [$CDC\ell_3$]	Melting point	208-209°C
D - 86	3.55 (3H, s), 5.21 (2H, s), 6.40(1H,s), 7.08-7.49 (5H, m), 8.30(1H,br s) [$CDC\ell_3$]	Melting point	155-156°C
D - 87	3.49 (3H, s), 5.36 (2H, s), 6.32 (1H, s), 7.21-8.03 (9H, m), 8.31 (1H, d, J=7Hz) [$CDC\ell_3$]	Melting point	130-132°C
D - 88	2.34 (3H, s), 3.50 (3H, s), 6.29 (1H, s), 6.92-7.55 (6H, m), 8.24 (1H, d, J =7Hz) [$CDC\ell_3$]	Melting point	145-147°C
D - 89	3.06 (3H, s), 3.53 (3H, s), 4.59 (2H, s) , 6.34 (1H, s), 6.90-7.40 (7H, m), 8.43 (1H, d, J=8Hz) [$CDC\ell_3$]	Melting point	143-145°C
D - 90	1.45-1.80 (6H, m), 3.28-3.64 (7H, m), 6.28 (1H, s), 7.00 (1H, br s), 7.29 (1H, d, J=9Hz), 8.39 (1H, d, J=7Hz) [$CDC\ell_3$]	Melting point	99-100°C
D - 91	3.50 (3H, s), 4.00 (3H, s), 6.35 (1H, s), 7.21 (1H, d, J=8Hz), 7.85 (1H, d, J=7Hz), 8.19 (1H, br s) [$CDC\ell_3$]	Melting point	123-125°C
D - 92	3.57 (3H, s), 4.39 (2H, s), 6.39 (1H, s), 6.78 (1H, br s), 7.28 (1H, d, J=9Hz), 7.30 (5H, s), 7.68 (1H, d, J=7Hz) [$CDC\ell_3$]	Melting point	160-162°C
D - 93	2.59 (3H, s), 3.50 (3H, s), 6.22 (1H, s), 7.20 (1H, d, J=8Hz), 7.91(1H, d, J=7Hz), 8.07 (1H, br s) [$CDC\ell_3$]	Melting point	123-125°C
D - 94	3.53 (3H, s), 5.54 (2H, s), 6.34 (1H, s), 7.32 (1H, d, J=9Hz), 7. 36(5H, s), 7.98 (1H, d, J=9Hz), 8.38 (1H, br s) [$CDC\ell_3$]	Melting point	71-73°C

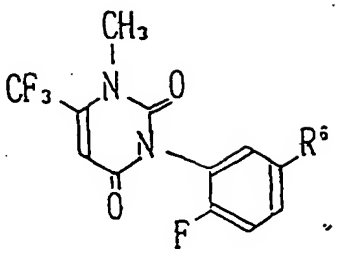
Table 2 (continued)

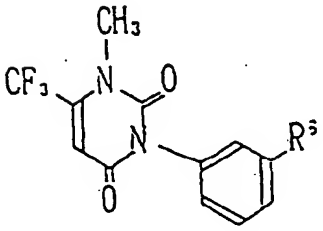
Compound No.	^{1}H-NMR δ (ppm) [solvent]	Physical property
D - 95	3.55 (3H,s), 3. 72(3H,s), 4.43 (2H, s), 6.35 (1H, s), 7.47 (1H, d, J=9Hz), 7.71 (1H, d, J=9Hz), 8.29 (1H, s) [CDCℓ$_3$]	Melting point 152-154°C
D - 96	3.46 (3H, s), 3.62 (2H, d, J=5Hz), 3. 74 (3H, s), 4.91 (1H, t, J=5Hz), 6.26 (1H, s), 6.35 (1H, d, J=7Hz), 7.22 (1H, d, J=9Hz) [CDCℓ$_3$]	Glass-like
D - 97	1.47 (3H, d, J=7Hz), 3.72 (3H, s), 3.79 (3H, s), 4.06 (1H, dq, J=7, 7Hz), 4.73 (1H, br s), 6.34 (1H, s), 6.45 (1H, d, J=7Hz), 7.26 (1H, d, J=9Hz) [CDCℓ$_3$]	Viscous oily product
D - 98	1.25 (3H, t, J=7Hz), 1.45 (3H, d, J=7Hz), 3.48 (3H, s), 4.15 (1H, dq, J=7,7Hz), 4.17 (2H, q, J=7Hz), 4.82 (1H, br s), 6.31 (1H, s), 6.45 (1H, d, J = 7Hz), 7.23 (1H, d, J=9Hz) [CDCℓ$_3$]	Viscous oily product
D - 99		
D-100		
D-101		
D-102		
D-103		
D-104		

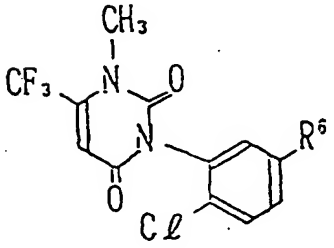
[0071] The compounds of the present invention synthesized in accordance with the above scheme or Examples including the compounds synthesized in the above Examples are shown below, but the present invention is not limited by these.

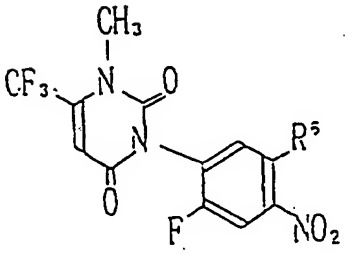


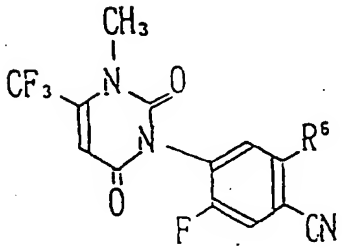


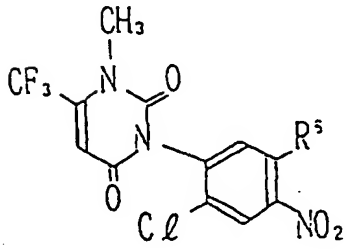


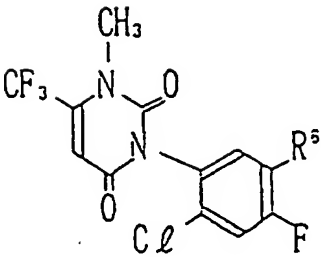


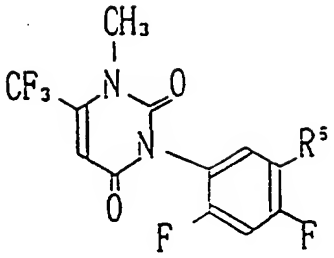


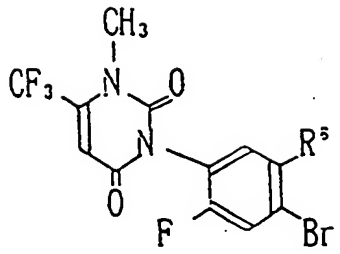


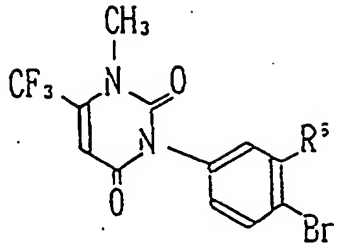


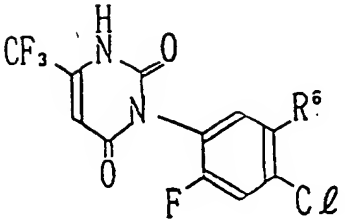


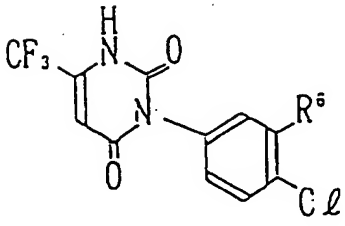


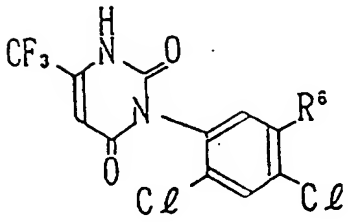


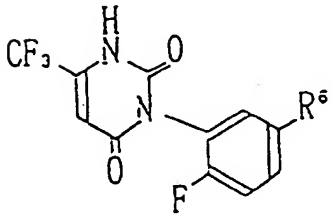


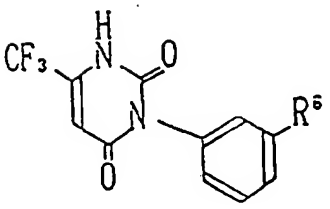


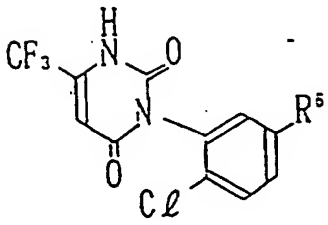


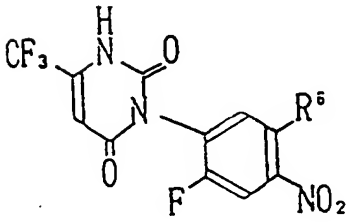


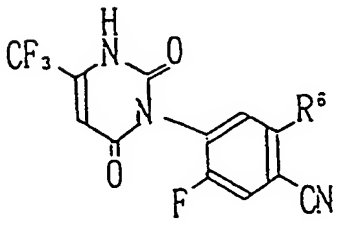


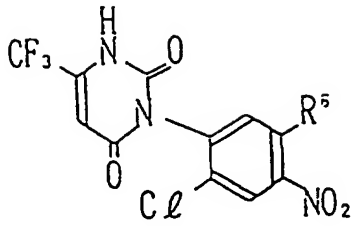


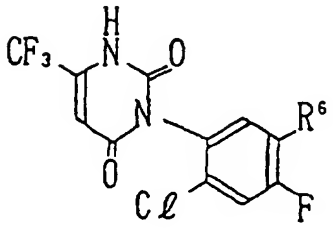


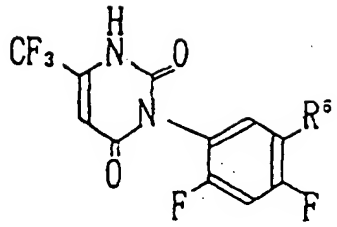


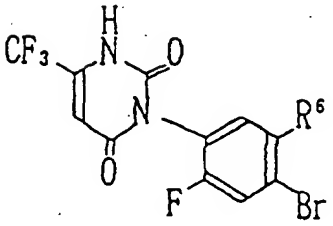


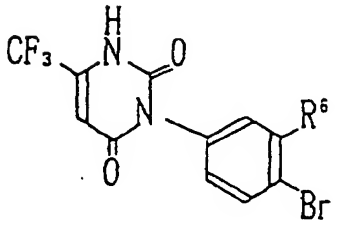












in which R_6 represent the following:

(Abbreviations indicate respectively the meanings as shown below.

Me: methyl group, Et: ethyl group, Ph: phenyl group, n-Bu: normal butyl group, s-Bu: secondary butyl group, i-Bu: iso butyl group, t-Bu: tertiary butyl group, n-Pr: normal propyl group, i-Pr: iso propyl group, n-Am: normal amyl group, t-Am: tertiary amyl group, n-Hex: normal hexyl group, c-Pr: cyclopropyl group, c-Bu: cyclobutyl group, c-pen: cyclopentyl group, c-Hex: cyclohexyl group) $NHSO_2$-t-Am, $NHSO_2$-n-Am, $NHSO_2$-n-Hex, $NHSO_2CH_2(CH_2)_6Me$, $NHSO_2CH_2(CH_2)_8Me$, $NHSO_2CH_2(CH_2)_{10}Me$, $NHSO_2CH_2(CH_2)_{12}Me$, $NHSO_2CH_2(CH_2)_{14}Me$, $NHSO_2CH_2(CH_2)_{16}Me$, $NHSO_2CH{=}CH_2$, $NHSO_2CH_2CH{=}CH_2$, $NHSO_2CH(Me)CH{=}CH_2$, $NHSO_2C(Me)_2CH{=}CH_2$, $NHSO_2CH_2C{\equiv}CH$, $NHSO_2CH(Me)C{\equiv}CH$, $NHSO_2C(Me)_2C{\equiv}CH$, $NHSO_2CH{=}CHPh$, $NHSO_2(CF_2)_3CF_3$, $NHSO_2(CF_2)_5CF_3$, $NHSO_2(CF_2)_7CF_3$, $NHSO_2CH_2Si(Me)_3$, $NHSO_2CH_2SO_2Me$, $NHSO_2CH_2Ph$, $NHSO_2CH_2CH_2Ph$, $NHSO_2CH_2$-(2-F-Ph), $NHSO_2CH_2$-(3-F-Ph), $NHSO_2CH_2$-(4-F-Ph), $NHSO_2CH_2$-(2-Cℓ-Ph), $NHSO_2CH_2$-(3-Cℓ-Ph), $NHSO_2CH_2$-(4-Cℓ-Ph), $NHSO_2CH_2$-(2-Br-Ph), $NHSO_2CH_2$-(3-Br-Ph), $NHSO_2CH_2$-(4-Br-Ph), $NHSO_2CH_2$-(2-I-Ph), $NHSO_2CH_2$-(3-I-Ph), $NHSO_2CH_2$-(4-I-Ph), $NHSO_2CH_2$-(2-Me-Ph), $NHSO_2CH_2$-(3-Me-Ph), $NHSO_2CH_2$-(4-Me-Ph), $NHSO_2CH_2$-(2-MeO-Ph), $NHSO_2CH_2$-(3-MeO-Ph), $NHSO_2CH_2$-(4-MeO-Ph), $NHSO_2CH_2$-(2-NO_2-Ph), $NHSO_2CH_2$-(3-NO_2-Ph), $NHSO_2CH_2$-(4-NO_2-Ph), $NHSO_2CH_2$-(2-MeOCO-Ph), $NHSO_2CH_2$-(3-MeOCO-Ph), $NHSO_2CH_2$-(4-MeOCO-Ph), $NHSO_2CH_2$-(2-CF_3-Ph), $NHSO_2CH_2$-(3-CF_3-Ph), $NHSO_2CH_2$-(4-CF_3-Ph), $NHSO_2CH_2$-(2-CF_3O-Ph), $NHSO_2CH_2$-(3-CF_3O-Ph), $NHSO_2CH_2$-(4-CF_3O-Ph), $NHSO_2NHCO_2Me$, $NHSO_2NHCO_2Et$, $NHSO_2NHCO_2$-n-Pr, $NHSO_2NHCO_2$-i-Pr, $NHSO_2OMe$, $NHSO_2OEt$, $NHSO_2O$-i-Pr, $NHSO_2O$-n-Pr, $NHSO_2OCH_2Cℓ$, $NHSO_2OSi(Me)_3$, $NHSO_2Ph$, $NHSO_2$-(2-F-Ph), $NHSO_2$-(3-F-Ph), $NHSO_2$-(4-F-Ph), $NHSO_2$-(2-Cℓ-Ph), $NHSO_2$-(3-Cℓ-Ph), $NHSO_2$-(4-Cℓ-Ph), $NHSO_2$-(2-Br-Ph), $NHSO_2$-(3-Br-Ph), $NHSO_2$-(4-Br-Ph), $NHSO_2$-(2-I-Ph), $NHSO_2$-(3-I-Ph), $NHSO_2$-(4-I-Ph), $NHSO_2$-(2-Me-Ph), $NHSO_2$-(3-Me-Ph), $NHSO_2$-(4-Me-Ph), $NHSO_2$-(2-MeO-Pb), $NHSO_2$-(3-MeO-Ph), $NHSO_2$-(4-MeO-Ph), $NHSO_2$-

(4-Et-Ph), $NHSO_2$-(4-n-Pr-Ph), $NHSO_2$-(4-i-Pr-Ph), $NHSO_2$-(4-n-Bu-Ph), $NHSO_2$-(4-s-Bu-Ph), $NHSO_2$-(4-i-Bu-Ph), $NHSO_2$-(4-t-Bu-Ph), $NHSO_2$-(4-t-Am-Ph), $NHSO_2$-(4-n-Hex-Ph), $NHSO_2$-(2-NO_2-Ph), $NHSO_2$-(3-NO_2-Ph), $NHSO_2$-(4-NO_2-Ph), $NHSO_2$-(2-MeOCO-Ph), $NHSO_2$-(3-MeOCO-Ph), $NHSO_2$-(4-MeOCO-Ph), $NHSO_2$-(2-CF_3-Ph), $NHSO_2$-(3-CF_3-Ph), $NHSO_2$-(4-CF_3-Ph), $NHSO_2$-(2-CF_3O-Ph), $NHSO_2$-(3-CF_3 O-Ph), $NHSO_2$-(4-CF_3O-Ph), $NHSO_2$-(4-CF_3CF_2O-Ph), $NHSO_2$-(3-MeCO-Ph), $NHSO_2$-(3-HOCO-Ph), $NHSO_2$-(4-HOCO-Ph), $NHSO_2$-(2,4-di-NO_2-Ph), $NHSO_2$-(4-Cℓ-3-NO_2-Ph), $NHSO_2$-(2-Me-5-NO_2-Ph), $NHSO_2$-(4-Cℓ-3-HOCO-Ph), $NHSO_2$-(2-MeCONH-Ph), $NHSO_2$-(3-MeCONH-Ph), $NHSO_2$-(4-MeCONH-Ph), $NHSO_2$-(2-NO_2-4-CF_3-Ph), $NHSO_2$-(3,5-di-CF_3-Ph), $NHSO_2$-(4-(2,2-dichlorocyclopropyl)-Ph), $NHSO_2$-(3-NO_2-4-t-Bu-Ph), $NHSO_2$-(4-(1-bromoethyl)-Ph), $NHSO_2$-(2,5-di-MeO-Ph), $NHSO_2$-(4-dimethylamino-3-NO_2-Ph), $NHSO_2$-(4-Cℓ-3-C F_3-Ph), $NHSO_2$-(2,4-di-Me-3-NO_2-Ph), $NHSO_2$-(2,4-di-Cℓ-5-Me-Ph) , $NHSO_2$-(4-Cℓ-2, 5-di-Me-Ph), $NHSO_2$-(2-Cℓ-6-Me-Ph), $NHSO_2$-(3-Cℓ-4-MeO-Ph), $NHSO_2$-(3-Cℓ-2-Me-Ph), $NHSO_2$-(2-Cℓ-5-CF_3-Ph), $NHSO_2$-(2-Cℓ-4-CF_3-Ph), $NHSO_2$-(2-CN-Ph), $NHSO_2$-(3-CN-Ph), $NHSO_2$-(4-CN-Ph), $NHSO_2$-(5-F-2-Me-Ph), $NHSO_2$-(5-Cℓ-2-MeO-Ph), $NHSO_2$-(2,4-di-i-Pt-Ph), $NHSO_2$-(6-MeO-3-Me-Ph), $NHSO_2$-(2,4-di-MeO-Ph), $NHSO_2$-(3,4-di-MeO-Ph), $NHSO_2$-(3,5-di-MeO-Ph), $NHSO_2$-(2,5-di-MeO-Ph), $NHSO_2$-(2,6-di-MeO -Ph), $NHSO_2$-(2,3-di-MeO-Ph), $NHSO_2$-(2,4-di-Cℓ-Ph), $NHSO_2$-(3,4-di-Cℓ-Ph), $NHSO_2$-(3,5-di-Cℓ-Ph), $NHSO_2$-(2,5-di-Cℓ-Ph), $NHSO_2$-(2,6-di-Cℓ-Ph), $NHSO_2$-(2,3-di-Cℓ-Ph), $NHSO_2$-(2,4,6-tri-Cℓ-Ph), $NHSO_2$-(2,4-di-F-Ph), $NHSO_2$-(3,4-di-F-Ph), $NHSO_2$-(3,5-di-F-Ph), $NHSO_2$-(2,5-di-F-Ph), $NHSO_2$-(2,6-di-F-Ph), $NHSO_2$-(2,5-di-Br-Ph), $NHSO_2$-(3,4-di-Br-Ph), $NHSO_2$-(2-Cℓ-4-F-Ph), $NHSO_2$-(3-Cℓ-4-F-Ph), $NHSO_2$-(pentafluoro-Ph), $NHSO_2$ -(pentamethyl-Ph), 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N(COMe) CH_2Ph, NHCOEt, KHCO-n-Pr, NHCO-i-Pr, NHCO-n-Bu, NHCO-s-Bu , NHCO-i-Bu, NHCO-t-Bu, NHCOC(Me)$=CH_2$, $NHCOCH_2CH{=}CH_2$, NHCOCH(Me) $CH{=}CH_2$, NHCOC(Me)$_2$CH=CH_2, $NHCOCH_2C{\equiv}CH$, NHCOCH(Me)C$\equiv$CH, NHCOC(Me)$_2$C$\equiv$CH, NHCO-(cyclopropyl), NHCOCH(Me)-n-Bu , NHCOPh, $NHCOCH_2$Ph, $NHCOCH_2C\ell$, $NHCOCH_2$Br, $NHCOCHC\ell_2$, $NHCOCC\ell_3$, $NHCOCF_3$, $NHCOCH_2$COMe, $NHCOCH_2CH_2CH_2CO_2$H, $NHCOCH_2CH_2CH_2CO_2$Me, $NHCOCH_2$CH(Me)CH_2CO_2H, $NHCOCH_2$CH(Me)CH_2CO_2Me, $NHCOCH_2CH(CF_3)CH_2CO_2$H, $NHCOCH_2CH(CF_3)CH_2CO_2$Me, N(SO_2Me)COC(Me)$=CH_2$, N(SO_2Me)$COCH_2CH{=}CH_2$, N(SO_2Me)COCH(Me)$CH{=}CH_2$, N(SO_2Me)COC(Me)$_2$CH=CH_2, N(SO_2Me) $COCH_2C{\equiv}CH$, N(SO_2Me)COCH(Me)C$\equiv$CH, N(SO_2Me)COC(Me)$_2$C$\equiv$CH, N(SO_2Me)CO-(cyclopropyl), N(SO_2Me) COCH (Me)-n-Bu, N(SO_2Me)COPh, N(SO_2Me)$COCH_2$Ph, N(SO_2Me)$COCH_2C\ell$, N(SO_2Me)$COCH_2$Br, N(SO_2Me)CO-$CHC\ell_2$, N(SO_2Me)$COCC\ell_3$, N(SO_2Me)$COCF_3$, N(SO_2Me) $COCH_2$COMe, N(SO_2Me)$COCH_2CH_2CH_2CO_2$H, N(SO_2Me)$COCH_2CH_2CH_2CO_2$Me, N(SO_2Me)$COCH_2$ CH(Me)CH_2CO_2H, N(SO_2Me)$COCH_2$CH(Me)CH_2CO_2Me, N(SO_2Me)$COCH_2CH(CF_3)CH_2CO_2$ H, N(SO_2Me)$COCH_2CH(CF_3)CH_2CO_2$Me, N(SO_2Et)COC(Me)$=CH_2$, N(SO_2Et) $COCH_2CH{=}CH_2$, N(SO_2Et)COCH (Me)$CH{=}CH_2$, N(SO_2Et)COC(Me)$_2$CH=CH_2, N(SO_2Et)$COCH_2C{\equiv}CH$, N(SO_2Et) COCH(Me)C$\equiv$CH, N(SO_2Et)COC(Me)$_2$C$\equiv$CH, N(SO_2Et)CO-(cyclopropyl), N(SO_2Et)COCH(Me)$CH_2CH_2CH_2$Me, N(SO_2Et)COPh, N(SO_2Et)$COCH_2$Ph, N(SO_2Et)$COCH_2C\ell$, N(SO_2Et)$COCH_2$Br, N(SO_2Et)$COCH\ell_2$, N(SO_2Et)$COCC\ell_3$, N(SO_2Et)$COCF_3$, N(SO_2Et)$COCH_2$COMe, N(SO_2Et)$COCH_2CH_2CH_2CO_2$H, N(SO_2Et)$COCH_2CH_2CH_2CO_2$Me, N(SO_2Et)$COCH_2$CH(Me)CH_2CO_2H, N(SO_2Et)$COCH_2$C(Me)CH_2CO_2Me, N(SO_2Et)$COCH_2CH(CF_3)CH_2CO_2$H, N(SO_2Et)$COCH_2CH(CF_3)CH_2CO_2$Me, NHCOH, N(COH)Me, N(COH)Et, N(COH)-n-Pr, N(COH)-i-Pr, N(COH)-n-Bu, N(COH)-s-Bu, N(COH)-i-Bu, N(COH)-t-Bu, N(COH)C(Me)= CH_2, $N(COH)CH_2CH{=}CH_2$, N(COH)CH(Me)CH =CH_2, N(COH)C(Me)$_2$CH=CH_2, $N(COH)CH_2C{\equiv}CH$, N(COH)CH(Me)C$\equiv$CH, N(COH)C(Me)$_2$C$\equiv$CH, N(COH)-(cyclopropyl), N(COH)CH(Me)-n-Bu, N(COH)Ph, $N(COH)CH_2$Ph, $N(COH)CH_2C\ell$, $N(COH)CH_2$Br, $N(COH)CHC\ell_2$, $N(COH)CC\ell_3$, N(COH)CF_3, $N(COH)CH_2$COMe, $N(COH)CH_2CH_2CH_2CO_2$H, $N(COH)CH_2CH_2CH_2CO_2$Me, N(COH)CH_2CH(Me)CH_2CO_2H, N(COH)CH_2CH(Me)CH_2CO_2Me, N(COH)$CH_2CH(CF_3)CH_2CO_2$H, N(COH)$CH_2CH(CF_3)CH_2CO_2$Me, N(COH)SO_2Me, N(COH)SO_2Et, N(COH)SO_2-n-Pr, N(COH)SO_2-i-Pr, N(COH)SO_2-n-Bu, N(COH)SO_2-s-Bu, N(COH)SO_2-i-Bu, N(COH)SO_2-t-Bu , N(SO_2CF_3)$_2$, $NHCO_2$Me, $NHCO_2$Et, $NHCO_2$-n-Pr, $NHCO_2$-i-Pr , $NHCO_2$-n-Bu, $NHCO_2$-s-Bu, $NHCO_2$-i-Bu, $NHCO_2$-t-Bu, N (Me)CO_2Me, N(Me)CO_2Et, N(Me)CO_2-n-Pt, N(Me)CO_2-i-Pr, N(Me)CO_2-n-Bu, N (Me)CO_2-s-Bu, N(Me)CO_2-i-Bu, N(Me)CO_2-t-Bu, N(Et) CO_2Me, N(Et)CO_2Et, N(Et) CO_2-n-Pr, N(Et)CO_2-i-Pr, N(Et) CO_2-n-Bu, N(Et)CO_2-s-Bu, N(Et)CO_2-i-Bu , N(Et)CO_2-t-Bu, N(SO_2Me)CO_2Me, N(SO_2Me)CO_2Et, N(SO_2Me)CO_2-n-Pr, N(SO_2Me)CO_2-i-Pr, N(SO_2Me)CO_2-n-Bu, N(SO_2Me)CO_2-s-Bu, N(SO_2Me)CO_2-i-Bu, N(SO_2Me)CO_2-t-Bu, N(SO_2Et)CO_2Me, N(SO_2Et)CO_2Et, N(SO_2Et)CO_2-n-Pr, N(SO_2Et)CO_2-i-Pr, N(SO_2Et)CO_2-n-Bu, N(SO_2Et)CO_2 -s-Bu, N(SO_2Et)CO_2-i-Bu, N(SO_2Et)CO_2-t-Bu, $NHCO_2CH_2$-t-Bu, $NHCO_2$-n-Am, $NHCO_2$-n-Hex, $NHCO_2CH_2(CH_2)_6$Me, $NHCO_2CH_2(CH_2)_8$Me, $NHCO_2CH_2(CH_2)_{14}$Me, $NHCO_2CH_2(CH_2)_{16}$Me, $NHCO_2CH{=}CH_2$, $NHCO_2CH_2CH{=}CH_2$, $NHCO_2$CH(Me)$CH{=}CH_2$, $NHCO_2C(Me)_2CH{=}CH_2$, $NHCO_2$ $CH_2C{\equiv}CH$, $NHCO_2$CH(Me)C$\equiv$CH, $NHCO_2C(Me)_2C{\equiv}CH$,

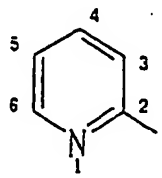
$NHCO_2CH_2$ Ph, $N(Me)CO_2CH=CH_2$, $N(Me)CO_2CH_2CH=CH_2$, $N(Me)CO_2CH(Me)CH=CH_2$, $N(Me)CO_2C(Me)_2CH=CH_2$, $N(Me)CO_2CH_2C\equiv CH$, $N(Me)CO_2CH(Me)C\equiv CH$, $N(Me)CO_2C(Me)_2C\equiv CH$, $N(Me)CO_2CH_2Ph$, $NHCO_2CH=CHPh$, $NHCO_2CF_3$, $NHCO_2CH_2CF_3$, $NHCO_2CH(F)CF_3$, $NHCO_2(CF_2)_3CF_3$, $NHCO_2(CF_2)_5CF_3$, $NHCO_2(CF_2)_7CF_3$, $NHCO_2CC\ell_3$, $NHCO_2CHC\ell_2$, $NHCO_2CH_2C\ell$, $NHCO_2CH_2CC\ell_3$, $NHCO_2CH_2CH_2C\ell$, $NHCO_2CH_2CH_2CH_2C\ell$, $NHCO_2CH_2CH(C\ell)Me$, $NHCO_2CH_2CH_2OMe$, $NHCO_2CH_2CH_2OEt$, $NHCO_2Pb$, $N(Me)CO_2Ph$, $NHCO_2$-(2-F-Ph), $NHCO_2$-(3-F-Ph), $NHCO_2$-(4-F-Ph), $NHCO_2$-(2-Cℓ-Ph), $NHCO_2$-(3-Cℓ-Ph), $NHCO_2$-(4-Cℓ-Ph), $NHCO_2$-(2-Br-Ph), $NHCO_2$-(3-Br-Ph), $NHCO_2$-(4-Br-Ph), $NHCO_2$-(2-I-Ph), $NHCO_2$-(3-I-Ph), $NHCO_2$-(4-I-Ph), $NHCO_2$-(2-Me-Ph), $NHCO_2$-(3-Me-Ph), $NHCO_2$-(4-Me-Ph), $NHCO_2$-(2-MeO-Ph), $NHCO_2$-(3-MeO-Ph), $NHCO_2$-(4-MeO-Ph), $NHCO_2$-(4-Et-Ph), $NHCO_2$-(4-n-Pr-Ph), $NHCO_2$-(4-i-Pr-Ph), $NHCO_2$-(4-n-Bu-Ph), $NHCO_2$-(4-s-Bu-Ph), $NHCO_2$-(4-i-Bu-Ph), $NHCO_2$-(4-t-Bu-Ph), $NHCO_2$-(4-t-Am-Ph), $NHCO_2$-(4-n-Hex-Ph), $NHCO_2$-(2-NO_2-Ph), $NHCO_2$-(3-NO_2-Ph), $NHCO_2$-(4-NO_2-Ph), $NHCO_2$-(2-MeOCO-Ph), $NHCO_2$-(3-MeOCO-Ph), $NHCO_2$-(4-MeOCO-Ph), $NHCO_2$-(2-CF_3-Ph), $NHCO_2$-(3-CF_3-Ph), $NHCO_2$-(4-CF_3-Ph), $NHCO_2$-(2-CF_3O-Ph), $NHCO_2$-(3-CF_3O-Ph), $NHCO_2$-(4-CF_3O-Ph), $NHCO_2$-(4-CF_3CF_2O-Ph), $NHCO_2$-(3-MeCO-Ph), $NHCO_2$-(3-HOCO-Ph), $NHCO_2$-(4-HOCO-Ph), $NHCO_2$-(2,4-di-NO_2-Ph), $NHCO_2$-(4-Cℓ-3-NO_2-Ph), $NHCO_2$-(2-Me-5-NO_2-Ph), $NHCO_2$-(4-Cℓ-3-HOCO-Ph), $NHCO_2$-(2-MeCONH-Ph), $NHCO_2$-(3-MeCONH-Ph), $NHCO_2$-(4-MeCONH-Ph), $NHCO_2$-(2-NO_2-4-CF_3-Ph), $NHCO_2$-(3,5-di-CF_3-Ph), $NHCO_2$-(4-(2,2-dichlorocyclopropyl)-Ph), $NHCO_2$-(3-NO_2-4-t-Bu-Ph), $NHCO_2$-(4-(1-bromoethyl)-Ph), $NHCO_2$-(2,5-di-MeO-Ph), $NHCO_2$-(4-dimethylamino-3-NO_2-Ph), $NHCO_2$-(4-Cℓ-3-CF_3-Ph), $NHCO_2$-(2,4-di-Me-3-NO_2-Ph), $NHCO_2$-(2,4-di-Cℓ-5-Me-Ph), $NHCO_2$-(4-Cℓ-2,5-di-Me-Ph), $NHCO_2$-(2-Cℓ-6-Me-Ph), $NHCO_2$-(3-Cℓ-4-MeO-Ph), $NHCO_2$-(3-Cℓ-2-Me-Ph), $NHCO_2$-(2-Cℓ-5-CF_3-Ph), $NHCO_2$-(2-Cℓ-4-C F_3-Ph), $NHCO_2$-(2-CN-Ph), $NHCO_2$-(3-CN-Ph), $NHCO_2$-(4-CN-Ph), $NHCO_2$-(5-F-2-Me-Ph), $NHCO_2$-(5-Cℓ-2-MeO-Ph), $NHCO_2$-(2,4-di-i-Pr-Ph), $NHCO_2$-(6-MeO-3-Me-Ph), $NHCO_2$-(2,4-di-MeO-Ph), $NHCO_2$-(3,4-di-MeO-Ph), $NHCO_2$-(3,5-di-MeO-Ph), $NHCO_2$-(2, 5-di-MeO-Ph), $NHCO_2$-(2,6-di-MeO-Ph), $NHCO_2$-(2, 3-di-MeO-Ph), $NHCO_2$-(2,4-di-Cℓ-Ph), $NHCO_2$-(3,4-di-Cℓ-Ph), $NHCO_2$-(3,5-di-Cℓ-Ph), $NHCO_2$-(2,5-di-Cℓ-Ph), $NHCO_2$-(2,6-di-Cℓ-Ph), $NHCO_2$-(2,3-di-Cℓ-Ph), $NHCO_2$-(2,4,6-tri-Cℓ-Ph), $NHCO_2$-(2,4-di-F-Ph), $NHCO_2$-(3,4-di-F-Ph), $NHCO_2$-(3, 5-d i-F-Ph), $NHCO_2$-(2,5-di-F-Ph), $NHCO_2$-(2,6-di-F-Ph), $NHCO_2$-(2,5-di-Br-Ph), $NHCO_2$-(3,4-di-Br-Ph), $NHCO_2$-(2-Cℓ-4-F-Ph), $NHCO_2$-(3-Cℓ-4-F-Ph), $NHCO_2$-(pentafluoro-Ph), $NHCO_2$-(pentamethyl-Ph), $NHCO_2$-(2,4,6-tri-Me-Ph), $NHCO_2$-(2,4,6-tri-i-Pr-Ph), $NHCO_2$-(2,3,5,6-tetra-Me-Ph), $NHCO_2$-(2,4-di-Me-Ph) , $NHCO_2$-(3,4-di-Me-Ph), $NHCO_2$-(3,5-di-Me-Ph) , $NHCO_2$-(2,5-di-Me-Ph), $NHCO_2$-(2,6-di-Me-Ph), $NHCO_2$-(2,3-di-Me-Ph), $NHCO_2CH_2$-(2-F-Ph) , $NHCO_2CH_2$-(3-F-Ph), $NHCO_2CH_2$-(4-F-ph), $NHCO_2CH_2$-(2-Cℓ-Ph), $NHCO_2CH_2$-(3-Cℓ-Ph), $NHCO_2CH_2$-(4-Cℓ-Ph), $NHCO_2CH_2$-(2-Br-Ph), $NHCO_2CH_2$-(3-Br-Ph), $NHCO_2CH_2$-(4-Br-Ph) , $NHCO_2CH_2$-(2-I-Ph), $NHCO_2CH_2$-(3-I-Ph) , $NHCO_2CH_2$-(4-I-Ph), $NHCO_2CH_2$-(2-Me-Ph), $NHCO_2CH_2$-(3-Me-Ph), $NHCO_2CH_2$-(4-Me-Ph), $NHCO_2CH_2$-(2-MeO-Ph), $NHCO_2CH_2$-(3-MeO-Ph), $NHCO_2CH_2$-(4-MeO-Pb), $NHCO_2CH_2$-(4-Et-Ph), $NHCO_2CH_2$-(4-n-Pr-Ph), $NHCO_2CH_2$-(4-i-Pr-Ph), $NHCO_2CH_2$-(4-n-Bu-Ph), $NHCO_2CH_2$-(4-s-Bu-Ph), $NHCO_2CH_2$-(4-i-Bu-Ph), $NHCO_2CH_2$-(4-t-Bu-Ph), $NHCO_2CH_2$-(4-t-Am-Ph), $NHCO_2CH_2$-(4-n-Hex-Ph), $NHCO_2CH_2$-(2-NO_2 -Ph), $NHCO_2CH_2$-(3-NO_2-Ph), $NHCO_2CH_2$-(4-NO_2-Ph), $NHCO_2CH_2$-(2-MeOCO-Ph), $NHCO_2CH_2$-(3-MeOCO-Ph), $NHCO_2CH_2$-(3,4-di-M e-Ph), $NHCO_2CH_2$-(2,4-di-Me-Ph), $NHCO_2CH_2$-(4-MeOCO-Ph), $NHCO_2CH_2$-(2-CF_3-Ph), $NHCO_2CH_2$-(3-CF_3-Ph), $NHCO_2CH_2$-(4-CF_3-Ph), $NHCO_2CH_2$-(2-CF_3O-Ph), $NHCO_2CH_2$-(3-CF_3O-Ph), $NHCO_2CH_2$-(4-CF_3O-Ph), $NHCO_2CH_2$-(4-CF_3CF_2O-Ph), $NHCO_2CH_2$-(3-MeCO-Ph), $NHCO_2CH_2$-(3-HOCO-Ph), $NHCO_2CH_2$-(4-HOCO-Ph), NH(CO)SMe, NH(CO)SEt, $NHCOCO_2Me$, $NHCOCO_2Et$, $NHCOCO_2$-n-Pr, $NHCOCO_2$-i-Pr, $NHCOCO_2$-n-Bu, $NHCOCO_2$-s-Bu, $NHCOCO_2$ -i-Bu, $NHCOCO_2$-t-Bu, $NHCOCO_2CH_2$ Ph, $NHCOCO_2Ph$, $N(Me)COCO_2$ Me, $N(Me)COCO_2Et$, $N(Me)COCO_2$-n-Pt, N(Me) $COCO_2$-i-Pr, $N(Me)COCO_2$-n-Bu, $N(Me)COCO_2$-s-Bu, $N(Me)COCO_2$-i-Bu, $N(Me)COCO_2$-t-Bu, $N(Me)COCO_2CH_2Ph$, $N(Me)COCO_2Ph$, $N(Et)COCO_2Me$, $N(Et)COCO_2Et$, $N(Et)COCO_2$-n-Pr, N(Et)$COCO_2$-i-Pr, $N(Et)COCO_2$-n-Bu, $N(Et)COCO_2$-s-Bu, $N(Et)COCO_2$-i-Bu, $N(Et)COCO_2$-t-Bu, M(Et) $COCO_2CH_2Ph$, $N(Et)COCO_2Ph$, $NHSCC\ell_3$, $NHS(O)CC\ell_3$, NHS(O)Me, NHS(O)Et, NHS(O)-n-Pt, NHS(O)-i-Pr , NHS(O)-n-Bu, NHS(O)-s-Bu, NHS(O)-i-Bu, NHS(O)-t-Bu, $NHS(O)CH_2Ph$, NHS(O)Ph, $N(Me)SCC\ell_3$, $N(Me)S(O)CC\ell_3$, N(Me)S(O)Me, N(Me)S(O)Et, N(Me)S(O)-n-Pr, N(Me)S(O)-i-Pr, N(Me)S(O)-n-Bu, N(Me)S(O)-s-Bu, N(Me)S(O)-i-Bu, N(Me)S(O)-t-Bu, $N(Me)S(O)CH_2Ph$, N(Me)S(O)Ph, $N(Et)SCC\ell_3$, $N(Et)S(O)CC\ell_3$, N(Et)S(O)Me, N(Et)S(O)Et, N(Et)S(O)-n-Pr, N(Et)S(O)-i-Pr, N(Et)S(O)-n-Bu, N(Et)S (O)-s-Bu, N(Et)S(O)-i-Bu, N(Et)S(O)-t-Bu, N(Et)S(O)CH_2Ph, N(Et)S(O)Ph, $NHSO_2NH_2$, $NHSO_2N(Me)_2$, $NHSO_2NHMe$, $NHSO_2NH$ Et, $NHSO_2NH$-n-Pr, $NHSO_2NH$-i-Pr, $NHSO_2NH$-n-Bu, $NHSO_2NHPh$, $NHSO_2NH$-(2-Cℓ-Ph), $NHSO_2NH$-(3-Cℓ-Ph), $NHSO_2NH$-(4-Cℓ-Ph), $NHSO_2NHCH_2Ph$, $NHCSNH_2$, $NHCON(Me)_2$, NHCON(Me)OMe, NHCONHMe, NHCONHEt, NHCONH-n-Pr, NHCONH-i-Pr, NHCONH-n-Bu, NHCONH-s-Bu, NHCONH-i-Bu, NHCONH-t-Bu, $NHCONHCH_2Ph$, NHCONHPh, NHCON(Me)Ph, NHCON(OMe)Ph, N=C(OMe)$N(Me)_2$, $N=C(SMe)N(Me)_2$, $NHCONHSO_2Me$, $NHCONHSO_2Et$, $NHCONHSO_2$-n-Pr, $NHCONHSO_2$-i-Pr, $NHCONHSO_2$-n-Bu, $NHCONHSO_2$-s-Bu, $NHCONHSO_2$-i-Bu, $NHCONHSO_2$-t-Bu, $NHCONHSO_2CH_2Ph$, $NHCONHSO_2Ph$, $NHCONHSO_2CF_3$, $NHCSN(Me)_2$, NHCSN(Me)OMe, NHCSNHMe, NHCSNHEt, NHCSNH-n-Pr, NHCSNH-i-Pr, NHCSNH-n-Bu, NHCSNH-s-Bu, NHCSNH-i-Bu, NHCSNH-t-Bu, $NHCSNHCH_2Ph$, NHCSNHPh, NHCONHCOMe, NHCONHCOEt, NHCONHCO-(2,6-di-Cℓ-Ph), NHCONHCO-(2,6-di-F-Ph), NHCSNHCO-(2,6-di-Cℓ-Ph), NHCSNHCO-(2, 6-ddi-F-Ph), $N=CHN(Me)_2$, $N=CHN(Et)_2$, N=CHN(Et)Me, N=CHN(Et)Ph, N=C(OMe)Ph, N=C(Me)Ph, -Q30, -(4-Me-Q30), -(4-CF_3-Q30), -(4-Ph-Q30), -Q31, -Q32, -(4-Me-Q32), -(4-Me-Q33), -(4-H-Q33), - Q34, -(2,6-di-

Me-Q34), -Q35, -(2,6-di-Me-Q35), -Q36, -(3-Me-Q36), -Q37, -Q38, -(3-Me-Q38), -(4-Me-Q38), -(5-Me-Q38), -Q39, -(3-Me-Q39), -(4-Me-Q39), -(5-Me-Q39), -(6 - Me-Q39), -(6-Me-Q40), -(6-H-Q40), -(6,3-di-Me-Q40), -(6,4-di-Me-Me-Q40), -(6,5-di-Me-Q40), -Q41, -Q42, -(2,5-di-Me-Q42), -Q43, -Q44, -(3,4-di-Me-Q44), -(3,4-di-Cℓ-Q44), -Q45, -Q46, -(4-H-Q47), -(4-Me-Q47), -(4-CH_2CO_2Me-Q47),-(4-CO_2Me-Q47), NH-(4,6-di-MeO-Q14), NH-(4,6-di-Me-Q14), CONH-(4,6-di-MeO-Q14), CONH-(4,6-di-Me-Q14), NH-(5-CF_3-3-Cℓ-Q1), $NHP(O)(OMe)_2$, $NHP(O)(OEt)_2$, NHP(O)(OEt)S-n-Pr, NHP(O)(OEt)SPh, NHP(O)(OEt)O-n-Pr, $NHP(O)(O\text{-}i\text{-}Pr)_2$, $NHP(O)(O\text{-}n\text{-}Pr)_2$, $NHP(S)(OMe)_2$, $NHP(S)(OEt)_2$, NHP(S)(OEt)S-n-Pr, NHP(S)(OEl)SPh, NHP(S)(OEt)O-n-Pr, $NHP(S)(O\text{-}i\text{-}Pr)_2$, $NHP(S)(O\text{-}n\text{-}Pr)_2$, NHP(O)(OMe)Me, NH P(O)(OEt)Me, NHP(O)(OEt)Ph, NHP(O)(O-i-Pr)Me, NHP(O)(O-n-Pr)Me, NHP (S)(OMe)Me, NHP (S)(OEt)Me, NHP (S)(OEt) Ph, NHP (S)(O-i-Pr)Me, NHP (S)(O-n-Pr)Me, NHP (O)(OMe)OH, NHP (O)(OEt)OH, $NHCH_2CO_2H$, $NHCH_2CO_2Me$, $NHCH_2CO_2Et$, $NHCH_2CO_2$-n-Pr, $NHCH_2CO_2$-i-Pr, $NHCH_2CO_2$-n-Bu, $NHCH_2CO_2$-s-Bu, $NHCH_2CO_2$-i-Bu, $NHCH_2$ CO_2-t-Bu, $NHCH_2CO_2$-t-Am , $NHCH_2CO_2$-n-Am, $NHCH_2CO_2$-n-Hex, $NHCH_2CO_2CH_2(CH_2)_6Me$, $NHCH_2CO_2CH_2Ph$, $NHCH_2CO_2Ph$, $NHCH_2CO_2CH_2CO_2Me$, $NHCH_2CO_2CH_2CO_2Et$, $NHCH_2CO_2CH(Me)CO_2Me$, $NHCH_2CO_2CH(Me)CO_2Et$, $N(Me)CH_2CO_2Me$, $N(Me)CH_2CO_2Et$, $N(Et)CH_2CO_2Me$, $N(Et)CH_2CO_2Et$, N(COMe) CH_2CO_2Me, $N(COMe)CH_2CO_2Et$, N(COPh)CH_2CO_2Me, N(COPh)CH_2CO_2Et, $NHCH(Me)CO_2H$, $NHCH(Me)CO_2$ Me, $NHCH(Me)CO_2Et$, $NHCH(Me)CO_2$-n-Pr, $NHCH(Me)CO_2$-i-Pr, $NHCH(Me)CO_2$-n-Bu, $NHCH(Me)CO_2$-s-Bu, NHCH(Me) CO_2-i-Bu, $NHCH(Me)CO_2$-t-Bu, $NHCH(Me)CO_2$-t-Am, $NHCH(Me)CO_2$-n-Am, $NHCH(Me)CO_2$-n-Hex, NHCH(Me) CO_2CH_2 $(CH_2)_6Me$, $NHCH(Me)CO_2CH_2Ph$, $NHCH(Me)CO_2Ph$, $NHCH(Me)CO_2CH_2CO_2Me$, NHCH(Me) $CO_2CH_2CO_2Et$, $NHCH(Me)CO_2CH(Me)CO_2Me$, $NHCH(Me)CO_2CH(Me)CO_2Et$, $N(Me)CH(Me)CO_2Me$, N(Me)CH (Me) CO_2Et, $N(Et)CH(Me)CO_2Me$, $N(Et)CH(Me)CO_2Et$, $N(COMe)CH(Me)CO_2Me$, $N(COMe)CH(Me)CO_2Et$, N(COPh)CH (Me)CO_2Me, N(COPh)$CH(Me)CO_2Et$, $NHCH(Et)CO_2$ H, $NHCH(Et)CO_2Me$, $NHCH(Et)CO_2Et$, $NHCH(Et)CO_2$-n-Pr, NHCH(Et)CO_2-i-Pr, $NHCH(Et)CO_2$-n-Bu, $NHCH(Et)CO_2$-s-Bu, $NHCH(Et)CO_2$-i-Bu, $NHCH(Et)CO_2$-t-Bu, $NHCH(Et)CO_2$-t-Am, $NHCH(Et)CO_2$-n-Am, $NHCH(Et)CO_2$-n-Hex, $NHCH(Et)CO_2CH_2(CH_2)_6$ Me, $NHCH(Et)CO_2CH_2Ph$, NHCH(Et) CO_2Ph, $NHCH(CH_2OMe)CO_2H$, $NHCH(CH_2OMe)CO_2Me$, $NHCH(CH_2OMe)CO_2Et$, $NHCH(CH_2OMe)CO_2$-n-Pr, NHCH$(CH_2OMe)CO_2$-i-Pr, $NHCH(CH_2OMe)CO_2$-n-Bu, $NHCH(CH_2OMe)CO_2$-s-Bu, $NHCH(CH_2OMe)CO_2$-i-Bu, NHCH $(CH_2OMe)CO_2$-t-Bu, $NHCH(CH_2OMe)CO_2$-t-Am, $NHCH(CH_2OMe)CO_2$-n-Am, $NHCH(CH_2OMe)CO_2$-n-Hex, NHCH $(CH_2OMe)CO_2CH_2(CH_2)_6Me$, $NHCH(CH_2OMe)CO_2CH_2Ph$, $NHCH(CH_2OMe)CO_2Ph$, $NHCH(CH_2OEt)CO_2H$, NHCH $(CH_2OEt)CO_2Me$, $NHCH(CH_2OEt)CO_2Et$, $NHCH(CH_2OEt)CO_2$-n-Pr, $NHCH(CH_2OEt)CO_2$-i-Pr, $NHCH(CH_2OEt)CO_2$-n-Bu, $NHCH(CH_2OEt)CO_2$-s-Bu, $NHCH(CH_2OEt)CO_2$-i-Bu, $NHCH(CH_2OEt)CO_2$-t-Bu, $NHCH(CH_2OEt)$ CO_2-t-Am, $NHCH(CH_2OEt)CO_2$-n-Am, $NHCH(CH_2OEt)CO_2$-n-Hex, $NHCH(CH_2OEt)CO_2CH_2(CH_2)_6Me$, NHCH$(CH_2OEt)$ CO_2CH_2Ph, $NHCH(CH_2OEt)CO_2Ph$, $NHCH(SMe)CO_2H$, $NHCH(SMe)CO_2Me$, $NHCH(SMe)CO_2Et$, $NHCH(SMe)CO_2$-n-Pr, $NHCH(SMe)CO_2$-i-Pr, $NHCH(SMe)CO_2$-n-Bu, $NHCH(SMe)CO_2$-s-Bu, $NHCH(SMe)CO_2$-i-Bu, $NHCH(SMe)CO_2$-t-Bu, $NHCH(SMe)CO_2$-t-Am , $NHCH(SMe)CO_2$-n-Am, $NHCH(SMe)CO_2$-n-Hex, $NHCH(SMe)CO_2CH_2(CH_2)_6Me$, NH-CH(SMe)$CO_2CH_2Ph$, $NHCH(SMe)CO_2$ Ph, $NHCH(i\text{-}Pr)CO_2Me$, $NHCH(i\text{-}Pr)CO_2Et$, $NHCH(i\text{-}Pr)CO_2$-n-Pr, NHCH(i-Pr) CO_2-i-Pr, $NHCH(n\text{-}Pr)CO_2Me$, $NHCH(n\text{-}Pr)CO_2Et$, $NHCH(n\text{-}Pr)CO_2$-n-Pr, $NHCH(n\text{-}Pr)CO_2$-i-Pr , $NHCH(Ph)CO_2Me$, $NHCH(Ph)CO_2Et$, $NHCH(Ph)CO_2$-n-Pr, $NHCH(Ph)CO_2$-i-Pr, $NHCH_2CONH_2$, $NHCH_2CONHMe$, $NHCH_2CONHEt$, $NHCH_2CONH$-n-Pr, $NHCH_2$ CONH-i-Pr, $NHCH_2CONH$-n-Bu, $NHCH_2CONH$-s-Bu, $NHCH_2CONH$-i-Bu, $NHCH_2CONH$-t-Bu, $NHCH_2CONH$-t-Am, $NHCH_2CONH$-n-Am, $NHCH_2CONH$-n-Hex, $NHCH_2CONHCH_2(CH_2)_6Me$, $NHCH_2CONHCH_2$ Ph, $NHCH_2CONHPh$, $NHCH_2CONHCH_2CO_2Me$, $NHCH_2CONHCH_2CO_2Et$, $NHCH_2CONHCH(Me)$ CO_2Me, $NHCH_2CONHCH(Me)CO_2Et$, $N(Me)CH_2CONHMe$, $N(Me)CH_2CONHEt$, $N(Et)CH_2CONHMe$, N(Et) $CH_2CONHEt$, $N(COMe)CH_2CONHMe$, $N(COMe)CH_2CONHEt$, $N(COPh)CH_2CONHMe$, $N(COPh)CH_2CONHEt$, NH-CH(Me)$CONH_2$, NHCH(Me)CONHMe, NHCH(Me)CONHEt, NHCH(Me)CONH-n-Pr, NHCH(Me)CONH-i-Pr, NHCH (Me)CONH-n-Bu, NHCH(Me)CONH-s-Bu , NHCH(Me)CONH-i-Bu, NHCH(Me)CONH-t-Bu, NHCH(Me)CONH-t-Am, NHCH(Me)CONH-n-Am, NHCH(Me)CONH-n-Hex, $NHCH(Me)CONHCH_2(CH_2)_6Me$, $NHCH(Me)CONHCH_2Ph$, NHCH (Me)CONHPh, $NHCH(Me)CONHCH_2CO_2Me$, $NHCH(Me)CONHCH_2CO_2Et$, $NHCH(Me)CONHCH(Me)CO_2Me$, NHCH (Me)CONHCH (Me)CO_2Et, NHCH(Me)CONHCH(Me)Ph, N(Me)CH(He)CONHMe, N(Me)CH(Me)CONHEt, N(Et)CH (Me)CONHMe, N(Et)CH(Me)CONHEt, N(COMe)CH(Me)CONHMe, N(COMe)CH (Me)CONHEt, N(COPh)CH(Me) CONHMe, N(COPh)CH(Me)CONHEt, $NHCH(Et)CONH_2$, NHCH(Et)CONHMe, NHCH(Et)CONHEt, NHCH(Et)CONH-n-Pr, NHCH(Et)CONH-i-Pr, NHCH(Et)CONH-n-Bu, NHCH(Et)CONH-s-Bu, NHCH(Et)CONH-i-Bu, NHCH(Et)CONH-t-Bu, NHCH(Et)CONH-t-Am, NHCH(Et)CONH-n-Am, NHCH(Et)CONH-n-Hex, $NHCH(Et)CONHCH_2(CH_2)_6Me$, NHCH (Et)$CONHCH_2Ph$, NHCH(Et)CONHPh, $NHCH(CH_2OMe)CONH_2$, $NHCH(CH_2OMe)CONHMe$, $NHCH(CH_2OMe)CONHEt$, $NHCH(CH_2OMe)CONH$-n-Pr, $NHCH(CH_2OMe)CONH$-i-Pr , $NHCH(CH_2OMe)CONH$-n-Bu, $NHCH(CH_2OMe)$ CONH-s-Bu, $NHCH(CH_2OMe)CONH$-i-Bu, $NHCH(CH_2OMe)CONH$-t-Bu, $NHCH(CH_2OMe)CONH$-t-Am, NHCH $(CH_2OMe)CONH$-n-Am, $NHCH(CH_2OMe)CONH$-n-Hex, $NHCH(CH_2OMe)CONHCH_2(CH_2)_6Me$, $NHCH(CH_2OMe)$ $CONHCH_2Ph$, $NHCH(CH_2$ OMe)CONHPh, $NHCH(CH_2OEt)CONH_2$, $NHCH(CH_2OEt)CONHMe$, $NHCH(CH_2OEt)CONHEt$, $NHCH(CH_2OEt)CONH$-n-Pr, $NHCH(CH_2OEt)CONH$-i-Pr, $NHCH(CH_2OEt)CONH$-n-Bu, $NHCH(CH_2OEt)CONH$-s-Bu, $NHCH(CH_2$ OEt)CONH-i-Bu, $NHCH(CH_2OEt)CONH$-t-Bu , $NHCH(CH_2OEt)CONH$-t-Am, $NHCH(CH_2OEt)$ CONH-n-Am , $NHCH(CH_2OEt)CONH$-n-Hex, $NHCH(CH_2OEt)CONHCH_2(CH_2)_6Me$, $NHCH(CH_2OEt)CONHCH_2Ph$, $NHCH(CH_2OEt)CONHPh$, $NHCH(SMe)CONH_2$, NHCH(SMe)CONHMe, NHCH(SMe)CONHEt, NHCH(SMe)CONH-n-

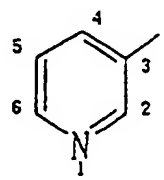
Pt, NHCH(SMe)CONH-i-Pr, NHCH(SMe)CONH-n-Bu, NHCH(SMe)CONH-s-Bu, NHCH(SMe)CONH-i-Bu, NHCH(SMe)CONH-t-Bu, NHCH(SMe)CONH-t-Am, NHCH(SMe)CONH-n-Am, NHCH(SMe)CONH-n-Hex, NHCH(SMe)CONHCH$_2$(CH$_2$)$_6$Me, NHCH(SMe)CONHCH$_2$Ph, NHCH(SMe)CONHPh, NHCH(i-Pr)CONHMe, NHCH(i-Pr)CONHEt, NHCH(i-Pr)CONH-n-Pr, NHCH(i-Pr)CONH-i-Pr, NHCH(n-Pr)CONHMe, NHCH(n-Pr)CONHEt, NHCH(n-Pr)CONH-n-Pr, NHCH(n-Pr)CONH-i-Pr, NHCH(Ph)CONHMe, NHCH(Ph)CONHEt, NHCH(Ph)CONH-n-Pr, NHCH(Ph)CONH-i-Pr, NHCH$_2$CN, NHCH(Me)CN, NHC(Me)$_2$CN, N(Me)CH$_2$ CN, N(Me)CH(Me)CN, N(Me)C(Me)$_2$CN, NHCH$_2$CH$_2$CO$_2$Me, NHCH(Me)CH$_2$CO$_2$Me, NHCH$_2$CH$_2$CH$_2$CO$_2$Me, NHCH$_2$CH$_2$CH$_2$CH$_2$CO$_2$Me, NHCH$_2$CH$_2$CO$_2$Et, NHCH(Me)CH$_2$CO$_2$Et, NHCH$_2$CH$_2$CH$_2$CO$_2$Et, NHCH$_2$CH$_2$CH$_2$CH$_2$CO$_2$Et, NHCH$_2$ P(O)(OMe)$_2$, NHCH$_2$P(O)(OEt)$_2$, NHCH$_2$P(O)(O-i-Pr)$_2$, NHCH$_2$P(O)(O-n-Pr)$_2$, NHCH$_2$P(S)(OMe)$_2$, NHCH$_2$P (S)(OEt)$_2$, NHCH$_2$P(S)(O-i-Pr)$_2$, NHCH$_2$P(S)(O-n-Pr)$_2$, NHCH$_2$P(O)(OMe)Me, NHCH$_2$P(O)(OEt)Me, NHCH$_2$P(O)(O-i-Pr)Me, NHCH$_2$P(O)(O-n-Pr)Me, NHCH$_2$P (S)(OMe)Me, NHCH$_2$P(S)(OEt)Me, NHCH$_2$P(S)(O-i-Pr)Me, NHCH$_2$P(S)(O-n-Pr)Me, NHCH$_2$P(O)(OMe)OH, NHCH$_2$P(O)(OEt)OH, NHCH$_2$P(O)(OH)$_2$, NHCH$_2$CH$_2$P(O)(OMe)$_2$, NHCH$_2$CH$_2$P(O)(OEt)$_2$, NHCH$_2$CH$_2$P(O)(O-i-Pr)$_2$, NHCH$_2$CH$_2$P(O)(O-n-Pr)$_2$, NHCH$_2$CH$_2$P (S)(OMe)$_2$, NHCH$_2$CH$_2$P (S)(OEt)$_2$, NHCH$_2$CH$_2$P(S)(O-i-Pr)$_2$, NHCH$_2$CH$_2$P(S)(O-n-r)$_2$, NHCH$_2$CH$_2$P(O)(OMe)Me, NHCH$_2$CH$_2$P (O)(OEt)Me, NHCH$_2$CH$_2$P (O)(O-i-Pr)Me, NHCH$_2$CH$_2$P (O)(O-n-Pr)Me, NHCH$_2$CH$_2$P (S)(OMe)Me, NHCH$_2$CH$_2$P (S)(OEt)Me, NHCH$_2$CH$_2$P (S)(O-i-Pr)Me, NHCH$_2$CH$_2$P (S)(O-n-Pr)Me, NHCH$_2$CH$_2$P (O)(OMe)OH, NHCH$_2$CH$_2$P(O)(OEt)OH, NHCH$_2$CH$_2$P(O)(OH)$_2$, NHCH(P (O)(OMe)$_2$)$_2$, NHCH(P(O)(OEt)$_2$)$_2$, NHCH(P(O)(O-i-Pr)$_2$)$_2$, NHCH(P (O)(O-n-Pr)$_2$)$_2$, NHCH(P(O)(OH)$_2$)$_2$, NHCH (P (O)(OMe)$_2$)(P(O)(OH)$_2$), NHCH(P(O)(OEt)$_2$)(P(O)(OH)$_2$), NHCH(P(O)(O-i-Pr)$_2$)(P (O)(OH)$_2$), NHCH(P(O)(O-n-Pr)$_2$)(P (O)(OH)$_2$), NHC(Me)(P(O)(OMe)$_2$)$_2$, NHC(Me)(P (O)(OEt)$_2$)$_2$, NHC(Me)(P(O)(O-i-Pr)$_2$)$_2$, NHC(Me)(P (O)(O-n-Pr)$_2$)$_2$, NHC(Me)(P(O)(OH)$_2$)$_2$, NHC(Me)(P(O)(OMe)$_2$)(P(O)(OH)$_2$), NHC(Me)(P(O)(OEt)$_2$(P(O)(OH)$_2$), NHC(Me)(P(O)(O-i-Pr)$_2$(P(O)(OH)$_2$), NHC(Me)(P(O)(O-n-Pr)$_2$)(P(O)(OH)$_2$), N(SO$_2$Me)CH$_2$CO$_2$H, N(SO$_2$Me)CH$_2$CO$_2$ Me, N(SO$_2$Me)CH$_2$CO$_2$ Et, N(SO$_2$Me)CH$_2$CO$_2$-n-Pr, N(SO$_2$Me)CH$_2$CO$_2$-i-Pr, N(SO$_2$Me)CH$_2$CO$_2$-n-Bu, N(SO$_2$Me)CH$_2$CO$_2$-s-Bu, N(SO$_2$Me)CH$_2$ CO$_2$-i-Bu, N(SO$_2$Me)CH$_2$CO$_2$-t-Bu, N(SO$_2$Me)CH$_2$CO$_2$-t-Am, N(SO$_2$Me)CH$_2$CO$_2$-n-Am, N(SO$_2$Me)CH$_2$CO$_2$-n-Hex, N(SO$_2$Me)CH$_2$CO$_2$CH$_2$(CH$_2$)$_6$Me, N (SO$_2$Me)CH$_2$CO$_2$CH$_2$Ph, N(SO$_2$Me)CH$_2$CO$_2$Ph, N(SO$_2$Me)CH$_2$CONH$_2$, N(SO$_2$Me)CH$_2$CONHMe, N(SO$_2$Me)CH$_2$CONHEt, N(SO$_2$Me)CH$_2$CONH-n-Pr, N(SO$_2$Me)CH$_2$CONH-i-Pr, N(SO$_2$Me)CH$_2$CONH-n-Bu, N(SO$_2$Me)CH$_2$CONH-s-Bu, N(SO$_2$Me)CH$_2$CONH-i-Bu, N(SO$_2$Me)CH$_2$ CONH-t-Bu, N(SO$_2$Me)CH$_2$CONH-t-Am, N(SO$_2$Me)CH$_2$CONH-n-Am, N(SO$_2$Me) CH$_2$CONH-n-Hex, N(SO$_2$Me)CH$_2$CONHCH$_2$(CH$_2$)$_6$Me, N(SO$_2$Me)CH$_2$CONHCH$_2$Ph, N(SO$_2$Me)CH$_2$CONHPh, N(SO$_2$Me)CH(Me)CO$_2$H, N(SO$_2$Me)CH(Me)CO$_2$Me, N(SO$_2$Me)CH(Me)CO$_2$Et, N(SO$_2$Me) CH(Me)CO$_2$-n-Pr, N(SO$_2$Me)CH(Me)CO$_2$-i-Pr, N(SO$_2$Me)CH(Me)CO$_2$-n-Bu, N(SO$_2$Me)CH(Me)CO$_2$-s-Bu, N(SO$_2$Me)CH(Me)CO$_2$-i-Bu, N(SO$_2$Me)CH(Me)CO$_2$-t-Bu, N(SO$_2$Me)CH(Me)CO$_2$-1-Am, N(SO$_2$Me)CH(Me)CO$_2$-n-Am, N(SO$_2$Me)CH(Me)CO$_2$-n-Hex, N(SO$_2$Me)CH(Me)CO$_2$CH$_2$(CH$_2$)$_6$Me, N(SO$_2$Me)CH(Me)CO$_2$CH$_2$Ph, N(SO$_2$Me)CH(Me)CO$_2$Ph, N(SO$_2$Me)CH(Me)CONH$_2$, N(SO$_2$Me)CH(Me)CONHMe, N(SO$_2$ Me)CH(Me)CONHEt, N(SO$_2$Me)CH(Me)CONH-n-Pr, N(SO$_2$Me)CH(Me)CONH-i-Pr, N(SO$_2$Me)CH(Me)CONH-n-Bu, N(SO$_2$Me)CH(Me) CONH-s-Bu, N(SO$_2$Me)CH(Me) CONH-i-Bu, N(SO$_2$Me)CH(Me)CONH-t-Bu, N(SO$_2$Me)CH(Me)CONH-t-Am, N(SO$_2$Me)CH(Me)CONH-n-Am, N(SO$_2$Me)CH(Me)CONH-n-Hex, N(SO$_2$Me)CH(Me)CONHCH$_2$(CH$_2$)$_6$Me, N(SO$_2$Me)CH(Me)CONHCH$_2$Ph, N(SO$_2$Me)CH(Me) CONHPh, N(SO$_2$Et)CH$_2$CO$_2$ H, N(SO$_2$Et)CH$_2$CO$_2$Me, N(SO$_2$Et)CH$_2$CO$_2$Et, N(SO$_2$ Et)CH$_2$CO$_2$-n-Pr, N(SO$_2$Et)CH$_2$ CO$_2$-i-Pr, N(SO$_2$Et)CH$_2$CO$_2$-n-Bu, N(SO$_2$Et)CH$_2$CO$_2$-s-Bu, N(SO$_2$Et)CH$_2$CO$_2$-i-Bu, N(SO$_2$Et)CH$_2$CO$_2$-t-Bu, N(SO$_2$Et)CH$_2$CO$_2$ -t-Am, N(SO$_2$Et)CH$_2$CO$_2$-n-Am, N(SO$_2$Et)CH$_2$CO$_2$-n-Hex, N (SO$_2$Et)CH$_2$CO$_2$CH$_2$(CH$_2$)$_6$Me, N(SO$_2$Et)CH$_2$CO$_2$CH$_2$Ph, N(SO$_2$Et)CH$_2$CO$_2$Ph, N(SO$_2$Et)CH$_2$CONH$_2$, N(SO$_2$ Et)CH$_2$CONHMe, N(SO$_2$Et)CH$_2$ CONHEt, N(SO$_2$Et)CH$_2$CONH-n-Pr, N(SO$_2$Et)CH$_2$CONH-i-Pr, N(SO$_2$Et)CH$_2$CONH-n-Bu, N(SO$_2$Et)CH$_2$CONH-s-Bu, N(SO$_2$Et)CH$_2$CONH-i-Bu , N(SO$_2$Et)CH$_2$CONH-t-Bu, N(SO$_2$Et)CH$_2$CONH-t-Am, N(SO$_2$ Et)CH$_2$CONH-n-Am, N(SO$_2$Et)CH$_2$CONH-n-Hex, N(SO$_2$Et)CH$_2$CONHCH$_2$(CH$_2$)$_6$Me, N(SO$_2$Et)CH$_2$CONHCH$_2$Ph, N(SO$_2$Et)CH$_2$CONHPh, N(SO$_2$Et)CH(Me)CO$_2$H, N(SO$_2$Et) CH (Me)CO$_2$Me, N(SO$_2$Et) CH (Me)CO$_2$Et, N(SO$_2$Et)CH (Me)CO$_2$-n-Pr, N(SO$_2$Et)CH(Me)CO$_2$-i-Pr, N(SO$_2$Et)CH(Me)CO$_2$-n-Bu, N(SO$_2$Et)CH(Me)CO$_2$-s-Bu, N(SO$_2$Et)CH(Me)CO$_2$-i-Bu, N(SO$_2$Et)CH(Me)CO$_2$-t-Bu, N(SO$_2$Et)CH(Me)CO$_2$-t-Am, N(SO$_2$Et)CH(Me)CO$_2$-n-Am, N(SO$_2$Et)CH(Me)CO$_2$-n-Hex, N(SO$_2$Et)CH(Me)CO$_2$CH$_2$(CH$_2$)$_6$Me, N(SO$_2$Et)CH(Me)CO$_2$CH$_2$Ph, N(SO$_2$Et)CH(Me)CO$_2$Ph, N(SO$_2$Et)CH(Me)CONH$_2$, N(SO$_2$Et) CH(Me)CONHMe, N(SO$_2$Et)CH(Me)CONHEt, N(SO$_2$Et)CH(Me)CONH-n-Pt, N(SO$_2$Et) CH(Me)CONH-i-Pr, N(SO$_2$Et)CH(Me)CONH-n-Bu, N(SO$_2$Et)CH(Me)CONH-s-Bu, N(SO$_2$Et)CH (Me)CONH-i-Bu, N(SO$_2$Et)CH(Me)CONH-t-Bu , N(SO$_2$Et)CH(Me)CONH-t-Am, N(SO$_2$Et)CH(Me)CONH-n-Am, N(SO$_2$Et)CH(Me)CONH-n-Hex, N(SO$_2$Et)CH(Me)CONHCH$_2$(CH$_2$)$_6$Me, N(SO$_2$Et)CH(Me)CONHCH$_2$Ph, N(SO$_2$Et)CH(Me)CONHPh, NHMe, NHEt, NH-n-Pr, NH-i-Pr, NH-n-Bu, NH-s-Bu, NH-i-Bu , NH-t-Bu, NH-t-Am, NH-n-Am, NH-n-Hex, NHCH$_2$(CH$_2$)$_6$Me, NHCH$_2$Ph, NHPh, NH-(2-NO$_2$-Ph), NH-(4-NO$_2$-Ph), NH-(2,4-di-NO$_2$-Ph), NH-(2-NO$_2$-4-CF$_3$-Ph), NH-(4-NO$_2$-2-CF$_3$-Ph), NH-(2,6-di-NO$_2$-4-CF$_3$-Ph), NH-(2,4-di-NO$_2$-6-C F$_3$-Ph), NH-(2,4,6-tri-NO$_2$-Ph), NH-(2,6-di-NO$_2$-4-CF$_3$-5-Cℓ-Ph) , NHCH$_2$-(2-F-Ph), NHCH$_2$-(3-F-Ph), NHCH$_2$-(4-F-Ph), NHCH$_2$-(2-Cℓ-Ph), NHCH$_2$-(3-Cℓ-Ph), NHCH$_2$-(4-Cℓ-Ph), NHCH$_2$-(2-Br-Ph), NHCH$_2$-(3-Br-Ph), NHCH$_2$-(4-Br-Ph), NHCH$_2$-(2-I-Ph), NHCH$_2$ -(3-I-Ph), NHCH$_2$-(4-I-Ph), NHCH$_2$-(2-Me-Ph), NHCH$_2$-(3-Me-Ph), NHCH$_2$-(4-Me-Ph), NHCH$_2$-(2-MeO-Ph), NHCH$_2$-(3-MeO-Pn), NHCH$_2$-(4-MeO-Ph), NHCH$_2$-(4-Et-Ph), NHCH$_2$-(4-n-Pr-Ph), NHCH$_2$-(4-i-Pr-Ph), NHCH$_2$-(4-n-Bu-Ph), NHCH$_2$-(4-s-Bu-Ph), NHCH$_2$-(4-i-Bu-Ph), NHCH$_2$-(4-t-Bu-Ph), NHCH$_2$-(4-t-Am-Ph), NHCH$_2$-(4-n-Hex-Ph), NHCH$_2$-(2-NO$_2$-

Ph), $NHCH_2$-(3-NO_2-Ph), $NHCH_2$-(4-NO_2-Ph), $NHCH_2$ -(2-MeOCO-Ph), $NHCH_2$-(3-MeOCO-Ph), $NHCH_2$-(4-MeOCO-Ph), $NHCH_2$-(2-CF_3-Ph), $NHCH_2$-(3-CF_3-Ph), $NHCH_2$-(4-CF_3 -Ph), $NHCH_2$-(2-CF_3O-Ph), $NHCH_2$ -(3-CF_3O-Ph), $NHCH_2$-(4-CF_3O-Ph), $NHCH_2$-(4-CF_3CF_2O-Ph), $NHCH_2$-(3-MeCO-Ph), $NHCH_2$-(3-HOCO-Ph), $NHCH_2$-(4-HOCO-Ph), NHCH(Me)Ph, $NHCH_2CH_2Ph$, $N(Me)_2$, $N(Et)_2$, $N(n\text{-}Pr)_2$, $N(i\text{-}Pr)_2$, N(Me)Et, $N(n\text{-}Bu)_2$, $N(s\text{-}Bu)_2$, $N(i\text{-}Bu)_2$, NHt-Bu)$_2$, $N(t\text{-}Am)_2$, $N(n\text{-}Am)_2$, $NHCF_3$, $NHCH_2CF_3$, $NHCH_2CH_2CF_3$, $NHCH(Me)CF_3$, $NHCH(CF_3)_2$, $NHCH(F)CF_3$, NH $(CF_2)_3CF_3$, $NH(CF_2)_5CF_3$, $NH(CF_2)_7CF_3$, $NHCC\ell_3$, $NHCHC\ell_2$, $NHCH_2CC\ell_3$, $NHCH_2C\ell$, $NHCH_2CH_2C\ell$, $NHCH_2CH_2CH_2C\ell$, $NHCH_2CH(C\ell)Me$, $NHCH_2C(C\ell)=CH_2$, NHCH (OH)$CC\ell_3$, $NHCH=CH_2$, $NHCH_2CH=CH_2$, NHCH (Me) $CH=CH_2$, $NHC(Me)_2CH=CH_2$, $NHCH_2C\equiv CH$, NHCH (Me)$C\equiv CH$, $NHC(Me)_2C\equiv CH$, $NHCH_2C(Me)=CH_2$, N $(CH_2CH=CH_2)_2$, $N(CH_2C\equiv CH)_2$, NH-c-Pr, NH-c-Bu, NH-c-Pen, NH-c-Hex, $NHCH_2$-c-Pr, $NHCH_2$-c-Bu, $NHCH_2$-c-Pen, $NHCH_2$ -c-Hex, $NHCH_2OMe$, $NHCH_2OEt$, $NHCH_2O$-n-Pr, $NHCH_2O$-i-Pr, $NHCH_2O$-n-Bu, $NHCH_2O$-s-Bu, $NHCH_2O$-i-Bu, $NHCH_2$ O-t-Bu, $NHCH_2O$-t-Am, $NHCH_2O$-n-Am, $NHCH_2O$-n-Hex, $NHCH_2OC\ H_2(CH_2)_6Me$, $NHCH_2OCH_2Ph$, $NHCH_2OPh$, $NHCH_2CH_2OMe$, $NHCH_2CH_2OEt$, $NHCH_2CH_2O$-n-Pr, $NHCH_2CH_2O$-i-Pr, $NHCH_2CH_2O$-n-Bu, $NHCH_2CH_2O$-s-Bu, $NHCH_2CH_2O$-i-Bu, $NHCH_2CH_2O$-t-Bu, $NHCH_2CH_2O$-t-Am, $NHCH_2CH_2O$-n-Am, $NHCH_2CH_2O$-n-Hex, $NHCH_2CH_2OCH_2(CH_2)_6Me$, $NHCH_2CH_2OCH_2Ph$, $NHCH_2CH_2OPh$, NHCH(Me)CH_2OMe, NHCH(Me)CH_2OEt, NHCH(Me)CH_2O-n-Pr, NHCH(Me)CH_2O-i-Pt, NHCH(Me)CH_2O-n-Bu, NHCH(Me)CH_2O-s-Bu, NHCH(Me)CH_2O-i-Bu, NHCH(Me)CH_2 O-t-Bu, NHCH(Me)CH_2O-t-Am, NHCH(Me)CH_2O-n-Am, NHCH(Me)CH_2O-n-Hex, NHCH(Me) $CH_2OCH_2(CH_2)_6Me$, NHCH (Me)CH_2OCH_2Ph, NHCH(Me)CH_2OPh, $NHOCH_2CO_2Me$, $NHOCH_2CO_2Et$, N(Me) OCH_2CO_2Me, N(Me)OCH_2CO_2Et, $NHNH_2$, $NHNHSO_2Me$, $NHNHSO_2$ Et, $NHNHSO_2$-n-Pr, $NHNHSO_2$-i-Pr, $NHNHSO_2$-n-Bu, $NHNHSO_2$-s-Bu, $NHNHSO_2$-i-Bu, $NHNHSO_2$-t-Bu, $NHNHSO_2$-t-Am, $NHNHSO_2$-n-Am, $NHNHSO_2$-n-Hex, $NHNHSO_2\ CH_2(CH_2)_6Me$, $NHNHSO_2CH_2Ph$, $NHNHSO_2Ph$, $NHN(SO_2Me)_2$, $NHN(SO_2Et)_2$, $NHNHSO_2$-n-Pr)$_2$, $NHN(SO_2$-i-Pr)$_2$, $NHN(SO_2$-n-Bu)$_2$, $NHN(SO_2$-s-Bu)$_2$, $NHN(SO_2$-i-Bu)$_2$, $NHN(SO_2$-t-Bu)$_2$, $NHN(SO_2$-t-Am)$_2$, NHN $(SO_2$-n-Am)$_2$ $NHN(SO_2$-n-Hex)$_2$, $NHN(SO_2CH_2(CH_2)_6Me)_2$, $NHN(SO_2CH_2Ph)_2$, $NHN(SO_2Ph)_2$, $N(NH_2)SO_2$ Me, N $(NH_2)SO_2Et$, $N(NH_2)SO_2$-n-Pr, $N(NH_2)SO_2$-i-Pr, $N(NH_2)SO_2$-n-Bu, $N(NH_2)SO_2$-s-Bu, $N(NH_2)SO_2$-i-Bu, $N(NH_2)SO_2$-t-Bu, $N(NH_2)SO_2$-t-Am, $N(NH_2)SO_2$ -n-Am, $N(NH_2)SO_2$-n-Hex, $N(NH_2)SO_2CH_2(CH_2)_6Me$, $N(NH_2)SO_2CH_2Ph$, $N(NH_2)$ SO_2Ph, $N(COCH_2C\ell)CH_2OEt$, $N(COCH_2Br)CH_2OEt$, $N(COCH_2C\ell)CH_2O$-i-Pr, $N(COCH_2Br)CH_2O$-i-Pr, $N(COCH_2\ C\ell)$ CH_2O-n-Bu, $N(COCH_2Br)CH_2O$-n-Bu, $N(COCH_2C\ell)CH_2O$-i-Bu, $N(COCH_2Br)CH_2O$-i-Bu, $N(COCH_2C\ell)\ CH_2CO_2Me$, $N(COCH_2Br)CH_2CO_2$ Et, $N(COCH_2C\ell)CH(Me)CH_2OMe$, $N(COCH_2Br)CH(Me)CH_2OMe$, N(COCH$_2$OMe)CH (Me) CO_2Me, $N(COCH_2$ OMe)CH (Me)CO_2Et, N(COCH$_2$OMe)-Q15, N(COCH$_2$OMe)-Q15, N(COCH$_2$OMe)-Q17, N (COCH$_2$OMe)-Q17, N(COCH$_2$OMe)-Q19, N(COCH$_2$ OMe)-Q19, N(CO-Q16)CH(Me)CO_2Me, $N(COCH_2C\ell)$-Q17, N $(COCH_2Br)$-Q17, $N(COCH_2C\ell)$-Q15, N(COCH$_2$Br)-Q15, $N(COCH_2C\ell)CH(Me)CO_2Me$, $N(COCH_2Br)CH(Me)CO_2$ Et, N(COCH$_2$Ph)CH(Me)CO_2Me, N(COCH$_2$Ph)CH(Me)CO_2Et, N(CO-c-Pr)-Q15, N (CO-c-Pr)-Q15, NHCO-Q18)CH(Me) CO_2Me, N(CO-Q18)CH(Me)CO_2Et, $NHCOCH_2OMe$, $NHCOCH_2OEt$, NHCH=NOMe, NHCH=NOEt, $NHCH_2CON(Me)$-(6-MeO-Q1), $NHCH_2CON(Me)$-(6-Me-Q1), $NHCH_2CON(Me)Ph$, $NHCOCH_2CH(Me)CH_2CO_2H$, $NHCOCH_2C(Me)$ CH_2CO_2Me, $NHCOCH_2CH(Me)CH_2CO_2Et$, $NHCOCH_2CH\ (CF_3)CH_2CO_2H$, $NHCOCH_2CH(CF_3)CH_2CO_2Me$, $NHCOCH_2CH(CF_3)CH_2CO_2Et$, $NHCH_2SMe$, $NHCH_2SO_2Me$, $NHCH_2SEt$, $NHCH_2SO_2Et$, $NHCH_2CN$

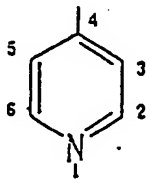
in which Q_1 to Q_{47} are as shown below.



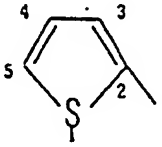
Q 1



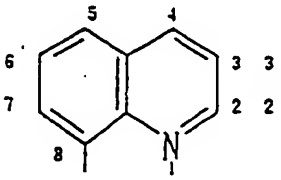
Q 2



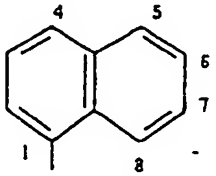
Q 3



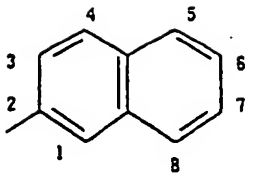
Q 4



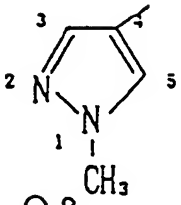
Q 5



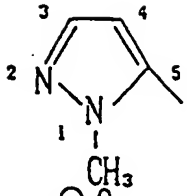
Q 6



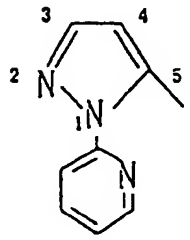
Q 7



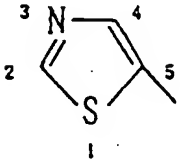
Q 8



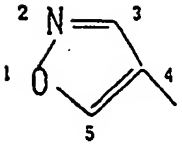
Q 9



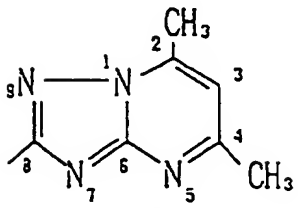
Q10



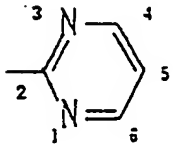
Q11



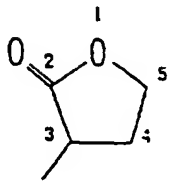
Q12



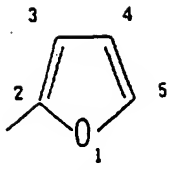
Q13

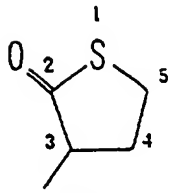


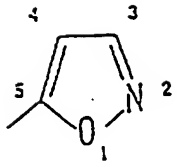
Q14

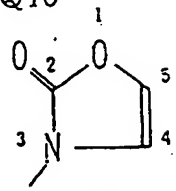


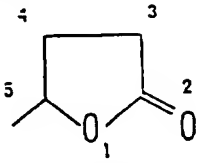
Q15

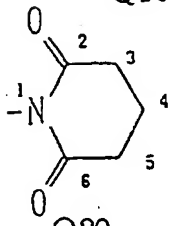

Q16

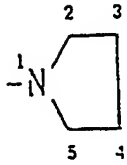

Q17

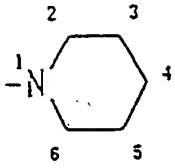

Q18

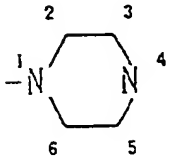

Q19

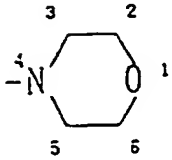

Q20


Q30


Q31


Q32


Q33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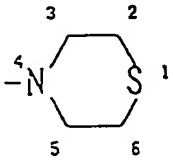
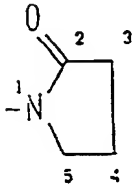
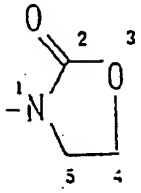
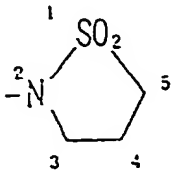
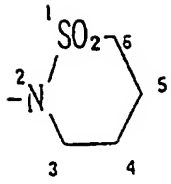

Q35

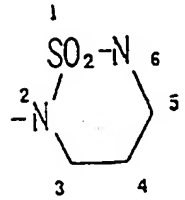

Q36


Q37


Q38



Q39



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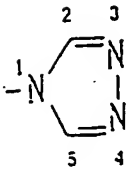

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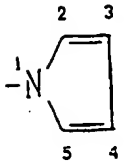

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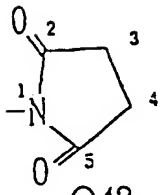

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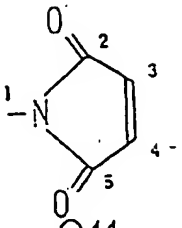

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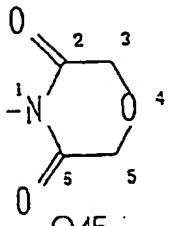

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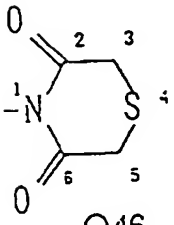

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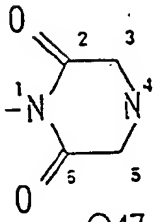

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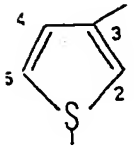


Q48

[0072] Next, formulation examples of preparations using the compound of the present invention are shown specifically. The formulation examples of the present invention are not limited only to these. In the following formulation examples, all "part"s mean part by weight.

[Wettable powder]	
The compound of the present invention	5 - 80 parts
Solid carrier	10 - 85 parts
Surfactant	1 - 10 parts
Others	1 - 5 parts

[0073] As the others, there may be mentioned, for example, an anticaking agent.

[Emulsifiable concentrate]	
The compound of the present invention	1 - 30 parts
Liquid carrier	30 - 95 parts
Surfactant	5 - 15 parts

[Flowable formulation]	
The compound of the present invention	5 - 70 parts
Liquid carrier	15 - 65 parts
Surfactant	5 - 12 parts
Others	5 - 30 parts

[0074] As the others, there may be mentioned, for example, an antifreezing agent, a thickening agent, etc.

[Granular wettable formulation (Dry flowable formulation)]	
The compound of the present invention	20 - 90 parts
Solid carrier	10 - 60 parts
Surfactant	1 - 20 parts

[Granule]	
The compound of the present invention	0.01 - 10 parts
Solid carrier	90 - 99.99 parts
Others	0 - 5 parts

[Formulation example 1] Wettable powder	
Present compound D-12	50 parts
Zeeklite PFP	43 parts
(Kaolin series clay: produced by Zeeklite Kogyo K.K., tradename)	
Sorpol 5050	2 parts
(Anionic surfactant: produced by Toho Chemical Industry Co., Ltd., tradename)	
Runox 1000C	3 parts
(Anionic surfactant: produced by Toho Chemical Industry Co., Ltd., tradename)	
Carplex #80 (Anticaking agent)	2 parts
(White carbon: produced by Shionogi & Co., Ltd., tradename)	

[0075] The above components were uniformly mixed and pulverized to prepare a wettable powder.

[Formulation example 2] Emulsifiable concentrate	
Present compound D-12	3 parts
Xylene	76 parts
Isophorone	15 parts
Sorpol 3005X	6 parts
(Mixture of an nonionic surfactant and an anionic surfactant: produced by Toho Chemical Industry Co., Ltd., tradename)	

[0076] The above components were uniformly mixed to prepare an emulsifiable concentrate.

[Formulation example 3] Flowable formulation	
Present compound D-12	35 parts
Agrizole S-711	8 parts
(Nonionic surfactant: produced by Kao Corporation, tradename)	
Runox 1000C	0.5 part
(Anionic surfactant: produced by Toho Chemical Industry Co., Ltd., tradename)	
1 % Rodopole water	20 parts
(Thickening agent: produced by Rhone-Poulenc S.A., tradename)	
Ethylene glycol (Antifreezing agent)	8 parts
Water	28.5 parts

[0077] The above components were uniformly mixed to prepare a flowable formulation.

[Formulation example 4] Granular wettable powder (Dry flowable formulation)	
Present compound D-12	75 parts
Isobam No. 1	10 parts
(Anionic surfactant: produced by Kuraray Isoprene Chemical Co., Ltd., tradename)	
Vanilex N	5 parts
(Anionic surfactant: produced by Sanyo Kokusaku Pulp Co., Ltd., tradename)	
Carplex #80	10 parts
(White carbon: produced by Shionogi & Co., Ltd., tradename)	

[0078] The above components were uniformly mixed and finely pulverized to prepare a dry flowable formulation.

[Formulation example 5] Granule	
Present compound D-12	0.1 part
Bentonite	55.0 parts
Talc	44.9 parts

[0079] The above components were uniformly mixed and pulverized, and then a small amount of water was added and the mixture was stirred, mixed and kneaded, and granulated by an extrusion type granulating machine and dried to prepare granule.

[Formulation example 6] Wettable powder	
Present compound D-15	50 parts
Zeeklite PFP	43 parts
(Kaolin series clay: produced by Zeeklite Kogyo K.K., tradename)	
Sorpol 5050	2 parts
(Anionic surfactant: produced by Toho Chemical Industry Co., Ltd., tradename)	
Runox 1000C	3 parts
(Anionic surfactant: produced by Toho Chemical Industry Co., Ltd., tradename)	
Carplex #80 (Anticaking agent)	2 parts
(White carbon: produced by Shionogi & Co., Ltd., tradename)	

[0080] The above components were uniformly mixed and pulverized to prepare a wettable powder.

[Formulation example 7] Emulsifiable concentrate	
Present compound D-15	3 parts
Xylene	76 parts
Isophorone	15 parts
Sorpol 3005x	6 parts
(Mixture of an nonionic surfactant and an anionic surfactant: produced by Toho Chemical Industry Co., Ltd., tradename)	

[0081] The above components were uniformly mixed to prepare an emulsifiable concentrate.

[Formulation example 8] Flowable formulation	
Present compound D-15	35 parts
Agrizole S-711	8 parts
(Nonionic surfactant: produced by Kao Corporation, tradename)	
Runox 1000C	0.5 part
(Anionic surfactant: produced by Toho Chemical Industry Co., Ltd., tradename)	
1 % Rodopole water	20 parts
(Thickening agent: produced by Rhone-Poulenc S.A., tradename)	
Ethylene glycol (Antifreezing agent)	8 parts
Water	28.5 parts

[0082] The above components were uniformly mixed to prepare a flowable formulation.

[Formulation example 9] Granular wettable powder (Dry flowable formulation)	
Present compound D-15	75 parts
Isobam No. 1	10 parts
(Anionic surfactant: produced by Kuraray Isoprene Chemical Co., Ltd., tradename)	
Vanilex N	5 parts
(Anionic surfactant: produced by Sanyo Kokusaku Pulp Co., Ltd., tradename)	
Carplex #80	10 parts
(White carbon: produced by Shionogi & Co., Ltd., tradename)	

[0083] The above components were uniformly mixed and finely pulverized to prepare a dry flowable formulation.

[Formulation example 10] Granule	
Present compound D-15	0.1 part
Bentonite	55.0 parts
Talc	44.9 parts

[0084] The above components were uniformly mixed and pulverized, and then a small amount of water was added and the mixture was stirred, mixed and kneaded, and granulated by an extrusion type granulating machine and dried to prepare granule.

[Formulation example 11] Wettable powder	
Present compound D-16	50 parts
Zeeklite PFP	43 parts
(Kaolin series clay: produced by Zeeklite Kogyo K.K., tradename)	
Sorpol 5050	2 parts
(Anionic surfactant: produced by Toho Chemical Industry Co., Ltd., tradename)	
Runox 1000C	3 parts
(Anionic surfactant: produced by Toho Chemical Industry Co., Ltd., tradename)	
Carplex #80 (Anticaking agent)	2 parts
(White carbon: produced by Shionogi & Co., Ltd., tradename)	

[0085] The above components were uniformly mixed and pulverized to prepare a wettable powder.

[Formulation example 12] Emulsifiable concentrate	
Present compound D-16	3 parts
Xylene	76 parts
Isophorone	15 parts
Sorpol 3005X	6 parts
(Mixture of an nonionic surfactant and an anionic surfactant: produced by Toho Chemical Industry Co., Ltd., tradename)	

[0086] The above components were uniformly mixed to prepare an emulsifiable concentrate.

[Formulation example 13] Flowable formulation	
Present compound D-16	35 parts
Agrizole S-711	8 parts
(Nonionic surfactant: produced by Kao Corporation, tradename)	
Runox 1000C	0.5 part
(Anionic surfactant: produced by Toho Chemical Industry Co., Ltd., tradename)	
1 % Rodopole water	20 parts
(Thickening agent: produced by Rhone-Poulenc S.A., tradename)	
Ethylene glycol (Antifreezing agent)	8 parts
Water	28.5 parts

[0087] The above components were uniformly mixed to prepare a flowable formulation.

[Formulation example 14] Granular wettable powder (Dry flowable formulation)	
Present compound D-16	75 parts
Isobam No. 1	10 parts
(Anionic surfactant: produced by Kuraray Isoprene Chemical Co., Ltd., tradename)	
Vanilex N	5 parts
(Anionic surfactant: produced by Sanyo Kokusaku Pulp Co., Ltd., tradename)	
Carplex #80	10 parts
(White carbon: produced by Shionogi & Co., Ltd., tradename)	

[0088] The above components were uniformly mixed and finely pulverized to prepare a wettable powder.

[Formulation example 15] Granule	
Present compound D-16	0.1 part
Bentonite	55.0 parts
Talc	44.9 parts

[0089] The above components were uniformly mixed and pulverized, and then a small amount of water was added and the mixture was stirred, mixed and kneaded, and granulated by an extrusion type granulating machine and dried to prepare granule.

[Formulation example 16] Wettable powder	
Present compound D-22	50 parts
Zeeklite PFP	43 parts
(Kaolin series clay: produced by Zeeklite Kogyo K.K., tradename)	
Sorpol 5050	2 parts
(Anionic surfactant: produced by Toho Chemical Industry Co., Ltd., tradename)	
Runox 1000C	3 parts
(Anionic surfactant: produced by Toho Chemical Industry Co., Ltd., tradename)	
Carplex #80 (Anticaking agent)	2 parts
(White carbon: produced by Shionogi & Co., Ltd., tradename)	

[0090] The above components were uniformly mixed and pulverized to prepare a wettable powder.

[Formulation example 17] Emulsifiable concentrate	
Present compound D-22	3 parts
Xylene	76 parts
Isophorone	15 parts
Sorpol 3005X	6 parts
(Mixture of an nonionic surfactant and an anionic surfactant: produced by Toho Chemical Industry Co., Ltd., tradename)	

[0091] The above components were uniformly mixed to prepare an emulsifiable concentrate.

[Formulation example 18] Flowable formulation	
Present compound D-22	35 parts
Agrizole S-711	8 parts
(Nonionic surfactant: produced by Kao Corporation, tradename)	
Runox 1000C	0.5 part
(Anionic surfactant: produced by Toho Chemical Industry Co., Ltd., tradename)	
1 % Rodopole water	20 parts
(Thickening agent: produced by Rhone-Poulenc S.A., tradename)	
Ethylene glycol (Antifreezing agent)	8 parts
Water	28.5 parts

[0092] The above components were uniformly mixed to prepare a flowable formulation.

[Formulation example 19] Granular wettable powder (Dry flowable formulation)	
Present compound D-22	75 parts
Isobam No. 1	10 parts
(Anionic surfactant: produced by Kuraray Isoprene Chemical Co., Ltd., tradename)	
Vanilex N	5 parts
(Anionic surfactant: produced by Sanyo Kokusaku Pulp Co., Ltd., tradename)	
Carplex #80	10 parts
(White carbon: produced by Shionogi & Co., Ltd., tradename)	

[0093] The above components were uniformly mixed and finely pulverized to prepare a wettable powder.

[Formulation example 20] Granule	
Present compound D-16	0.1 part
Bentonite	55.0 parts
Talc	44.9 parts

[0094] The above components were uniformly mixed and pulverized, and then a small amount of water was added and the mixture was stirred, mixed and kneaded, and granulated by an extrusion type granulating machine and dried to prepare granule.

[Formulation example 21] Wettable powder	
Present compound D-24	50 parts
Zeeklite PFP	43 parts
(Kaolin series clay: produced by Zeeklite Kogyo K.K., tradename)	
Sorpol 5050	2 parts ,
(Anionic surfactant: produced by Toho Chemical Industry Co., Ltd., tradename)	
Runox 1000C	3 parts
(Anionic surfactant: produced by Toho Chemical Industry Co., Ltd., tradename)	
Carplex #80 (Anticaking agent)	2 parts
(White carbon: produced by Shionogi & Co., Ltd., tradename)	

[0095] The above components were uniformly mixed and pulverized to prepare a wettable powder.

[Formulation example 22] Emulsifiable concentrate	
Present compound D-24	3 parts
Xylene	76 parts
Isophorone	15 parts
Sorpol 3005X	6 parts
(Mixture of an nonionic surfactant and an anionic surfactant: produced by Toho Chemical Industry Co., Ltd., tradename)	

[0096] The above components were uniformly mixed to prepare an emulsifiable concentrate.

[Formulation example 23] Flowable formulation	
Present compound D-24	35 parts
Agrizole S-711	8 parts
(Nonionic surfactant: produced by Kao Corporation, tradename)	
Runox 1000C	0.5 part

(continued)

[Formulation example 23] Flowable formulation	
(Anionic surfactant: produced by Toho Chemical Industry Co., Ltd., tradename)	
1 %. Rodopole water	20 parts
(Thickening agent: produced by Rhone-Poulenc S.A., tradename)	
Ethylene glycol (Antifreezing agent)	8 parts
Water	28.5 parts

[0097] The above components were uniformly mixed to prepare a flowable formulation.

Present compound D-24	75 parts
Isobam No. 1	10 parts
(Anionic surfactant: produced by Kuraray Isoprene Chemical Co., Ltd., tradename)	
Vanilex N	5 parts
(Anionic surfactant: produced by Sanyo Kokusaku Pulp Co., Ltd., tradename)	
Carplex #80	10 parts
(White carbon: produced by Shionogi & Co., Ltd., tradename)	

[0098] The above components were uniformly mixed and finely pulverized to prepare a wettable powder.

Present compound D-24	0.1 part
Bentonite	55.0 parts
Talc	44.9 parts

[0099] The above components were uniformly mixed and pulverized, and then a small amount of water was added and the mixture was stirred, mixed and kneaded, and granulated by an extrusion type granulating machine and dried to prepare granule.

[0100] For practical use, the wettable powder, the emulsifiable concentrate, the flowable formulation and the granular wettable powder are diluted 50 to 1000-fold with water and applied so that the dose of an effective component is 0.0001 to 10 kg per one hectare (ha).

[0101] Next, availability of the compounds of the present invention as a herbicide is explained specifically by referring to the following test examples.

[Test example 1] Test of herbicidal effect by soil treatment

[0102] In a plastic box having a length of 15 cm, a width of 22 cm and a depth of 6 cm was charged a sterilized diluvial soil, and Echinochloa crus-galli (barnyardgrass), Digitaria adscendens (Crabgrass), Cyperus microira (annual sedge), Solanum nigrum (black nightshade), Galinsoga ciliata (hairy galinsoga), Rorippa indica (Indian field cress), rice, corn, wheat, soybean and cotton were sewed mixedly and after covering with soil about 1 cm, chemicals were applied uniformly using a small sized spray on the surface of the soil so that the dose of the effective ingredient is as predetermined. The chemical liquor at applying was used by diluting the preparation prepared according to the above formulation examples, etc., with water and this was applied. After 3 weeks from the application of the chemical liquor, herbicidal effect against respective weeds and crops were examined according to the following judgement standard. The results are shown in Table 3.

Judgement standard

[0103]

5 - Weed killing rate 90 % or more (substantially completely killed)
4 - Weed killing rate 70 to 90 %
3 - Weed killing rate 40 to 70 %
2 - Weed killing rate 20 to 40 %

1 - Weed killing rate 5 to 20 %
0 - Weed killing rate 5 % or lower (substantially no effect)

[0104] The above weed killing rate was obtained by the following equation by after measuring an above-ground green forage weight in the chemical treated area and an above-ground green forage weight in the non-treated area.

$$\text{Weed killing rate} = (1 - (\text{above-ground green forage weight in chemical treated area} / \text{above-ground green forage weight in non-treated area})) \times 100$$

[Test example 2] Test of herbicidal effect by foliar treatment

[0105] In a plastic box having a length of 15 cm, a width of 22 cm and a depth of 6 cm was charged a sterilized diluvial soil, and seeds of Echinochloa crus-galli (barnyardgrass), Digitaria adscendens (Crabgrass), Cyperus microira (annual sedge), Solanum nigrum (black nightshade), Galinsoga ciliata (hairy galinsoga), Rorippa indica (indian field cress), rice, corn, wheat, soybean, cotton and sugar beet were spot-sewed and after covering with soil about 1 cm. Each kinds of plants were reached to 2 to 3-leaf stage, chemicals were applied uniformly to the foliar portion so that the dose of the effective ingredient is as predetermined.

[0106] The chemical liquor at applying was used by diluting the preparation prepared according to the above formulation examples, etc., with water and this was applied using a small sized spray to whole surface of the foilar portion. After 4 weeks from the application of the chemical liquor, herbicidal effect against respective weeds and crops were examined according to the judgement standard in Test example

1. The results are shown in Table 4.

[Test example 3] Test of herbicidal effect under watering condition

[0107] In 1/5000 are Wagner pot was placed a diluvial soil and water was charged therein and mixed to make a watering condition with a water depth of 2 cm. Each seed of Echinochloa crus-galli (barnyardgrass), Monochoria vaginalis (ducksalad), Rotala indica (toothcap) and Scirpus juncoides (bulrush) was sewed mixedly in the above pot. Also, root of Sagittaria pygmaea (arrowhead) and Cyperus serotinus (perennial flat sedge) were placed therein and rice seedlings at 2.5-leaf stage was transplanted. The pot was placed in a green house at 25 to 30 °C to grow plants, and 2 days after sewing, diluted chemical solution was added dropwise to the water surface with a messpipet so that the dose of the chemical as predetermined. After 3 weeks from the dropping of the chemical liquor, herbicidal effect against respective weeds and crops were examined according to the judgement standard in Test example 1. The results are shown in Table 5.

[0108] Symbols in the respective tables mean as shown below.

N: Echinochloa crus-galli (barnyardgrass)
M: Digitaria adscendens (crabgrass)
K: Cyperus microiria (annual sedge)
H: Solanum nigrum (black nightshade)
D: Galinsoga ciliata (hairy galinsoga)
I: Rorippa indica (Indian field grass)
R: rice
T: corn
W: wheat
S: soybean
C: cotton
B: sugar beet
a: Scirpus juncoides (bulrush)
b: Monochoria vaginalis (ducksalad)
c: Rotala indica (toothcap)
d: Sagittaria pygmaea (arrowhead)
e: Cyperus serotinus (perennial flat sedge)
f: transplanted rice

Table 3

Compound No.	Dose (g/a)	N	M	K	H	D	I	R	T	W	S	C
D-1	0.4	3	2	5	5	5	5	0	0	0	0	1
D-2	0.4	3	2	5	5	2	5	1	0	0	0	0
D-3	0.4	2	3	5	5	5	5	0	0	0	0	0
D-4	0.4	4	5	5	5	5	5	0	0	0	0	0
D-5	0.4	2	4	5	5	5	5	1	0	0	0	1
D-6	0.4	2	3	4	5	5	5	0	0	0	0	1
D-7	0.4	4	3	5	5	5	5	1	0	0	0	0
D-8	0.4	2	2	4	5	5	5	0	0	0	0	0
D-9	0.4	2	4	5	5	5	5	0	0	0	0	0
D-10	0.4	1	2	4	5	5	5	0	0	0	0	0
D-11	0.4	2	2	3	5	5	5	0	0	0	0	0
D-12	0.4	4	5	5	5	5	5	1	0	0	0	2
D-13	0.4	2	4	4	5	5	5	0	0	0	0	1
D-14	0.1	5	5	5	5	5	5	1	0	0	0	0
D-15	0.4	4	5	5	5	5	5	1	1	0	1	2
D-16	0.1	1	3	5	5	5	5	1	0	0	0	0
D-17	0.4	1	2	1	5	4	5	0	0	0	0	1
D-18	0.4	2	1	5	5	5	5	0	0	0	0	0
D-19	0.4	3	4	5	5	5	5	0	0	0	0	0
D-20	0.1	3	5	5	5	5	5	1	0	0	0	1
D-21	0.4	3	5	5	5	5	5	0	0	0	1	2
D-22	0.1	5	5	5	5	5	5	1	1	1	0	0
D-23	0.1	4	5	5	5	5	5	0	1	0	0	1
D-24	0.1	4	5	5	5	5	5	1	0	1	0	1
D-25	0.1	3	4	5	5	5	5	1	0	0	0	1
D-26	0.1	3	4	5	5	5	5	0	0	0	0	0
D-27	0.1	4	3	5	5	5	5	0	1	0	0	1
D-28	0.1	3	4	5	5	5	5	0	0	0	0	0
D-29	0.4	1	2	2	5	5	5	0	0	0	0	0
D-30	0.4	3	4	5	5	5	5	1	0	1	0	1
D-31	0.4	4	5	5	5	5	5	0	0	0	0	0
D-32	0.4	2	3	5	5	5	5	0	0	0	0	0
D-33	0.4	1	2	3	4	5	5	0	0	0	0	0
D-34	0.4	1	2	4	5	5	5	0	0	0	0	0
D-35	0.4	1	3	5	5	3	5	0	0	0	0	0
D-36	1.6	1	2	5	5	3	5	0	0	0	0	0
D-37	1.6	2	3	5	5	5	5	1	0	0	0	0
D-38	1.6	2	4	5	5	5	5	0	0	0	0	0
D-39	1.6	4	5	5	5	5	5	0	0	0	1	1
D-40	1.6	3	4	5	5	5	5	0	1	1	0	1
D-41	0.4	3	4	5	5	5	5	0	0	0	0	0
D-42	1.6	2	4	5	5	5	5	0	0	0	0	0
D-43	1.6	3	4	5	5	5	5	0	0	0	0	0
D-44	0.4	3	4	5	5	5	5	0	0	0	0	0
D-48	0.4	1	1	5	5	5	5	0	0	0	0	0
D-49	0.4	1	3	5	5	5	5	1	0	0	0	0
D-50	0.4	4	4	5	5	5	5	2	0	1	0	0
D-51	0.4	3	3	5	5	5	5	0	0	0	0	0
D-52	0.4	2	2	5	5	2	5	0	0	0	0	0

Table 3 (continued)

Compound No.	Dose (g/a)	N	M	K	H	D	I	R	T	W	S	C
D-53	0.4	3	3	5	5	5	5	1	0	1	0	0
D-54	0.4	1	2	4	5	5	5	0	1	1	1	0
D-55	0.4	0	1	2	5	5	5	0	0	0	0	0
D-56	0.4	1	1	5	5	5	5	0	0	0	0	0
D-57	0.4	1	1	5	5	5	5	0	0	0	0	0
D-58	0.4	4	5	5	5	5	5	0	0	1	1	1
D-59	0.4	4	5	5	5	5	5	0	1	1	1	1
D-60	0.4	2	4	5	5	3	5	0	0	0	0	0
D-61	0.1	5	5	5	5	5	5	3	2	2	1	2
D-62	0.4	4	4	5	5	5	5	1	0	0	0	2
D-63	0.4	3	2	5	5	5	5	0	0	0	0	0
D-64	0.4	2	1	5	5	5	5	0	0	0	0	0
D-65	0.4	1	2	5	5	5	5	0	0	1	0	0
D-66	0.1	5	5	5	5	5	5	0	0	0	0	0
D-67	0.1	1	2	5	5	5	5	0	0	0	0	0
D-68	0.4	5	5	5	5	5	5	1	0	0	2	2
D-69	0.4	5	5	5	5	5	5	0	0	3	0	3
D-70	1.6	4	5	5	5	5	5	0	0	0	0	0
D-71	1.6	0	2	5	5	5	5	0	0	0	0	1
D-72	1.6	4	4	5	5	5	5	0	0	0	0	0
D-73	1.6	5	5	5	5	5	5	0	0	1	0	0
D-74	0.4	5	5	5	5	5	5	1	1	0	1	1
D-75	1.6	5	5	5	5	5	5	1	1	1	1	1
D-76	0.4	5	5	5	5	5	5	0	0	0	0	0
D-77	0.4	5	5	5	5	5	5	0	0	0	0	0
D-78	0.4	5	5	5	5	5	5	1	2	1	3	3
D-79	1.6	5	5	5	5	5	5	2	1	0	3	1
D-80	0.4	5	5	5	5	5	5	0	0	0	0	0 0
D-81	1.6	2	3	5	5	5	5	1	0	0	0 0	0
D-82	0.4	5	5	5	5	5	5	3	1	2	1	0
D-83	1.6	2	5	5	5	5	5	0	0	0	3	3
D-84	1.6	3	5	5	5	5	5	1	0	0	0	0
D-85	1.6	4	4	5	5	4	5	0	0	0	0	0
D-86	0.4	5	5	5	5	5	5	0	1	0	0	0
D-87	1.6	2	2	4	5	3	5	0	0	0	0	0
D-88	0.4	1	2	5	5	5	5	0	0	0	0	0
D-89	0.1	2	4	5	5	5	5	0	0	0	0	0
D-90	1.6	5	5	5	5	5	5	0	0	0	0	0
D-91	0.4	5	5	5	5	5	5	1	1	0	1	1
D-92	0.4	3	1	5	5	5	5	0	0	0	0	1
D-93	1.6	5	5	5	5	5	5	0	0	0	0	0
D-94	1.6	2	5	5	5	5	5	1	0	1	0	0
D-95	6.3	2	5	5	5	5	5	1	0	0	0	5
D-96	1.6	5	5	5	5	5	5	2	0	2	2	2
D-97	0.4	5	5	5	5	5	5	0	0	0	0	3
D-98	0.4	5	5	5	5	5	5	0	0	0	0	1

Table 4

Compound No.	Dose (g/a)	N	M	K	H	D	I	R	T	W	S	C	B
D-1	0.4	2	1	5	5	5	5	1	1	0	4	5	5
D-2	0.4	2	1	5	5	3	2	0	2	0	3	4	5
D-3	0.4	2	1	5	5	5	5	0	1	0	3	5	5
D-4	0.4	4	5	5	5	5	5	1	3	0	5	5	5
D-5	0.4	2	3	5	5	5	5	1	2	0	4	4	5
D-6	0.4	2	3	5	5	5	5	1	1	0	4	5	5
D-7	0.4	3	3	5	5	5	5	2	2	0	5	5	5
D-8	0.4	2	1	5	5	5	5	0	0	0	3	5	5
D-9	0.4	2	3	5	5	5	5	1	2	0	3	4	5
D-10	0.4	2	2	5	5	5	5	0	0	0	2	5	5
D-11	0.4	3	3	5	5	5	4	1	2	1	4	4	4
D-12	0.1	4	3	5	5	5	5	0	2	0	3	4	5
D-13	0.4	2	1	5	5	5	4	0	2	1	2	5	5
D-14	0.1	3	2	5	5	5	5	1	2	1	5	5	5
D-15	0.4	2	3	5	5	5	5	1	2	0	5	5	5
D-16	0.1	2	2	4	5	5	2	1	1	0	2	5	5
D-17	1.6	1	2	1	5	5	5	0	0	0	3	2	3
D-18	0.4	2	2	5	5	5	5	0	0	0	3	5	5
D-19	1.6	4	2	3	5	5	5	0	1	2	4	5	4
D-20	0.4	3	4	5	5	5	5	2	3	1	3	4	4
D-21	0.4	2	2	2	5	5	3	0	0	0	3	2	3
D-22	0.1	2	3	5	5	5	5	1	2	0	5	5	5
D-23	0.1	2	5	5	5	5	5	1	2	0	5	5	5
D-24	0.1	2	3	5	5	5	4	1	1	2	4	5	5
D-25	0.1	2	4	5	5	5	4	1	2	1	4	5	5
D-26	0.1	2	3	5	5	5	4	1	1	0	4	5	5
D-27	0.1	3	3	5	5	5	4	1	1	1	5	5	5
D-28	0.1	2	3	5	5	5	5	1	1	0	5	5	5
D-29	0.4	2	3	5	5	5	5	0	0	0	2	4	3
D-30	0.4	4	3	5	5	5	5	1	1	0	4	5	5
D-31	0.4	3	2	5	5	5	5	0	1	0	3	5	5
D-32	0.4	2	2	5	5	5	5	0	1	0	2	5	4
D-33	1.6	4	2	2	5	5	5	1	0	0	4	5	5
D-34	1.6	2	2	5	5	5	5	1	2	1	4	5	5
D-35	0.4	2	3	5	5	5	5	0	0	0	3	2	2
D-36	0.4	2	2	5	5	5	3	0	0	0	3	5	3
D-37	0.4	2	3	5	5	5	2	0	0	0	3	5	5
D-38	0.4	2	2	5	5	5	4	0	1	0	4	5	5
D-39	1.6	3	4	5	5	5	5	0	2	0	5	5	5
D-40	1.6	2	3	5	5	5	5	0	2	1	4	5	5
D-41	0.4	3	4	2	5	5	5	1	3	0	5	4	3
D-42	1.6	2	2	2	5	5	5	5	0	1	2	4	3
D-43	1.6	3	2	5	5	5	4	1	1	0	2	5	5
D-44	0.4	1	2	2	5	5	5	0	0	0	2	3	3
D-48	0.4	1	1	5	5	5	5	0	0	0	2	5	3
D-49	0.4	2	1	5	5	5	5	1	2	1	5	5	5
D-50	0.4	2	1	5	5	5	5	2	2	1	4	5	5
D-51	0.4	5	2	5	5	5	5	1	4	4	5	5	5

Table 4 (continued)

Compound No.	Dose (g/a)	N	M	K	H	D	I	R	T	W	S	C	B
D-52	0.4	4	2	5	5	5	5	1	3	1	5	5	5
D-53	0.4	5	2	5	5	5	5	1	3	1	5	5	5
D-54	0.4	2	1	5	5	5	5	1	3	1	5	5	5
D-56	0.4	1	1	5	5	5	2	0	0	0	3	5	5
D-57	1.6	1	1	5	5	5	5	0	1	1	1	5	4
D-58	0.4	2	4	5	5	5	5	0	1	1	4	5	5
D-59	1.6	3	4	5	5	5	5	2	2	1	5	5	5
D-60	1.6	2	3	5	5	4	3	1	2	1	5	5	5
D-61	0.1	2	1	5	5	5	5	2	3	2	3	5	5
D-62	0.4	2	1	5	5	5	5	1	2	1	5	5	5
D-63	0.4	2	2	5	5	5	5	1	2	1	3	5	2
D-64	0.4	2	1	5	5	5	5	1	3	1	4	5	4
D-65	0.4	2	1	5	5	5	5	1	3	2	5	5	5
D-66	0.1	3	2	5	5	5	5	1	2	0	5	3	5
D-67	0.1	1	1	5	5	5	5	0	2	1	4	5	5
D-68	0.4	3	1	2	5	5	5	0	0	0	3	3	2
D-69	0.4	4	0	2	5	5	5	1	3	3	5	5	1
D-70	1.6	1	1	2	5	5	5	1	3	0	1	2	1
D-71	1.6	0	0	2	5	5	5	0	2	0	4	3	1
D-72	1.6	2	0	2	5	5	4	1	1	0	3	3	1
D-73	1.6	3	0	2	5	5	5	1	2	1	3	3	3
D-74	0.4	2	0	1	5	5	5	1	1	1	3	3	2
D-75	1.6	4	0	0	5	4	4	1	3	1	3	3	0
D-76	0.4	2	0	1	5	5	5	0	2	0	2	4	0
D-77	0.4	3	0	2	5	5	5	0	2	0	5	3	2
D-78	0.4	3	0	2	5	5	5	1	3	1	3	3	2
D-79	1.6	5	1	5	5	5	5	2	4	1	4	5	2
D-80	0.4	4	0	3	5	5	5	1	2	0	3	3	1
D-81	1.6	1	0	1	5	5	5	1	1	0	3	3	1
D-82	0.4	4	1	2	5	5	4	2	3	1	4	3	1
D-83	1.6	1	1	3	5	5	5	1	2	0	4	4	1
D-84	1.6	2	1	0	5	5	5	3	1	1	2	3	2
D-85	1.6	0	0	0	5	4	5	0	0	0	1	0	0
D-86	0.4	1	0	1	5	4	3	0	2	0	1	2	0
D-87	1.6	0	0	1	5	4	5	0	1	0	0	1	0
D-88	0.4	1	1	4	5	5	5	0	0	0	2	2	2
D-89	0.1	2	1	4	5	5	5	0	0	0	4	3	2
D-90	1.6	1	1	2	5	5	5	1	1	0	3	3	3
D-91	0.4	4	1	2	5	5	5	1	1	0	4	3	2
D-92	0.4	1	1	2	5	5	5	0	1	0	2	5	2
D-93	1.6	1	1	1	5	5	5	0	0	0	3	3	3
D-94	1.6	2	3	3	5	5	5	1	1	2	3	5	0
D-95	6.3	2	1	3	5	5	5	0	0	0	2	5	2
D-96	1.6	5	2	5	5	5	5	2	0	2	3	5	3
D-97	0.4	5	2	5	5	5	5	5	0	4	5	5	5
D-98	0.4	5	3	5	5	5	5	5	0	5	4	5	5

Table 5

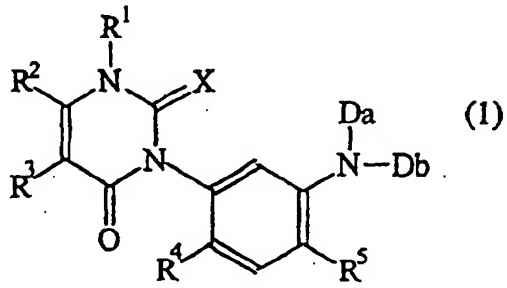
Compound No.	Dose (g/a)	N	a	b	c	d	e	f
D-31	0.4	5	5	5	5	4	5	0
D-53	0.4	5	5	5	5	4	5	0
D-54	0.4	5	5	5	5	5	5	0
D-61	0.4	5	5	5	5	5	5	0
D-62	0.4	5	5	5	5	5	5	0
D-63	0.4	5	5	5	5	5	5	0
D-64	0.4	5	5	5	5	5	5	0
D-65	0.4	5	5	5	5	5	5	0
D-66	0.4	5	5	5	5	5	5	0
D-67	0.4	5	5	5	5	5	5	0
D-69	0.4	5	5	5	5	5	5	0
D-70	0.4	5	5	5	5	4	5	0
D-76	0.4	5	5	5	5	4	5	0
D-77	0.4	5	5	5	5	5	5	0
D-80	0.4	5	4	5	5	5	5	0
D-82	0.4	5	5	5	5	5	4	0
D-89	0.4	5	4	5	5	5	5	0
D-91	0.4	5	5	5	5	5	4	0
D-96	0.4	5	3	5	5	5	4	0

<Utilizability in industry>

[0109] The uracil derivative represented by the formula (I) of the present invention can be used for important crops with safety and shows high herbicidal effect agains many weeds with low dose, and is available as an active ingredient for a selective herbicide.

Claims

1. A uracil derivative represented by the formula (1):



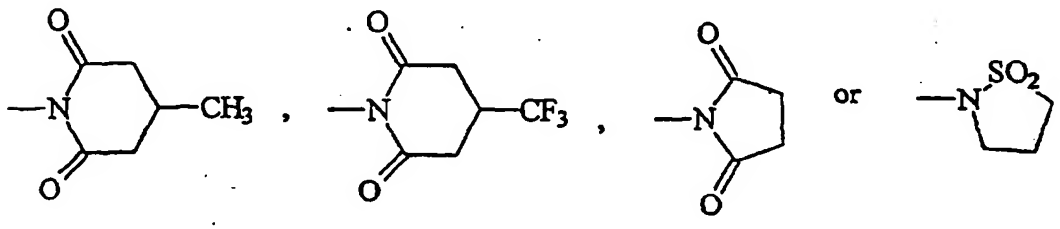
(1)

wherein:

R^1 is hydrogen, C_1-C_3 alkyl or C_1-C_3 haloalkyl
R^2 is C_1-C_6 haloalkyl
R^3 is hydrogen, C_1-C_6 alkyl, C_1-C_6 haloalkyl, hydroxymethyl, a halogen or nitro;
R^4 is a hydrogen atom or a halogen;
R^5 is a halogen, nitro or cyano;
X is an oxygen atom;

D_a and D_b each Independently represents hydrogen, C_1-C_8 alkyl, C_2-C_8 alkenyl C_3-C_8 alkynyl,

$-L^2-D^{52}$ in which D^{52} is hydrogen, C_1-C_{20} alkyl, C_1-C_{20} haloalkyl, C_3-C_8 cycloalkyl(C_1-C_4) alkyl, C_2-C_8 alkenyl, C_3-C_8 alkynyl, C_1-C_4 alkoxy(C_1-C_4)alkyl, Ar which is a phenyl group which is unsubstituted or substituted by one or two or more substituents selected from C_1-C_4 alkyl, C_1-C_4 haloalkyl, a halogen, nitro, C_1-C_4 alkoxy and C_1-C_4 alkoxycarbonyl, $-L^1$-Ar wherein Ar is as defined above and L^1 is a C_2 to C_6 alkyl chain, a C_2 to C_6 alkenyl chain or a C_2 to C_6 alkynyl chain each of which may be branched, or $-L^1$-Het wherein L^1 is as defined above, Het is a pyridine or thiophene ring, and L^2 represents -C(O)-, $-SO_2-$, -S(O)-, -S-, -C(O)O-, -C(O)S- or -C(O)C(O)O-,
$-L^3$-C(O)O-D^{52} in which D^{52} is C_1-C_{20} alkyl and L^3 is a C_1-C_6 alkyl chain,
-C(O) $-ND^{52}D^{53}$ in which D^{52} is hydrogen and D^{53} is C_1-C_8 alkyl or C_1-C_6 alkylsulfonyl,
$=CD^{54}-ND^{52}D^{53}$ in which D^{52} and D^{53} are C_1-C_6 alkyl and D^{54} is hydrogen, or alternatively D_a and D_b together with a nitrogen atom to which they are attached form a 3- to 8-membered ring represented by



provided that the cases where

(a) D_a and D_b both represent hydrogen, and where one of D_a and D_b represents $-L^2-D^{52}$ (L^2 represents $-SO_2-$, and D^{52} represents C_1-C_4 alkyl or C_1-C_3 haloalkyl), and the other of D_a and D_b is hydrogen, C_1-C_4 alkyl, C_2-C_5 alkenyl, or C_3-C_5 alkynyl; and
(b) one of D_a and D_b is $-L^2-D^{52}$ in which L^2 is $-SO_2-$ and D^{52} is a C_1-C_4 alkyl or C_1-C_3 haloalkyl group;

are excluded.

2. A uracil derivative according to claim 1 wherein

R^1 is methyl;
R^2 is trifluoromethyl;
R^3 is hydrogen;
R^4 is a hydrogen atom or a halogen;
R^5 is a halogen;
X is an oxygen atom;
Da and Db each independently represent hydrogen, C_1-C_8 alkyl, C_2-C_8 alkenyl, C_3-C_8 alkynyl,

$-L^2-D^{52}$ in which D^{52} is hydrogen, C_1-C_{20} alkyl, C_1-C_{20} haloalkyl, C_3-C_8 cycloalkyl (C_1-C_4) alkyl, C_2-C_8 alkenyl, C_3-C_8 alkynyl C_1-C_4 alkoxy (C_1-C_4) alkyl, Ar as defined in claim 1, L_1-Ar as defined in claim 1 or L_1-Het as defined in claim 1, and L^2 is as defined in claim 1,
$-L^3$-C(O)0-D^{52} in which D^{52} is C_1-C_{20} alkyl and L^3 is a C_1-C_6 alkyl chain, -C(O) $-ND^{52}D^{53}$ in which D^{52} is hydrogen and D^{53} is C_1-C_8 alkyl or C_1-C_6 alkylsulphonyl, or
$=CD^{54}-ND^{52}D^{53}$ as defined in claim 1.

3. A herbicide comprising a suitable carrier and, as an effective ingredient, a uracil derivative as defined in claim 1 or 2.

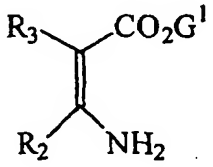
4. A herbicide according to claim 3 which is in the form of a liquid formulation, an emulsifiable concentrate, a wettable powder, a dry flowable formulation, a flowable formulation, a dust or granules.

5. A herbicide according to claim 3 or 4 which further comprises an insecticide, a plant growth regulator or a synergist.

6. A method for killing weeds or inhibiting their growth, which comprises applying thereto a uracil derivative as defined in claim 1 or 2 or a herbicide as defined in any one of claims 3 to 5 in an amount effective for killing the weeds.

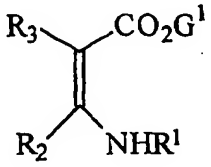
7. A method according to claim 6 wherein the dosage of the uracil derivative is from 0.001 to 5 kg per hectare.

8. A process for producing the uracil derivative as defined in claim 1 or 2, which process comprises reacting a β-acrylate ester of the formula (5):



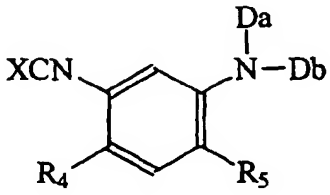
(5)

in which G^1 is C_1-C_4 alkyl or an N-alkyl-β-acrylate ester of formula (8):



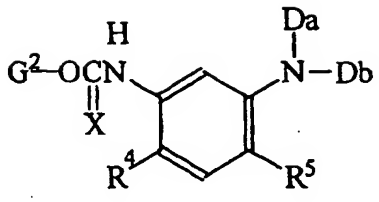
(8)

with a phenyliso(thio)cyanate of formula (6):



(6)

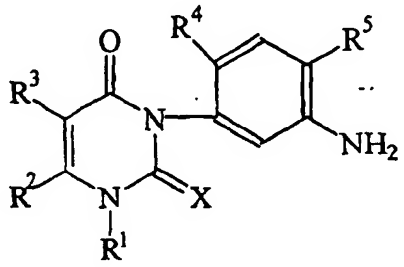
or an N-phenyl(thio)carbamate represented by the formula (7) :



(7)

in which G^2 is C_1-C_4 alkyl or a phenyl group,
and, if necessary, reacting the resulting product with an alkylating agent.

9. A process for producing a uracil derivative as defined in Claim 1 or 2, which process comprises reacting an aminoaryl uracil compound represented by the formula (9) :

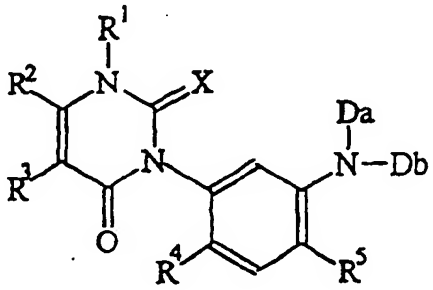


(9)

with a D_a-halogen compound, and then reacting the resulting product with a D_b-halogen compound in which D_a and D_b are as defined in claim 1.

Patentansprüche

1. Uracil-Derivat der Formel (1):

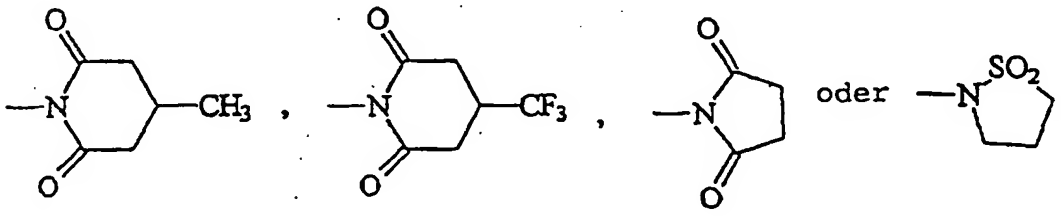


(1)

worin:

R^1 Wasserstoff, C_{1-3}-Alkyl oder C_{1-3}-Halogenalkyl ist,
R^2 C_{1-6}-Halogenalkyl ist,
R^3 Wasserstoff, C_{1-6}-Alkyl, C_{1-6}-Halogenalkyl, Hydroxymethyl, ein Halogen oder Nitro ist;
R^4 ein Wasserstoffatom oder ein Halogen ist;
R^5 ein Halogen, Nitro oder Cyano ist;
X ein Sauerstoffatom ist;
D_a und D_b jeweils unabhängig voneinander sind:

Wasserstoff, C_{1-8}-Alkyl, C_{2-8}-Alkenyl, C_{3-8}-Alkinyl,
$-L^2-D^{52}$, worin D^{52} Wasserstoff, C_{1-20}-Alkyl, C_{1-20}-Halogenalkyl, C_{3-8}-Cycloalkyl(C_{1-4})-alkyl, C_{2-8}-Alkenyl, C_{3-8}-Alkinyl, C_{1-4}-Alkoxy(C_{1-4})-alkyl, Ar, das für eine Phenyl-Gruppe steht, die unsubstituiert ist, oder mit einem oder zwei oder mehr Substituenten ausgewählt unter C_{1-4}-Alkyl, C_{1-4}-Halogenalkyl, einem Halogen, Nitro, C_{1-4}-Alkoxy und C_{1-4}-Alkoxycarbonyl substituiert ist, $-L^1$-Ar, worin Ar wie zuvor definiert ist und L^1 eine C_{1-6}-Alkyl-Kette, eine C_{2-6}-Alkenyl-Kette oder eine C_{2-6}-Alkinyl-Kette, die jeweils verzweigt sein können, ist, oder
$-L^1$-Het ist, worin L^1 wie zuvor definiert ist, Het ein Pyridin- oder Thiophen-Ring ist, und L^2 -C(O)-, $-SO_2-$, -S(O)-, -S-, -C(O)O-, -C(O)S- oder -C(O)C(O)O-bedeutet,
$-L^3$-C(O)O-D^{52}, worin D^{52} C_{1-20}-Alkyl und L^3 eine C_{1-6}-Alkyl-Kette ist,
$-C(O)-ND^{52}D^{53}$, worin D^{52} ein Wasserstoff und D^{53} C_{1-8}-Alkyl oder C_{1-6}-Alkylsulfonyl ist,
$=CD^{54}-ND^{52}D^{53}$, worin D^{52} und D^{53} C_{1-6}-Alkyl sind und D^{54} ein Wasserstoff ist, oder alternativ D_a und D_b zusammen mit dem Stickstoffatom, an das sie gebunden sind, einen 3- bis 8-gliedrigen Ring bilden, der wie folgt dargestellt werden kann



unter der Voraussetzung, daß die Fälle, worin

(a) D_a und D_b beide Wasserstoff darstellen, und wo einer der Substituenten D_a und D_b $-L^2-D^{52}$ bedeutet (L^2 bedeutet $-SO_2-$ und D^{52} bedeutet C_{1-4}-Alkyl oder C_{1-3}-Halogenalkyl), und der andere der Substituenten D_a und D_b Wasserstoff, C_{1-4}-Alkyl, C_{2-5}-Alkenyl oder C_{3-5}-Alkinyl; und
(b) einer der Substituenten D_a und D_b $-L^2-D^{52}$ ist, worin L^2 $-SO_2-$ und D^{52} C_{1-4}-Alkyl oder eine C_{1-3}-Halogenalkyl-Gruppe ist;

ausgenommen sind.

2. Uracil-Derivat gemäß Anspruch 1, worin

R^1 Methyl ist;
R^2 Trifluormethyl ist;
R^3 Wasserstoff ist;
R^4 ein Wasserstoffatom oder ein Halogen ist;
R^5 ein Halogen ist;
X ein Sauerstoffatom ist;
D_a und D_b jeweils unabhängig voneinander bedeuten:

Wasserstoff, C_{1-8}-Alkyl, C_{2-8}-Alkenyl, C_{3-8}-Alkinyl,
$-L^2-D^{52}$, worin D^{52} ein Wasserstoff, C_{1-20}-Alkyl, C_{1-20}-Halogenalkyl, C_{3-8}-Cycloalkyl(C_{1-4})-alkyl, C_{2-8}-Alkenyl, C_{3-8}-Alkinyl, C_{1-4}-Alkoxy(C_{1-4})-alkyl, Ar wie in Anspruch 1 definiert, L_1-Ar wie in Anspruch 1 definiert oder L_1-Het wie in Anspruch 1 definiert ist und L^2 wie in Anspruch 1 definiert ist, $-L^3$-C(O)O-D^{52}, worin

D^{52} C_{1-20}-Alkyl ist und L^3 eine C_{1-6}-Alkyl-Kette ist, -C(O)-$ND^{52}D^{53}$, worin D^{52} ein Wasserstoff und D_{53} C_{1-8}-Alkyl oder C_{1-6}-Alkylsulfonyl ist, oder
$=CD^{54}$-$ND^{52}D^{53}$, wie in Anspruch 1 definiert.

3. Herbizid, umfassend einen geeigneten Träger und, als wirksamen Bestandteil, ein Uracil-Derivat wie es in Anspruch 1 oder 2 definiert ist.

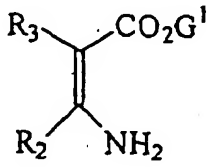
4. Herbizid gemäß Anspruch 3, welches in der Form einer Flüssigformulierung, eines emulgierbaren Konzentrats, eines benetzbaren Pulvers, einer trocken-fließfähigen Formulierung, einer fließfähigen Formulierung, eines Staubes oder eines Granulats vorliegt.

5. Herbizid gemäß Anspruch 3 oder 4, welches ferner ein Insektizid, einen Pflanzenwachstumsregulator oder einen Synergisten umfaßt.

6. Verfahren zur Vernichtung von Unkräutern oder zur Inhibierung ihres Wachstums, welches das Aufbringen eines Uracil-Derivats, wie es in Anspruch 1 oder 2 definiert ist, oder eines Herbizids, wie es in einem der Ansprüche 3 bis 5 definiert ist, in einer zur Unkrautvernichtung wirksamen Menge umfaßt.

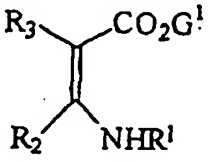
7. Verfahren gemäß Anspruch 6, worin die Dosis des Uracil-Derivats von 0,001 bis 5 kg pro Hektar beträgt.

8. Verfahren zur Herstellung des Uracil-Derivats, wie es in Anspruch 1 oder 2 definiert ist, wobei das Verfahren das Umsetzen eines β-Acrylatesters der Formel (5): .



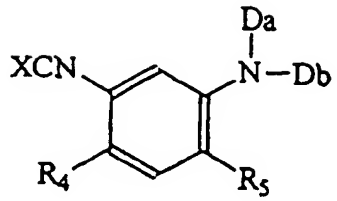
(5)

worin G^1 C_{1-4}-Alkyl oder ein N-Alkyl-β-acrylatester der Formel (8) ist:



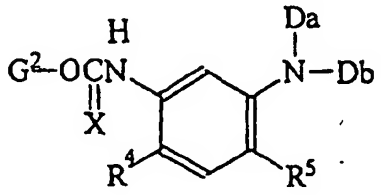
(8)

mit einem Phenyliso(thio)cyanat der Formel (6):



(6)

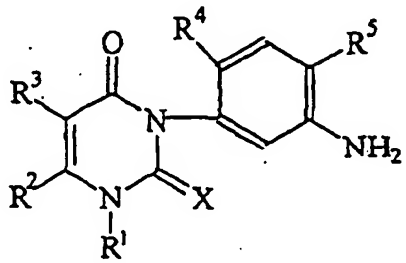
oder einem N-Phenyl(thio)carbamat der Formel (7):



(7)

worin G^2 C_{1-4}-Alkyl oder eine Phenyl-Gruppe ist, und
gegebenenfalls das Umsetzen des resultierenden Produkts mit einem Alkylierungsmittel umfaßt.

9. Verfahren zur Herstellung eines Uracil-Derivats wie es in Anspruch 1 oder 2 definiert ist, wobei das Verfahren das Umsetzen einer Aminoaryluracil-Verbindung der Formel (9):

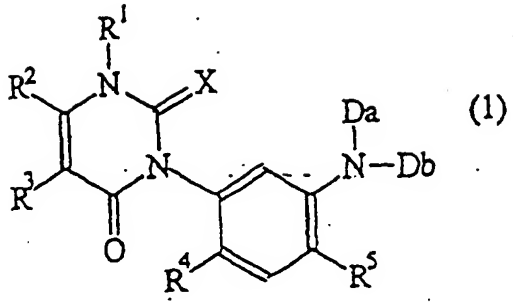


(9)

mit einer D_a-Halogen-Verbindung, und dann das Umsetzen des resultierenden Produkts mit einer D_b-Halogen-Verbindung, worin D_a und D_b wie in Anspruch 1 definiert sind, umfaßt.

Revendications

1. Dérivé d'uracile représenté par la formule (I) :



(1)

dans laquelle

R^1 est un atome d'hydrogène, un groupe alkyle C_1-C_6 ou un groupe haloalkyle C_1-C_3,

R^2 est un groupe haloalkyle C_1-C_6,

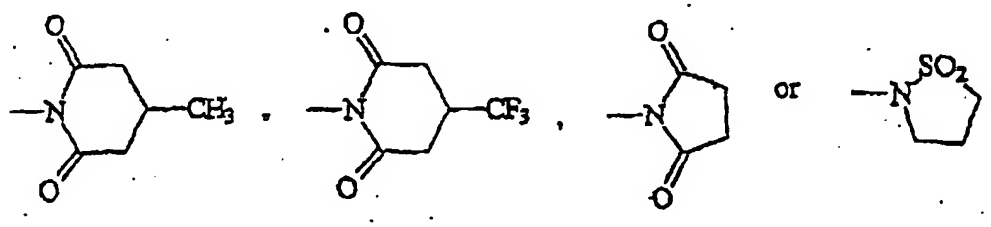
R^3 est un atome d'hydrogène, un groupe alkyle C_1-C_6, haloalkyle C_1-C_6, hydroxyméthyle, un halogène ou un groupe nitro,

R^4 est un atome d'hydrogène ou un halogène,

R^5 est un halogène, un groupe nitro ou un groupe cyano,

X est un atome d'oxygène,

D_a et D_b représentent chacun indépendamment un atome d'hydrogène, un groupe alkyle C_1-C_8, ou un groupe alkényle C_2-C_8 alkynyle C_3-C_8, -L^2-D^{52} dans lequel D^{52} est un atome d'hydrogène, un groupe alkyle C_1-C_{20}, haloalkyle C_1-C_{20}, cycloalkyle C_3-C_8 alkyle (C_1-C_4), alkényle C_2-C_8, alkynyle C_3-C_8, alkoxy C_1-C_4 alkyle (C_1-C_4), Ar qui est un groupe phényle qui est non substitué ou substitué par un ou plusieurs substituants choisis parmi un groupe alkyle C_1-C_4, haloalkyle C_1-C_4, un halogène, un groupe nitro, alkoxy C_1-C_4, et alkoxycarbonyle C_1-C_4, -L^1-Ar dans lequel Ar est tel que défini ci-dessus et L^1 est une chaîne alkyle C_1 à C_6, une chaîne alkényle C_2 à C_6 ou une chaîne alkynyle C_2 à C_6, qui peuvent toutes être ramifiées, ou -L^1-Het dans laquelle L^1 est tel que défini ci-dessus, Het est un cycle pyridine ou thiophène et L^2 représente -C(O)-,-SO_2-, -S(O)-, -S-, -C(O)O-, -C(O)S ou -C(O)C(O)O-, -L^3-C(O)O-D^{52} dans lequel D^{52} est un groupe alkyle C_1-C_{20} et L^3 est une chaîne alkyle C_1 à C_6, -C(O)-$ND^{52}D^{53}$ dans lequel D^{52} est un atome d'hydrogène et D^{53} est un groupe alkyle C_1-C_8 ou un groupe alkylsulfonyle C_1-C_6, =CD^{54}-$ND^{52}D^{53}$ dans lequel D^{52} et D^{53} sont un groupe alkyle C_1-C_6, et D^{54} est un atome d'hydrogène, où bien D_a et D_b forment ensemble, avec un atome d'hydrogène auquel ils sont attachés un cycle de 3 à 8 membres représenté par



sous réserve que les cas où

a) D_a et D_b représentent chacun un atome d'hydrogène et où l'un de D_a et D_b représente -L^2-D^{52} (L^2 représente -SO_2-, et D^{52} est un groupe alkyle C_1-C_4 ou haloalkyle C_1-C_3) et l'autre de D_a et D_b représente atome d'hydrogène, un groupe alkyle C_1-C_4, alkényle C_2-C_5 ou alkynyle C_3-C_5; et

b) l'un de D_a et D_b représente-L^2-D^{52} où L^2 représente -SO_2- et D^{52} est un groupe alkyle C_1-C_4 ou haloalkyle C_1-C_3;

soient exclus.

2. Dérivé d'uracile selon la revendication 1 dans lequel

R^1 est un groupe méthyle,

R^2 est un groupe trifluorométhyle,

R^3 est un atome d'hydrogène,

R^4 est un atome d'hydrogène ou un halogène,

R^5 est un halogène,

X est un atome d'oxygène,

D_a et D_b représentent chacun indépendamment un atome d'hydrogène, un groupe alkyle C_1-C_8, alkényle C_2-C_8, alkynyle C_3-C_8, -L^2-D^{52} dans lequel D^{52} est un atome d'hydrogène, un groupe alkyle C_1-C_{20}, haloalkyle C_1-C_{20}, cycloalkyle C_3-C_8 alkyle (C_1-C_4), alkényle C_2-C_8, alkynyle C_3-C_8, alkoxy C_1-C_4 alkyle (C_1-C_4), Ar (tel que défini dans la revendication 1), -L^1-Ar (tel que défini dans la revendication 1), ou -L^1-Het (tel que défini dans la revendication 1), et L^2 est tel que défini dans la revendication 1,

-L^3-C(O)O-D^{52} dans lequel D^{52} est un groupe alkyle C_1-C_{20} et L^3 est une chaîne alkyle C_1 à C_6,
-C(O)-N$D^{52}D^{53}$ dans lequel D^{52} est un atome d'hydrogène et D^{53} est un groupe alkyle C_1-C_8 ou un groupe alkylsulfonyle C_1-C_6, ou
=CD^{54}-N$D^{52}D^{53}$ tel que défini dans la revendication 1.

3. Herbicide comprenant un support approprié et, en tant que principe actif, un dérivé d'uracile comme défini à la revendication 1 ou 2.

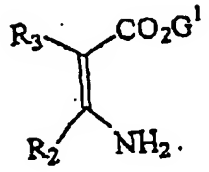
4. Herbicide selon la revendication 3, qui se trouve sous la forme d'une formulation liquide, un concentré émulsifiable, une poudre mouillable, une formulation sèche pouvant être mise en suspension, une formulation pouvant être mise en suspension, une poudre ou des granulés.

5. Herbicide selon la revendication 3 ou 4, qui comprend en outre un insecticide, un régulateur de croissance des plantes ou un composé synergique.

6. Procédé de destruction des mauvaises herbes ou d'inhibition de leur croissance, qui comprend l'application d'un dérivé d'uracile tel que défini à la revendication 1 ou 2 ou d'un herbicide comme défini à l'une des revendications 3 à 5 en quantité efficace pour détruire les mauvaises herbes.

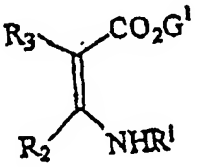
7. Procédé selon la revendication 6 dans lequel la dose de dérivé d'uracile est comprise entre 0,001 et 5 kg par hectare.

8. Procédé de production du dérivé d'uracile tel que défini à la revendication 1 ou 2, lequel procédé comprend la réaction d'un ester de β-acrylate de formule (5):



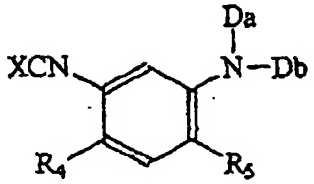
(5)

dans laquelle G^1 est un groupe alkyle C_1-C_4 ou un ester de N-alkyl-β-acrylate de formule (8) :



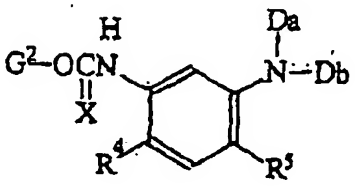
(8)

avec un phényliso(thio)cyanate de formule (6) :



(6)

ou un N-phényl(thio)carbamate représenté par la formule (7) :

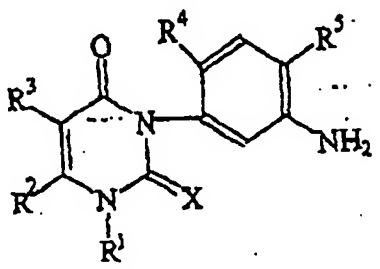


(7)

dans lequel G^2 est un groupe alkyle C_1-C_4 ou un groupe phényle, et, si nécessaire, la réaction du produit résultant avec un agent alkylant.

9. Procédé de production d'un dérivé d'uracile tel que défini à la revendication 1 ou 2, lequel procédé comprend la

réaction d'un composé d'aminoaryluracile représenté par la formule (9) :



(9)

avec un composé de D_a-halogène, puis la réaction du produit résultant avec un composé de D_b-halogène dans lesquels D_a et D_b sont tels que définis à la revendication 1.